

09/526,855

Page 1

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L4 ANSWER 1 OF 34 CAPLUS COPYRIGHT 2003 ACS ON STN

ACCESSION NUMBER: 2003:532546 CAPLUS

DOCUMENT NUMBER: 139:95805

TITLE:

INVENTOR(S):

PATENT ASSIGNEE(S):

SOURCE:

DOCUMENT TYPE:

LANGUAGE:

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003055524	A1	20030710	WO 2002-NL853	20021220
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, GR, GU, HK, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MY, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW, AM, AZ, BY, BG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				

PRIORITY APPL. INFO.:

AB One aspect of the present invention relates to a method of controlled ovarian hyperstimulation in a mammalian female, said method comprising administration to said female of a substance having FSH activity (FSH substance) in an amt. effective to stimulate follicular development and of anti-P (anti-progestogen) in an effective amt. to prevent a premature endogenous LH-surge, followed by the administration of a meiosis and luteinization inducing substance (ML substance) in an amt. effective to stimulate resumption of meiosis and luteinization, and of a progestogen and/or a precursor thereof in an amt. effective to prevent or suppress symptoms of progesterone antagonism and/or deficiency, wherein the progestogen and/or the precursor thereof is administered within 24 h of the first administration of the ML substance. Another aspect of the present invention relates to a pharmaceutical kit for use in a method of controlled ovarian hyperstimulation in mammalian females, said kit comprising a parenteral dosage unit contg. a FSH substance, a parenteral or oral dosage unit contg. an anti-P and a parenteral or oral dosage unit contg. a progestogen and/or a precursor thereof.

IT

RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(method of controlled ovarian hyperstimulation using an FSH substance, an anti-progestogen, a meiosis and luteinization inducing substance, and a progestogen and pharmaceutical kit for use in such method)

RN

126784-99-4 CAPLUS
19-Norpregna-4,9-diene-3,20-dione, 17-(acetyloxy)-11-[(4-(dimethylamino)phenyl)]-, (11.beta.)- (9CI) (CA INDEX NAME)

L4 ANSWER 2 OF 34 CAPLUS COPYRIGHT 2003 ACS ON STN

ACCESSION NUMBER: 2002:869589 CAPLUS

DOCUMENT NUMBER: 137:346927

TITLE:

Implantation rates after in vitro fertilization, and treatment of infertility and early pregnancy loss with a nitric oxide donor or substrate alone or in combination with progesterone, and a method for contraception with nitric oxide inhibitors in combination with antiprogestins or other agents

INVENTOR(S):

PATENT ASSIGNEE(S):

SOURCE:

DOCUMENT TYPE:

LANGUAGE:

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2002169205	A1	20021114	US 2002-43232	20020114
US 1998-162446 A3 19980929				

PRIORITY APPL. INFO.:

AB A method is provided for the improvement of implantation rates and/or pregnancy rates in a female mammal, comprising administering to a female mammal in whom pregnancy is desired an effective amt. of (a) a nitric oxide synthase substrate, a nitric oxide donor, or both, optionally in combination with (b) a progestin, and (c) optionally, in further combination with an estrogen. A method is also provided for fertility control for a female mammal, comprising administering to a female mammal in whom pregnancy is not desired and at risk for becoming pregnant an effective amt. of nitric oxide synthase inhibitor in combination with an antiprogesterin. Pharmaceutical compns. are also provided.

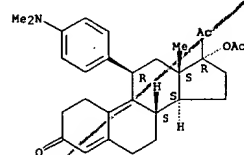
IT

RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(antiprogesterin method for contraception with nitric oxide inhibitors in combination with antiprogestins or other agents)

RN

126784-99-4 CAPLUS
19-Norpregna-4,9-diene-3,20-dione, 17-(acetyloxy)-11-[(4-(dimethylamino)phenyl)]-, (11.beta.)- (9CI) (CA INDEX NAME)

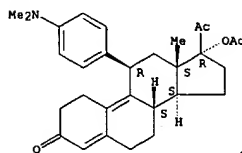
Absolute stereochemistry.



L4 ANSWER 1 OF 34 CAPLUS COPYRIGHT 2003 ACS ON STN

Absolute stereochemistry.

(Continued)



REFERENCE COUNT:

11

THERE ARE 11 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 3 OF 34 CAPLUS COPYRIGHT 2003 ACS ON STN

ACCESSION NUMBER: 2002:211446 CAPLUS

DOCUMENT NUMBER: 137:28399

TITLE:

CDB-4124 and its putative monodemethylated metabolite, CDB-4453, are potent antiprogestins with reduced antigluocorticoid activity: in vitro comparison to mifepristone and CDB-2914

AUTHOR(S):

Attardi, Barbara J.; Burgenson, Janet; Hild, Sheri A.; Reel, Jerry A.; Blye, Richard P.

CORPORATE SOURCE:

Molecular Endocrinology Laboratory, BIOQUAL, Inc., Rockville, MD, 20850, USA

SOURCE:

Molecular and Cellular Endocrinology (2002), 188 (1-2), 111-123

PUBLISHER:

DOCUMENT TYPE:

LANGUAGE:

AB

To obtain selective antiprogestins, we have examd. the in vitro antiprogesterational/antigluocorticoid properties of two novel compds., CDB-4124 and the putative monodemethylated metabolite, CDB-4453, in transcription and receptor binding assays and compared them to CDB-2914 and mifepristone. All four antiprogestins bound with high affinity to rabbit uterine progesterin receptors (PR) and recombinant human PR-A and PR-B (rhPR-A, rhPR-B) and were potent inhibitors of R5020-induced transactivation of the PRE2-tk-luciferase (PRE2-tk-LUC) reporter plasmid and endogenous alk. phosphatase prodn. in T47D-CO human breast cancer cells. None of these compds. exhibited agonist activity in these cells. Induction of luciferase activity was potentiated about five-fold by 8-Br-cAMP under basal conditions and to the same extent in the presence of the PR antagonists. Mifepristone bound to rabbit thymic glucocorticoid receptors (GR) with approx. twice the avidity of the CDB antiprogestins. Inhibition of GR-mediated transcription of PRE2-tk-LUC was assessed in HepG2 human hepatoblastoma cells. Mifepristone exhibited greater antigluocorticoid activity than CDB-2914, 4124, and 4453, about 12-, 22-, and 185-fold, resp. Thus, while there was a good correlation between binding to PR and functional activity of these antiprogestins, GR binding was not predictive of their glucocorticoid antagonist activity. In agreement with our in vivo results, CDB-4124 and CDB-4453, as well as CDB-2914, are potent antiprogestins in vitro, but show considerably less antigluocorticoid activity than mifepristone.

IT

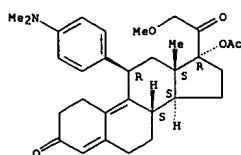
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(CDB-4124 and putative monodemethylated metabolite, CDB-4453, are potent antiprogestins with reduced antigluocorticoid activity in transcription and receptor binding assays)

RN

198414-31-2 CAPLUS
19-Norpregna-4,9-diene-3,20-dione, 17-(acetyloxy)-11-[(4-(dimethylamino)phenyl)]-21-methoxy-, (11.beta.)- (9CI) (CA INDEX NAME)

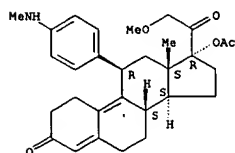
Absolute stereochemistry.

L4 ANSWER 3 OF 34 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)



RN 365416-29-0 CAPLUS
 CN 19-Norpregna-4,9-diene-3,20-dione, 17-(acetyloxy)-21-methoxy-11-[(4-methylamino)phenyl]-, (11.beta.)- (9CI) (CA INDEX NAME)

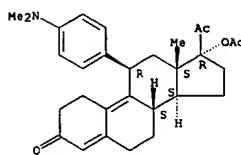
Absolute stereochemistry.



IT 126784-99-4, CDB-2914
 RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
 (comparison compd.; CDB-4124 and putative monodemethylated metabolite, CDB-4453, are potent antiprogesterins with reduced antigluccorticoid activity in transcription and receptor binding assays)
 RN 126784-99-4 CAPLUS
 CN 19-Norpregna-4,9-diene-3,20-dione, 17-(acetyloxy)-11-[(4-dimethylamino)phenyl]-, (11.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L4 ANSWER 3 OF 34 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)



REFERENCE COUNT: 36 THERE ARE 36 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 4 OF 34 CAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: 2001:747811 CAPLUS
 DOCUMENT NUMBER: 135:304062
 TITLE: Preparation of 17.alpha.-substituted-11.beta.-substituted-4-aryl and 21-substituted 19-norpregna-4,9-diene-3,20-dione derivatives as new antiprogesterational agents
 INVENTOR(S): Kim, Hyun K.; Blye, Richard P.; Rao, Pemmaraju N.; Cessac, James W.; Acosta, Carmie K.; Simmons, Anne Marie
 PATENT ASSIGNEE(S): Secretary of Health and Human Services, USA
 SOURCE: PCT Int. Appl., 171 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

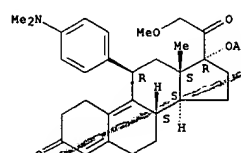
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001074840	A2	20011011	WO 2001-US6681	20010316
WO 2001074840	A3	20020502		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TN, RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
AU 2001045849	A5	20011015	AU 2001-45849	20010316
EP 1265911	A2	20021218	EP 2001-918812	20010316
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, JP, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
PRIORITY APPLN. INFO.: US 2000-526855 A 20000317 WO 2001-59681 W 20010316				

OTHER SOURCE(S): MARPAT 135:304062
 AB 19-Norpregna-4,9-diene-3,20-dione derivs. [I]; R1 = OMe, SMe, NMe2, NtMe, NtH8, NtSH10, NtCH8O, CHO, CH(OH)Me, C(O)Me, O(CH2)2NMe2, and -O(CH2)2NCSH10; R2 = H, halogen, alkyl, acyl, hydroxy, alkoxy, acyloxy, alkylcarbonate, cyponyloxy, S-alkyl, -SCN, S-acyl and -OC(O)R6; R6 = alkyl, alkoxy ester, alkoxy, R6 = alkyl, hydroxy, alkoxy and acyloxy; R4 = H, alkyl; X = O, (substituted) NOH were prep as antiprogesterational agents. The present invention provides methods wherein I were advantageously used, in part, to antagonize endogenous progesterone; to induce menses; to treat endometriosis; to treat dysmenorrhea; to treat endocrine hormone-dependent tumors; to treat meningiomas; to treat uterine leiomyomas; to treat uterine fibroids; to inhibit uterine endometrial proliferation; to induce cervical ripening; to induce labor; and for contraception. Thus, norpregnadienedione deriv. II was prepd. from 3,3-ethylenedioxy-17.beta.-cyano-17.alpha.-hydroxyestra-5(10),9(11)-diene and 4-bromo-N,N-dimethylaniline in 9 steps which showed 2.79 times the antiprogesterational potency in the anticlauber test compared to CDB-2914.
 IT 198414-31-2, CDB 4124 198414-39-OP, CDB 4167
 365416-56-4P 365416-57-5P 365416-60-OP
 RL: PAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
 (prepn. of 17.alpha.-substituted-11.beta.-substituted-4-aryl and

L4 ANSWER 4 OF 34 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

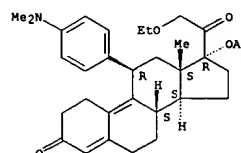
21-substituted 19-norpregnadienedione as new antiprogesterational agents)
 RN 198414-31-2 CAPLUS
 CN 19-Norpregna-4,9-diene-3,20-dione, 17-(acetyloxy)-11-[(4-dimethylamino)phenyl]-21-methoxy-, (11.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



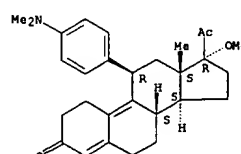
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 CN 19-Norpregna-4,9-diene-3,20-dione, 17-(acetyloxy)-11-[(4-dimethylamino)phenyl]-21-ethoxy-, (11.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 365416-56-4 CAPLUS
 CN 19-Norpregna-4,9-diene-3,20-dione, 11-[(4-dimethylamino)phenyl]-17-methoxy-, (11.beta.)- (9CI) (CA INDEX NAME)

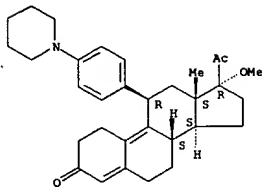
Absolute stereochemistry.



RN 365416-57-5 CAPLUS
 CN 19-Norpregna-4,9-diene-3,20-dione, 17-methoxy-11-[(4-(1-piperidinyl)phenyl)]-, (11.beta.)- (9CI) (CA INDEX NAME)

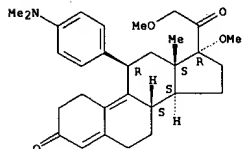
L4 ANSWER 4 OF 34 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)
(11.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



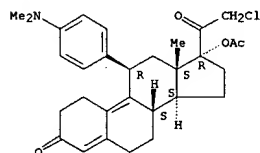
RN 365416-60-0 CAPLUS
CN 19-Norpregna-4,9-diene-3,20-dione, 11-[4-(dimethylamino)phenyl]-17,21-dimethoxy-, (11.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



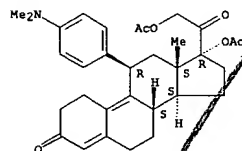
IT 126690-29-7P 198414-03-8P, CDB 4058 198414-05-0P, CDB 3876 198414-07-2P, CDB 4059 198414-11-8P, CDB 4101 198414-22-1P, CDB 4030 198414-33-4P, CDB 4125 198414-34-5P, CDB 4152 198414-41-4P 198414-43-6P, CDB 4031 240805-96-3P, CDB 4363 240805-97-4P, CDB 3247 240806-04-6P, CDB 4418 240806-11-5P, CDB 4243 365416-80-1P 365416-24-6P 365416-25-7P 365416-26-8P 365416-28-0P 365416-50-8P 365416-51-9P 365416-52-0P 365416-53-1P 365416-54-2P 365416-55-3P 365416-56-6P 365416-59-7P 365416-61-1P 365416-62-2P 365416-63-3P 365416-64-4P 365416-65-5P 365416-66-6P 365416-67-7P 365416-68-8P 365416-69-9P 365416-70-2P 365416-71-3P 365416-72-4P 365416-73-5P 365416-74-6P 365416-75-7P 365416-76-8P 366469-94-5P 366469-95-6P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological

L4 ANSWER 4 OF 34 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)



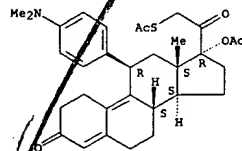
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CN 19-Norpregna-4,9-diene-3,20-dione, 17-bis(acetyloxy)-11-[4-(dimethylamino)phenyl]-, (11.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 198414-11-8 CAPLUS
CN 19-Norpregna-4,9-diene-3,20-dione, 17-(acetyloxy)-21-(acetylthio)-11-[4-(dimethylamino)phenyl]-, (11.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

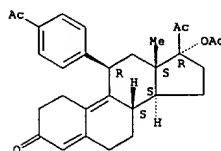


RN 198414-22-1 CAPLUS
CN 19-Norpregna-4,9-diene-3,20-dione, 17-(acetyloxy)-11-[4-(dimethylamino)phenyl]-17-(1-oxopropyl)-, (11.beta.,17.alpha.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).

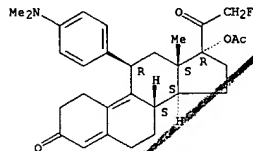
L4 ANSWER 4 OF 34 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)
study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use);
BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of 17.alpha.-substituted-11.beta.-substituted-4-aryl and
21-substituted 19-norpregnadienedione as new antiprogesterone agents)
RN 126690-29-7 CAPLUS
CN 19-Norpregna-4,9-diene-3,20-dione, 17-(acetyloxy)-11-[4-(dimethylamino)phenyl]-, (11.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 198414-03-8 CAPLUS
CN 19-Norpregna-4,9-diene-3,20-dione, 17-(acetyloxy)-11-[4-(dimethylamino)phenyl]-21-fluoro-, (11.beta.)- (9CI) (CA INDEX NAME)

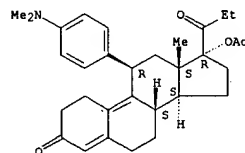
Absolute stereochemistry.



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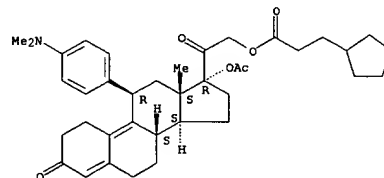
Absolute stereochemistry.

L4 ANSWER 4 OF 34 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)



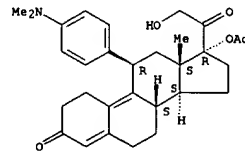
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Absolute stereochemistry.



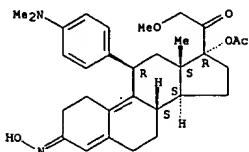
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CN 19-Norpregna-4,9-diene-3,20-dione, 17-(acetyloxy)-11-[4-(dimethylamino)phenyl]-21-hydroxy-, (11.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



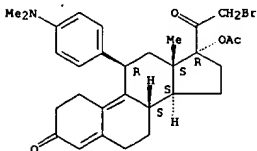
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CN 19-Norpregna-4,9-diene-3,20-dione, 17-(acetyloxy)-11-[4-(dimethylamino)phenyl]-21-methoxy-, 3-oxime-, (11.beta.)- (9CI) (CA INDEX NAME)

L4 ANSWER 4 OF 34 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)
 Absolute stereochemistry.
 Double bond geometry unknown.



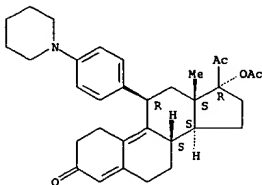
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Absolute stereochemistry.

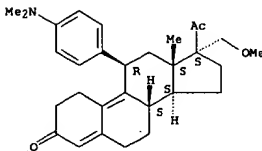


RN 240805-96-3 CAPLUS
 CN 19-Norpregna-4,9-diene-3,20-dione, 17-(acetyloxy)-11-[4-(1-piperidinyl)phenyl]-, (11.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

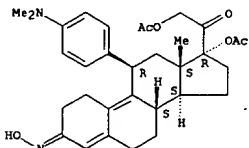


L4 ANSWER 4 OF 34 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)



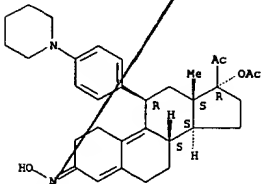
RN 365415-80-1 CAPLUS
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Absolute stereochemistry.
 Double bond geometry unknown.



RN 365416-24-6 CAPLUS
 CN 19-Norpregna-4,9-diene-3,20-dione, 17-(acetyloxy)-11-[4-(1-piperidinyl)phenyl]-, 3-oxime, (11.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
 Double bond geometry unknown.

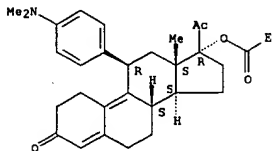


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 CN 19-Norpregna-4,9-diene-3,20-dione, 17-methoxy-11-[4-(1-piperidinyl)phenyl]-, 3-oxime, (11.beta.)- (9CI) (CA INDEX NAME)

L4 ANSWER 4 OF 34 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

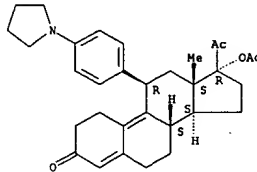
RN 240805-97-4 CAPLUS
 CN 19-Norpregna-4,9-diene-3,20-dione, 11-[4-(dimethylamino)phenyl]-17-(1-oxopropoxy)-, (11.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



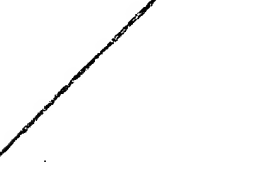
RN 240806-04-6 CAPLUS
 CN 19-Norpregna-4,9-diene-3,20-dione, 17-(acetyloxy)-11-[4-(1-pyrrolidinyl)phenyl]-, (11.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



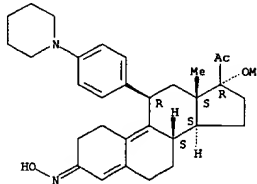
RN 240806-11-5 CAPLUS
 CN 19-Norpregna-4,9-diene-3,20-dione, 11-[4-(dimethylamino)phenyl]-17-(methoxymethyl)-, (11.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



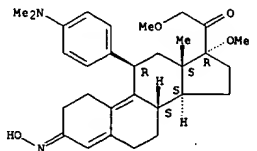
L4 ANSWER 4 OF 34 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

Absolute stereochemistry.
 Double bond geometry unknown.



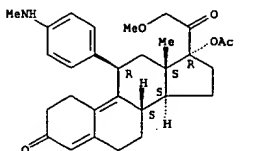
RN 365416-26-8 CAPLUS
 CN 19-Norpregna-4,9-diene-3,20-dione, 11-[4-(dimethylamino)phenyl]-17,21-dimethoxy-, 3-oxime, (11.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
 Double bond geometry unknown.



RN 365416-28-0 CAPLUS
 CN 19-Norpregna-4,9-diene-3,20-dione, 17-(acetyloxy)-21-methoxy-11-[4-(methylamino)phenyl]-, (11.beta.)- (9CI) (CA INDEX NAME)

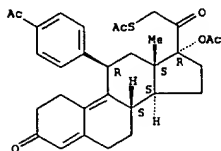
Absolute stereochemistry.



RN 365416-50-8 CAPLUS
 CN 19-Norpregna-4,9-diene-3,20-dione, 17-(acetyloxy)-11-(4-acetylphenyl)-21-(acetylthio)-, (11.beta.)- (9CI) (CA INDEX NAME)

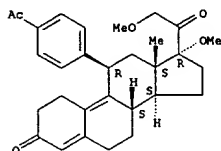
L4 ANSWER 4 OF 34 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

Absolute stereochemistry.



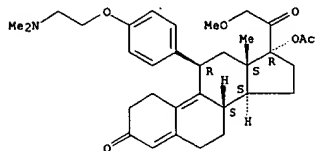
RN 365416-51-9 CAPLUS
 CN 19-Norpregna-4,9-diene-3,20-dione, 11-[(4-acetylphenyl)-17,21-dimethoxy-], (11.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



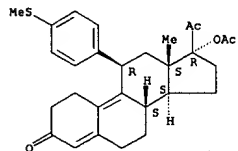
RN 365416-52-0 CAPLUS
 CN 19-Norpregna-4,9-diene-3,20-dione, 17-(acetyloxy)-11-[4-(dimethylamino)ethoxy]phenyl]-21-methoxy-, (11.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



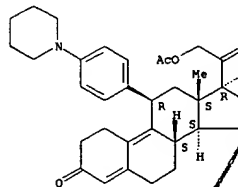
RN 365416-53-1 CAPLUS

L4 ANSWER 4 OF 34 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)



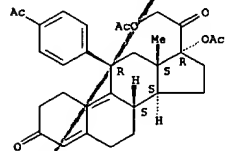
RN 365416-58-6 CAPLUS
 CN 19-Norpregna-4,9-diene-3,20-dione, 17,21-bis(acetyloxy)-11-[4-(1-piperidinyl)phenyl]-, (11.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 365416-59-7 CAPLUS
 CN 19-Norpregna-4,9-diene-3,20-dione, 17,21-bis(acetyloxy)-11-[4-(acetylphenyl)-], (11.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



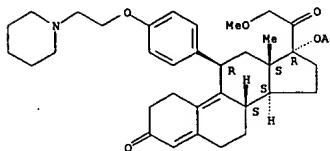
RN 365416-61-1 CAPLUS
 CN 19-Norpregna-4,9-diene-3,20-dione, 11-[4-(dimethylamino)phenyl]-17-ethoxy-21-methoxy-, (11.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L4 ANSWER 4 OF 34 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

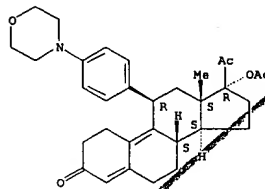
CN 19-Norpregna-4,9-diene-3,20-dione, 17-(acetyloxy)-21-methoxy-11-[4-(1-piperidinyl)ethoxy]phenyl]-, (11.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 365416-54-2 CAPLUS
 CN 19-Norpregna-4,9-diene-3,20-dione, 17-(acetyloxy)-11-[4-(4-morpholinyl)phenyl]-, (11.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

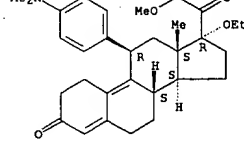


RN 365416-55-3 CAPLUS
 CN 19-Norpregna-4,9-diene-3,20-dione, 17-(acetyloxy)-11-[4-(methylthio)phenyl]-, (11.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

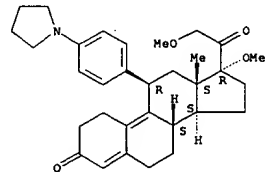


L4 ANSWER 4 OF 34 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)



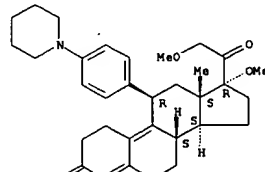
RN 365416-62-2 CAPLUS
 CN 19-Norpregna-4,9-diene-3,20-dione, 17,21-dimethoxy-11-[4-(1-pyrrolidinyl)phenyl]-, (11.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 365416-63-3 CAPLUS
 CN 19-Norpregna-4,9-diene-3,20-dione, 17,21-dimethoxy-11-[4-(1-piperidinyl)phenyl]-, (11.beta.)- (9CI) (CA INDEX NAME)

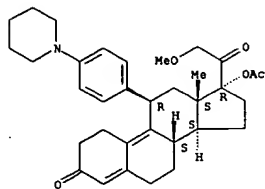
Absolute stereochemistry.



RN 365416-64-4 CAPLUS
 CN 19-Norpregna-4,9-diene-3,20-dione, 17-(acetyloxy)-21-methoxy-11-[4-(1-piperidinyl)phenyl]-, (11.beta.)- (9CI) (CA INDEX NAME)

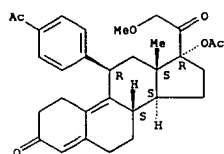
Absolute stereochemistry.

L4 ANSWER 4 OF 34 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)



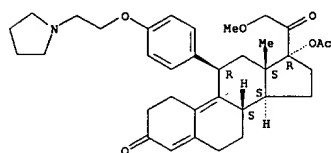
RN 365416-65-5 CAPLUS
 CN 19-Norpregna-4,9-diene-3,20-dione, 17-(acetyloxy)-11-(4-(4-(pyrrolidin-1-yl)ethoxy)phenyl)-21-methoxy-, (11.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



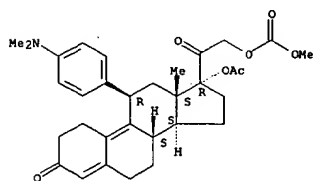
RN 365416-66-6 CAPLUS
 CN 19-Norpregna-4,9-diene-3,20-dione, 17-(acetyloxy)-21-methoxy-11-[4-(2-(1-pyrrolidinyl)ethoxy)phenyl]-, (11.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



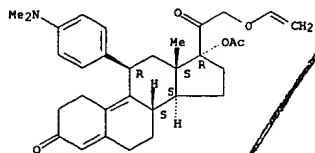
RN 365416-67-7 CAPLUS
 CN 19-Norpregna-4,9-diene-3,20-dione, 17-(acetyloxy)-11-[4-(dimethylamino)phenyl]-21-(1-oxopropoxy)-, (11.beta.)- (9CI) (CA INDEX NAME)

L4 ANSWER 4 OF 34 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)



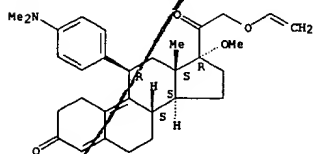
RN 365416-70-2 CAPLUS
 CN 19-Norpregna-4,9-diene-3,20-dione, 17-(acetyloxy)-11-[4-(dimethylamino)phenyl]-21-(ethenyloxy)-, (11.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 365416-71-3 CAPLUS
 CN 19-Norpregna-4,9-diene-3,20-dione, 11-[4-(dimethylamino)phenyl]-21-(ethenyloxy)-17-methoxy-, (11.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

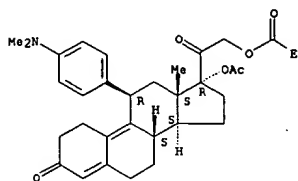


RN 365416-72-4 CAPLUS
 CN 19-Norpregna-4,9-diene-3,20-dione, 11-[4-(dimethylamino)phenyl]-21-(ethenyloxy)-17-ethoxy-, (11.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

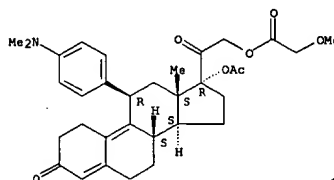
L4 ANSWER 4 OF 34 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

Absolute stereochemistry.



RN 365416-68-8 CAPLUS
 CN 19-Norpregna-4,9-diene-3,20-dione, 17-(acetyloxy)-11-[4-(dimethylamino)phenyl]-21-[(methoxycarbonyloxy)-, (11.beta.)- (9CI) (CA INDEX NAME)

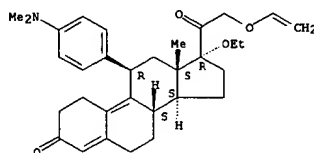
Absolute stereochemistry.



RN 365416-69-9 CAPLUS
 CN 19-Norpregna-4,9-diene-3,20-dione, 17-(acetyloxy)-11-[4-(dimethylamino)phenyl]-21-[(methoxycarbonyloxy)-, (11.beta.)- (9CI) (CA INDEX NAME)

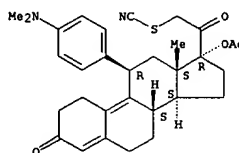
Absolute stereochemistry.

L4 ANSWER 4 OF 34 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)



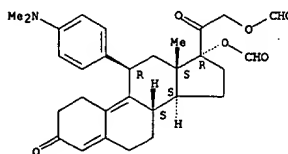
RN 365416-73-5 CAPLUS
 CN 19-Norpregna-4,9-diene-3,20-dione, 17-(acetyloxy)-11-[4-(dimethylamino)phenyl]-21-thiocyanato-, (11.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 365416-74-6 CAPLUS
 CN 19-Norpregna-4,9-diene-3,20-dione, 11-[4-(dimethylamino)phenyl]-17,21-bis(formyloxy)-, (11.beta.)- (9CI) (CA INDEX NAME)

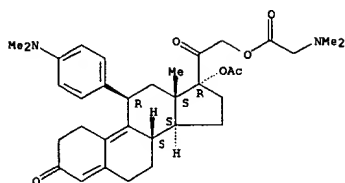
Absolute stereochemistry.



RN 365416-75-7 CAPLUS
 CN Glycine, N,N-dimethyl-, (11.beta.)-17-(acetyloxy)-11-[4-(dimethylamino)phenyl]-3,20-dioxo-19-norpregna-4,9-dien-21-yl ester (9CI) (CA INDEX NAME)

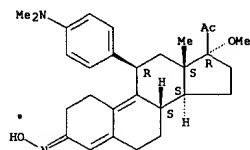
Absolute stereochemistry.

L4 ANSWER 4 OF 34 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)



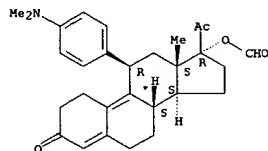
RN 365416-76-8 CAPLUS
 CN 19-Norpregna-4,9-diene-3,20-dione, 11-[4-(dimethylamino)phenyl]-17-methoxy-, 3-oxime, (11.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
 Double bond geometry unknown.



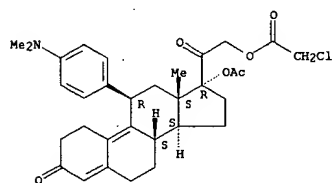
RN 366469-94-5 CAPLUS
 CN 19-Norpregna-4,9-diene-3,20-dione, 11-[4-(dimethylamino)phenyl]-17-(formyloxy)-, (11.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



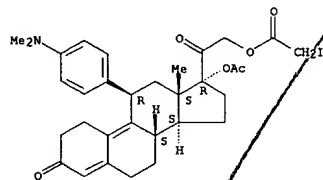
RN 366469-95-6 CAPLUS

L4 ANSWER 4 OF 34 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)



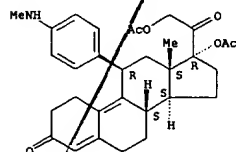
RN 365416-21-3 CAPLUS
 CN 19-Norpregna-4,9-diene-3,20-dione, 17-(acetyloxy)-11-[4-(dimethylamino)phenyl]-21-[(iodoacetyl)oxy]-, (11.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



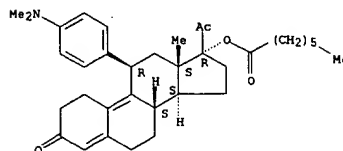
IT 365416-27-9P
 RL: SPN (Synthetic Preparation); PREP (Preparation)
 (prepn. of 17.alpha.-substituted-11.beta.-substituted-4-aryl and 21-substituted 19-norpregnadienedione as new antiprogestational agents)
 RN 365416-27-9 CAPLUS
 CN 19-Norpregna-4,9-diene-3,20-dione, 17,21-bis(acetyloxy)-11-[4-(dimethylamino)phenyl]-, (11.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



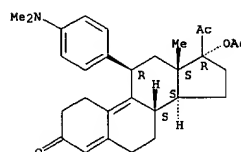
L4 ANSWER 4 OF 34 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)
 CN 19-Norpregna-4,9-diene-3,20-dione, 11-[4-(dimethylamino)phenyl]-17-[(1-oxoheptyl)oxy]-, (11.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



IT 126784-99-4, CDB 2914
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
 (prepn. of 17.alpha.-substituted-11.beta.-substituted-4-aryl and 21-substituted 19-norpregnadienedione as new antiprogestational agents)
 RN 126784-99-4 CAPLUS
 CN 19-Norpregna-4,9-diene-3,20-dione, 17-(acetyloxy)-11-[4-(dimethylamino)phenyl]-, (11.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



IT 365416-20-2P 365416-21-3P
 RL: RCT (Reactant); SPN (Synthetic Preparation); PREP (Preparation); RACT (Reactant or reagent)
 (prepn. of 17.alpha.-substituted-11.beta.-substituted-4-aryl and 21-substituted 19-norpregnadienedione as new antiprogestational agents)
 RN 365416-20-2 CAPLUS
 CN 19-Norpregna-4,9-diene-3,20-dione, 17-(acetyloxy)-21-[(chloroacetyl)oxy]-11-[4-(dimethylamino)phenyl]-, (11.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L4 ANSWER 4 OF 34 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

L4 ANSWER 5 OF 34 CAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: 2001:489415 CAPLUS

DOCUMENT NUMBER: 135:61476

TITLE: Process for the preparation of 17.alpha.-acetoxy-11.beta.-[4-N,N-(dimethylamino)phenyl]-21-methoxy-19-norpregna-4,9-diene-3,20-dione, intermediates useful in the process, and processes for preparing such intermediates

INVENTOR(S): Kim, Hyun Koo; Rao, Pannaraju N.; Cessac, James W.; Simmons, Anne Marie

PATENT ASSIGNEE(S): United States Dept. of Health and Human Services, USA

SOURCE: PCT Int. Appl., 50 pp.

CODEN: FIXX02

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001047945	A1	20010705	WO 2000-US35479	20001229
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, GR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG			
AU 2001026048	A5	20010709	AU 2001-26048	20001229
EP 1242444	A1	20020925	EP 2000-989551	20001229
R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR			
US 2003060646	A1	20030327	US 2002-169139	20020627
PRIORITY APPLN. INFO.:			US 1999-173470P	P 19991229
			WO 2000-US35479	W 20001229

OTHER SOURCE(S): CASREACT 135:61476

AB A process for prepg. the antiprogesterone agent, 17.alpha.-acetoxy-11.beta.-[4-N,N-(dimethylamino)phenyl]-21-methoxy-19-norpregna-4,9-dien-3,20-dione (I), intermediates useful in the process, and processes for prepg. such intermediates was described. I was prepg. via a multistep synthetic sequence starting from cynaohydrin II. The synthetic sequence involved replacing the cyanohydrin group of II with a chloroacetyl group and a hydroxyl group; replacing the chloro group of the resulting compd. with an acetoxy group; deacetylating the resulting compd.; selectively ketalizing the resulting compd.; selectively methylating the 21-hydroxyl group of the resulting compd.; reducing the 20-keto group of the resulting compd.; epoxidizing the resulting compd.; introducing a N,N-dimethylaminophenyl group at the 11-position and opening the epoxide; deketalyzing the resulting compd.; selectively oxidizing the 20-hydroxyl group to a keto group; and acetylating the resulting compd.

IT 198414-31-2P

RL: IMF (Industrial manufacture); SPN (Synthetic preparation); PREP (Preparation)
(process for the prepn. of 17.alpha.-acetoxy-11.beta.-[4-N,N-(dimethylamino)phenyl]-21-methoxy-19-norpregna-4,9-diene-3,20-dione,

L4 ANSWER 6 OF 34 CAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: 2001:168581 CAPLUS

DOCUMENT NUMBER: 134:361485

TITLE: Effect of a 17.alpha.-(3-Hydroxypropyl)-17.beta.-acetyl Substituent Pattern on the Glucocorticoid and Progesterone Receptor Binding of 11.beta.-Arylestra-4,9-dien-3-ones

AUTHOR(S): Cook, C. Edgar; Raju, Prasad; Lee, David Y.-W.; Kepler, John A.

CORPORATE SOURCE: Chemistry and Life Sciences, Research Triangle Institute, Research Triangle Park, NC, 27709-2194, USA

SOURCE: Organic Letters (2001), 3(7), 1013-1016

CODEN: ORLEF7; ISSN: 1523-7060

PUBLISHER: American Chemical Society

DOCUMENT TYPE: Journal

LANGUAGE: English

AB Replacing the 17.alpha.-acetoxy substituent in an antiprogesterone 17.beta.-acetyl-11.beta.-arylestra-4,9-dien-3-one by 3-hydroxypropyl significantly diminished glucocorticoid receptor binding with little effect on progesterone receptor binding.

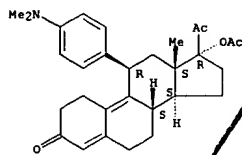
IT 126784-99-4, RTI 3021-012

RL: BPR (Biological process); BSU (Biological study, unclassified); PRP (Properties); BIOL (Biological study); PROC (Process)
(hydroxypropyl)acetyl substituent pattern effect on glucocorticoid and progesterone receptor binding of arylestradienones

RN 126784-99-4 CAPLUS

CN 19-Norpregna-4,9-diene-3,20-dione, 17-(acetyloxy)-11-[4-(dimethylamino)phenyl]-, (11.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 13 THERE ARE 13 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

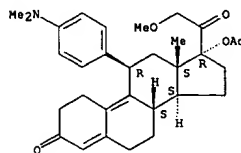
L4 ANSWER 5 OF 34 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

intermediates useful in the process, and processes for prepg. such intermediates)

RN 198414-31-2 CAPLUS

CN 19-Norpregna-4,9-diene-3,20-dione, 17-(acetyloxy)-11-[4-(dimethylamino)phenyl]-21-methoxy-, (11.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 7 OF 34 CAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: 2000:880967 CAPLUS

DOCUMENT NUMBER: 134:33012

TITLE: Pharmaceutical formulations containing hormones for treating postmenopausal and perimenopausal women

INVENTOR(S): Martin, Kathryn A.; Crowley, William F., Jr.

PATENT ASSIGNEE(S): General Hospital Corp., USA

SOURCE: PCT Int. Appl., 28 pp.

CODEN: FIXX02

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000074684	A1	20001214	WO 2000-US40061	20000602
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, GR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LA, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI			
RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG			
EP 1187618	A1	20020320	EP 2000-936507	20000602
R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO			
JP 2003501390	T2	20030114	JP 2001-501220	20000602
PRIORITY APPLN. INFO.:			US 1999-137440P	P 19990604
			WO 2000-US40061	W 20000602

AB Pharmaceutical formulations contg. various combinations of an estrogen, a progestin, an androgen, a selective estrogen receptor modulator, a selective androgen receptor modulator, and/or a selective progesterone receptor modulator for use in treating postmenopausal or perimenopausal women are described. The estrogen is selected from the group consisting of, e.g., conjugated estrogens, esterified estrogens, estradiol valerate, estradiol. The androgen is selected from the group consisting of, e.g., testosterone, methyltestosterone, and fluoxymesterone. The progestin is selected from the group consisting of, e.g., progesterone, 17-hydroxyprogesterone, and 19-nortestosterone derivs. The hormones can be administered at 0.01 .mu.g/kg-4 mg/kg (estrogen), 0.01 .mu.g/kg-5 mg/kg (androgen), and 0.02-200 mg/kg (progesterone) via transdermal, buccal, oral, intravaginal, etc., routes.

IT 126784-99-4, CDB2914

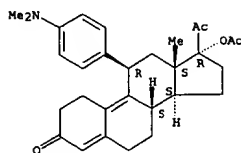
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(pharmaceutical formulations contg. hormones for treating postmenopausal and perimenopausal women)

RN 126784-99-4 CAPLUS

CN 19-Norpregna-4,9-diene-3,20-dione, 17-(acetyloxy)-11-[4-(dimethylamino)phenyl]-, (11.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L4 ANSWER 7 OF 34 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

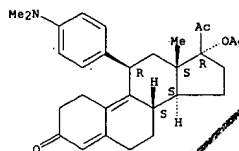


REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 8 OF 34 CAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: 2000:470069 CAPLUS
DOCUMENT NUMBER: 133:208033
TITLE: A practical large-scale synthesis of 17.alpha.-acetoxy-11.beta.-(4-N,N-dimethylaminophenyl)-19-norpregna-4,9-diene-3,20-dione (CDB-2914)
AUTHOR(S): Rao, P. N.; Acosta, C. K.; Bahr, M. L.; Burdett, J. E.; Cessac, J. W.; Morrison, P. A.; Kim, H. K.
CORPORATE SOURCE: Department of Organic Chemistry, Southwest Foundation for Biomedical Research, San Antonio, TX, 78245-0549, USA
SOURCE: Steroids (2000), 65(7), 395-400
CODEN: STEDAM; ISSN: 0039-128X
PUBLISHER: Elsevier Science Inc.
DOCUMENT TYPE: Journal
LANGUAGE: English
AB A new practical synthesis of 17.alpha.-acetoxy-11.beta.-(4-N,N-dimethylaminophenyl)-19-norpregna-4,9-diene-3,20-dione (CDB-2914) is described. The synthesis gives easily isolable solids at all steps and is amenable to large-scale process.
IT 126784-99-4P, CDB-2914
RL: SPN (Synthetic preparation); PREP (Preparation)
RN 126784-99-4 CAPLUS
CN 19-Norpregna-4,9-diene-3,20-dione, 17-(acetyloxy)-11-[4-(dimethylamino)phenyl]-, (11β)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 13 THERE ARE 13 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 9 OF 34 CAPLUS COPYRIGHT 2003 ACS on STN

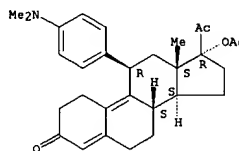
ACCESSION NUMBER: 2000:381156 CAPLUS
DOCUMENT NUMBER: 133:129998
TITLE: Circulating concentrations of the antiprogesterins CDB-2914 and mifepristone in the female rhesus monkey following various routes of administration
AUTHOR(S): Lerner, J. M.; Reel, J. R.; Blye, R. P.
CORPORATE SOURCE: Bioqual, Inc., Rockville, MD, 20850, USA
SOURCE: Human Reproduction (2000), 15(5), 1100-1106
CODEN: HUREEH; ISSN: 0268-1161
PUBLISHER: Oxford University Press
DOCUMENT TYPE: Journal
LANGUAGE: English

AB The overall aim of these studies was to investigate the oral and i.m. bioavailability of CDB-2914 in intact female rhesus monkeys, and to compare the serum concns. of CDB-2914 with that of mifepristone following oral administration. In the first study, a 50 mg bolus of CDB-2914 per monkey was administered i.v., orally or i.m. The area under the serum concn.-time curve for 72 h (AUC0-72) following i.v. injection was 18 320.+-2718 ng/mL.bul.h, and that for oral administration was 10 464.+-3248 ng/mL.bul.h. Thus, the oral bioavailability of CDB-2914 equiv was 56%. The AUC0-168 h following i.m. injection was 11 226.+-1130 ng/mL.bul.h. Therefore, the i.m. bioavailability of CDB-2914 equiv was 62%. In the second study, the serum concns. of CDB-2914 and mifepristone equiv. were compared following an oral bolus dose in two different formulations. When administered at 5 mg/kg in aq. suspending vehicle (ASV), the mean peak serum conf. (Cmax) of CDB-2914 equiv (192.+-64 ng/mL) occurred at 5.+-1 h, whereas the Cmax of mifepristone equiv. (82.+-25 ng/mL) occurred at 3.+-1 h. Following administration in gelatin capsules (35 mg/monkey), the Cmax of CDB-2914 equiv (129.+-24 ng/mL) occurred at 5.+-1 h, while the Cmax of mifepristone equiv. (31.+-8 ng/mL) occurred at 3.+-1 h. The serum concn. (AUC0-120 h) of CDB-2914 equiv was 4.7- or 5.3-fold greater than that of mifepristone equiv. when administered orally in ASV or gelatin capsules resp. The serum protein binding characteristics of CDB-2914 were also studied. CDB-2914 bound to human .alpha.1-acid glycoprotein (AAG), but not with as high an affinity as mifepristone. In contrast, neither CDB-2914 nor mifepristone bound with high affinity to AAG, corticosteroid binding globulin or sex hormone binding globulin in monkey serum. Collectively, these results indicated that CDB-2914 was more efficiently absorbed than mifepristone following oral administration to female rhesus monkeys.

IT 126784-99-4, CDB-2914
RL: BOC (Biological occurrence); BPR (Biological process); BSU (Biological study, unclassified); BIOL (Biological study); OCCU (Occurrence); PROC (Process)
(circulating concns. of antiprogesterins CDB-2914 and mifepristone in female rhesus monkey following various routes of administration in relation to binding by serum proteins)
RN 126784-99-4 CAPLUS
CN 19-norpregna-4,9-diene-3,20-dione, 17-(acetyloxy)-11-[4-(dimethylamino)phenyl]-, (11β)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L4 ANSWER 9 OF 34 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)



REFERENCE COUNT: 28 THERE ARE 28 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 10 OF 34 CAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: 2000:381155 CAPLUS

DOCUMENT NUMBER: 133:129997

TITLE:

AUTHOR(S):

CORPORATE SOURCE:

SOURCE:

PUBLISHER:

DOCUMENT TYPE:

LANGUAGE:

AB Previous studies in women have shown that the antiprogesterin mifepristone delays or inhibits folliculogenesis. The purpose of this study was to explore whether a new analog, CDB-2914, has similar effects on folliculogenesis, ovulation, or on subsequent luteal phase endometrial maturation. Forty-four normally cycling, healthy women recorded urine LH and vaginal bleeding during pre-treatment, treatment, and post-treatment cycles. At a lead follicle diam. of 14-16 mm, a single oral dose (10, 50, 100 mg) of CDB-2914 or placebo was given, and daily ultrasound, estradiol and progesterone were obtained until follicular collapse; an endometrial biopsy was obtained 5-7 days later. Single doses of CDB-2914 were well tolerated. Mid-follicular CDB-2914 suppressed lead follicle growth, causing a dose-dependent delay in folliculogenesis and suppression of plasma estradiol. At higher doses, a new lead follicle was often recruited. Although luteinized unruptured follicles were obsd. at the 100 mg dose, all women had follicular collapse. There was a significant delay in endometrial maturation after CDB-2914 at all doses. The treatment cycle was lengthened by 1-2 wk in 30% at 100, 27% at 50 and 9% at 10 mg. CDB-2914 altered ovarian and endometrial physiol. without major effects on menstrual cyclicity and may have therapeutic utility.

IT 126784-99-4, CDB-2914
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(single mid-follicular dose of CDB-2914, new antiprogesterin, inhibits folliculogenesis and endometrial differentiation in normally cycling women)

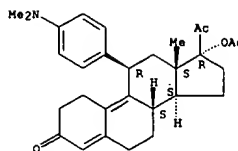
RN 126784-99-4 CAPLUS

CN 19-Norpregna-4,9-diene-3,20-dione, 17-(acetyloxy)-11-[4-(dimethylamino)phenyl]-, (11.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L4 ANSWER 10 OF 34 CAPLUS COPYRIGHT 2003 ACS on STN

(Continued)



REFERENCE COUNT: 30

THERE ARE 30 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 11 OF 34 CAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: 2000:299645 CAPLUS

DOCUMENT NUMBER: 133:53856

TITLE:

AUTHOR(S):

CORPORATE SOURCE:

SOURCE:

PUBLISHER:

DOCUMENT TYPE:

LANGUAGE:

AB Our goal was to det. the endocrine and post-coital anti-fertility activity of CDB-2914. Concurrent administration of progesterone to rats on day 4 post-mating blocked the anti-fertility activity of a single oral 2 mg dose of CDB-2914. CDB-2914 did not exhibit progestational activity in the estradiol-primed immature female rabbit at doses that exhibited anti-progestational activity. CDB-2914 antagonized exogenous and endogenous progesterone-stimulated uterine haptoglobin synthesis and secretion in immature and adult mated rabbits resp. Neither CDB-2914 nor mifepristone exhibited glucocorticoid activity as detd. by thymus involution in rats; mifepristone was twice as potent as CDB-2914 in antagonizing glucocorticoid action. Post-coital CDB-2914 treatment resulted in a dose-dependent redn. in implantation sites and pregnancy rates in rabbits. CDB-2914 induced inhibition of uterine wt. increase, endometrial glandular arborization and uterine haptoglobin synthesis/secretion correlated with inhibition of pregnancy in mated rabbits. A single oral dose of 64 mg CDB-2914/rabbit was effective at blocking pregnancy when administered on day 4, 5, or 6 post-mating, whereas 32 mg/rabbit was only partially effective in this regard. These data demonstrate that CDB-2914 is a potent, orally active anti-progestin with weak anti-glucocorticoid activity. CDB-2914 inhibited implantation in adult rats and rabbits demonstrating its potential as a post-coital contraceptive drug.

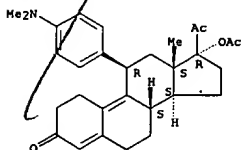
IT 126784-99-4, CDB-2914
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(CDB-2914 as antiprogesterin with postcoital antifertility activity and weak antiglucocorticoid profile in rats and rabbits)

RN 126784-99-4 CAPLUS

CN 19-Norpregna-4,9-diene-3,20-dione, 17-(acetyloxy)-11-[4-(dimethylamino)phenyl]-, (11.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L4 ANSWER 11 OF 34 CAPLUS COPYRIGHT 2003 ACS on STN

(Continued)

REFERENCE COUNT: 49

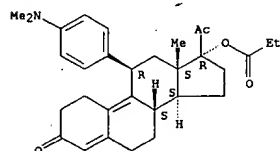
THERE ARE 49 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 12 OF 34 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)
 ACCESSION NUMBER: 1999:576939 CAPLUS
 DOCUMENT NUMBER: 131:199885
 TITLE: Preparation of 20-keto-11.beta.-arylsteroids and their derivatives having agonist or antagonist hormonal properties
 INVENTOR(S): Cook, C. Edgar; Kepler, John A.; Zhang, Ping-sheng;
 Lee, Yue-wei; Tallent, C. Ray
 PATENT ASSIGNEE(S): Research Triangle Institute, USA
 SOURCE: PCT Int. Appl., 95 pp.
 CODEN: PIXX02
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9945022	A1	19990910	WO 1999-US3732	19990305
V: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, GR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, HR, NE, SN, TD, TG				
US 6020328	A	20000201	US 1998-35949	19980306
CA 2322862	AA	19990910	CA 1999-2322862	19990305
AU 9928715	A1	19990920	AU 1999-28715	19990305
EP 1060186	A1	20001220	EP 1999-909531	19990305
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
BR 9908598	A	20011002	BR 1999-8598	19990305
JP 2002505334	T2	20020219	JP 2000-534564	19990305
PRIORITY APPLN. INFO.:			US 1998-35949	A 19980306
			WO 1999-US3732	W 19990305

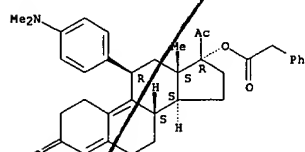
OTHER SOURCE(S): MARPAT 131:199885
 AB 20-Keto-11.beta.-arylsteroids of formula I [X = O, (substituted) NOH, H₂, OH, etc.; R₁ = dialkylamino, imidazolyl, pyrrolyl, piperidino, etc.; R₂ = H, halo; R₃ = H, Me, halo; R₄ = H, acyloxy, (substituted) OH, alkyl, etc.; R₅ = H, alkyl, halo, acyloxy, etc.] are prepd. which exhibit potent antiprogesterone activity. Thus, II was prepd. from 17.alpha.-hydroxymethyl-3-methoxy-19-norpregna-1,3,5(10)-trien-20-one and 4-bromo-N,N-dimethylaniline in several steps. The affinity of II for the progesterone hormone receptor was IC₅₀ of 0.7 nM.
 IT 240805-94-1P 240805-96-3P 240805-97-4P 240805-98-5P 240805-99-6P 240806-00-2P 240806-03-5P 240806-04-6P 240806-06-8P 240806-09-1P 240806-11-5P 240806-12-6P 240806-49-9P
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (prepn. of 20-keto-11.beta.-arylsteroids with antiprogesterone activity)
 RN 240805-94-1 CAPLUS

L4 ANSWER 12 OF 34 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)



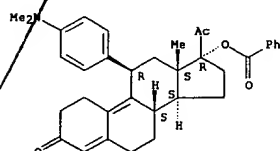
RN 240805-98-5 CAPLUS
 CN 19-Norpregna-4,9-diene-3,20-dione, 11-[(4-(dimethylamino)phenyl)-17-[(phenylacetyl)oxy]-, (11.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 240805-99-6 CAPLUS
 CN 19-Norpregna-4,9-diene-3,20-dione, 17-(benzoyloxy)-11-[(4-(dimethylamino)phenyl)-, (11.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

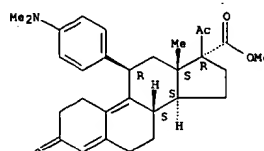


RN 240806-00-2 CAPLUS
 CN 19-Norpregna-4,9-diene-3,20-dione, 17-(1-oxopropoxy)-11-[(4-(1-pyrrolidinyl)phenyl)-, (11.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

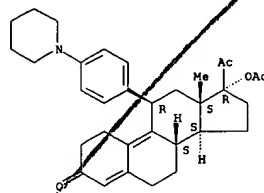
L4 ANSWER 12 OF 34 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)
 CN 19-Norpregna-4,9-diene-17-carboxylic acid, 11-[(4-(dimethylamino)phenyl)-3,20-dioxo-, methyl ester, (11.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 240805-96-3 CAPLUS
 CN 19-Norpregna-4,9-diene-3,20-dione, 17-(acetyloxy)-11-[(4-(1-piperidinyl)phenyl)-, (11.beta.)- (9CI) (CA INDEX NAME)

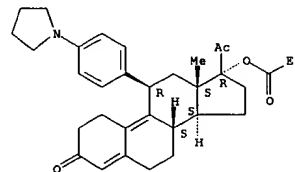
Absolute stereochemistry.



RN 240805-97-4 CAPLUS
 CN 19-Norpregna-4,9-diene-3,20-dione, 11-[(4-(dimethylamino)phenyl)-17-(1-oxopropoxy)-, (11.beta.)- (9CI) (CA INDEX NAME)

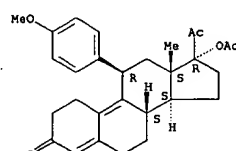
Absolute stereochemistry.

L4 ANSWER 12 OF 34 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)



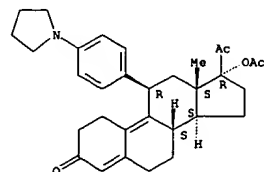
RN 240806-03-5 CAPLUS
 CN 19-Norpregna-4,9-diene-3,20-dione, 17-(acetyloxy)-11-[(4-methoxyphenyl)-, (11.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 240806-04-6 CAPLUS
 CN 19-Norpregna-4,9-diene-3,20-dione, 17-(acetyloxy)-11-[(4-(1-pyrrolidinyl)phenyl)-, (11.beta.)- (9CI) (CA INDEX NAME)

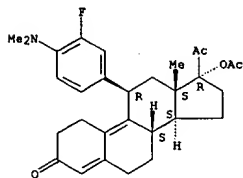
Absolute stereochemistry.



RN 240806-06-8 CAPLUS
 CN 19-Norpregna-4,9-diene-3,20-dione, 17-(acetyloxy)-11-[(4-(dimethylamino)-3-fluorophenyl)-, (11.beta.)- (9CI) (CA INDEX NAME)

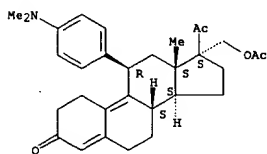
Absolute stereochemistry.

L4 ANSWER 12 OF 34 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)



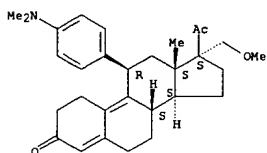
RN 240806-09-1 CAPLUS
 CN 19-Norpregna-4,9-diene-3,20-dione, 17-[(acetyloxy)methyl]-11-[4-(dimethylamino)phenyl]-, (11.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 240806-11-5 CAPLUS
 CN 19-Norpregna-4,9-diene-3,20-dione, 11-[4-(dimethylamino)phenyl]-17-(methoxymethyl)-, (11.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 240806-12-6 CAPLUS
 CN 19-Norpregna-4,9-diene-3,20-dione, 17-(1-oxopropoxy)-11-[4-(1-piperidinyl)phenyl]-, (11.beta.)- (9CI) (CA INDEX NAME)

L4 ANSWER 13 OF 34 CAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: 1999:416361 CAPLUS

DOCUMENT NUMBER: 131:243453

TITLE: Synthesis of N-desmethyl derivatives of 17.alpha.-acetoxo-11.beta.-(4-N,N-dimethylaminophenyl)-19-norpregna-4,9-diene-3,20-dione and mifepristone: substrates for the synthesis of radioligands

AUTHOR(S): Rao, Pemmaraju N.; Acosta, C. Kirk; Cessa, James W.; Bahr, Martin L.; Kim, Hyun K.
 CORPORATE SOURCE: Department of Organic Chemistry, Southwest Foundation for Biomedical Research, San Antonio, TX, 78245-0549, USA

SOURCE: Steroids (1999), 64(3), 205-212

CODEN: STEDAM; ISSN: 0039-128X

PUBLISHER: Elsevier Science Inc.

DOCUMENT TYPE: Journal

LANGUAGE: English

AB The syntheses of N-desmethyl derivs. of CDB-2914 and the mono-N-desmethyl deriv. of mifepristone are described. We also describe the use of the mono-desmethyl derivs. as substrates for the synthesis of N-tritium derivs. of CDB-2914 and mifepristone with high specific activity (ca. 80 Ci/mmol), which serve as radioligands for AIA.

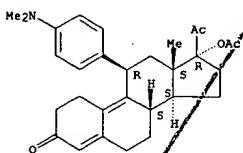
IT 126784-99-4, CDB-2914

RL: RCT (Reactant); RACT (Reactant or reagent)
 (synthesis of N-desmethyl derivs. of CDB-2914 and mifepristone as substrates for synthesis of radioligands)

RN 126784-99-4 CAPLUS

CN 19-Norpregna-4,9-diene-3,20-dione, 17-(acetyloxy)-11-[4-(dimethylamino)phenyl]-, (11.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



IT 159681-66-0P, CDB 3877 244206-53-9P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
 (synthesis of N-desmethyl derivs. of CDB-2914 and mifepristone as substrates for synthesis of radioligands)

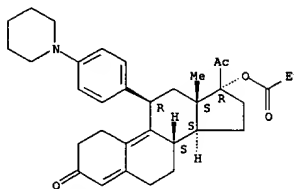
RN 159681-66-0 CAPLUS

CN 19-Norpregna-4,9-diene-3,20-dione, 17-(acetyloxy)-11-[4-(dimethylamino)phenyl]-, (11.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

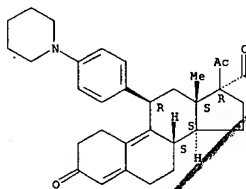
L4 ANSWER 12 OF 34 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

Absolute stereochemistry.



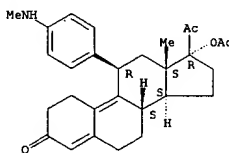
RN 240806-49-9 CAPLUS
 CN 19-Norpregna-4,9-diene-17-carboxylic acid, 3,20-dioxo-17-[4-(1-piperidinyl)phenyl]-, methyl ester, (11.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



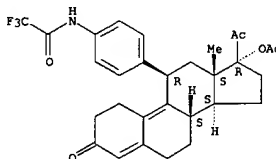
REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 13 OF 34 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)



RN 244206-53-9 CAPLUS
 CN Acetamide, N-[4-[(11.beta.)-17-(acetyloxy)-3,20-dioxo-19-norpregna-4,9-dien-11-yl]phenyl]-2,2,2-trifluoro- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



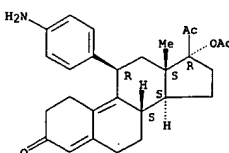
IT 244206-49-3P 244206-50-6P 244206-56-2P

RL: SPN (Synthetic preparation); PREP (Preparation)
 (synthesis of N-desmethyl derivs. of CDB-2914 and mifepristone as substrates for synthesis of radioligands)

RN 244206-49-3 CAPLUS

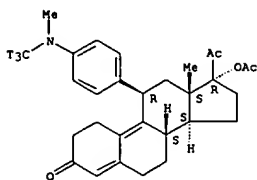
CN 19-Norpregna-4,9-diene-3,20-dione, 17-(acetyloxy)-11-[4-(aminophenyl)-, (11.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



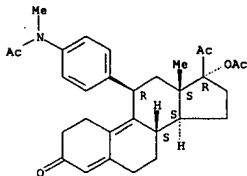
RN 244206-50-6 CAPLUS
 CN 19-Norpregna-4,9-diene-3,20-dione, 17-(acetyloxy)-11-[4-(methylmethyl-t3-amino)phenyl]-, (11.beta.)- (9CI) (CA INDEX NAME)

L4 ANSWER 13 OF 34 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)
Absolute stereochemistry.



RN 244206-56-2 CAPLUS
CN Acetamide, N-[4-[(11.beta.)-17-(acetyloxy)-3,20-dioxo-19-norpregna-4,9-dien-11-yl]phenyl]-N-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 9 THERE ARE 9 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 14 OF 34 CAPLUS COPYRIGHT 2003 ACS on STN

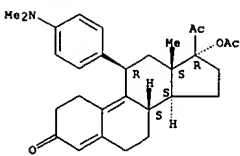
ACCESSION NUMBER: 1999:154103 CAPLUS
DOCUMENT NUMBER: 130:291788
TITLE: The novel progesterone receptor antagonists RTI 3021-012 and RTI 3021-022 exhibit complex glucocorticoid receptor antagonist activities: implications for the development of dissociated antiprogesterins
AUTHOR(S): Wagner, B. L.; Pollio, G.; Giangrande, P.; Webster, J. C.; Breslin, M.; Mais, D. E.; Cook, C. E.; Vedeckis, W. V.; Cidlowski, J. A.; McDonnell, D. P.
CORPORATE SOURCE: Department of Pharmacology and Cancer Biology, Duke University Medical Center, Durham, NC, 27710, USA
SOURCE: Endocrinology (1999), 140(3), 1449-1458
CODEN: ENDOAO; ISSN: 0013-7227
PUBLISHER: Endocrine Society
DOCUMENT TYPE: Journal
LANGUAGE: English

AB The authors have identified two novel compds. (RTI 3021-012 and RTI 3021-022) that demonstrate similar affinities for human progesterone receptor (PR) and display equiv. antiprogesterone activity. As with most antiprogesterins, such as RU486, RTI 3021-012 and RTI 3021-022 also bind to the glucocorticoid receptor (GR) with high affinity. Unexpectedly, when compared with RU486, the RTI antagonists manifest significantly less GR antagonist activity. This finding indicates that, with respect to antilucocorticoid function, receptor binding affinity is not a good predictor of biol. activity. The authors have detd. that the lack of a clear correlation between the GR binding affinity of the RTI compds. and their antagonist activity reflects the unique manner in which they modulate GR signaling. Previously, the authors proposed a two step "active inhibition" model to explain steroid receptor antagonism: (1) competitive inhibition of agonist binding; and (2) competition of the antagonist bound receptor with that activated by agonists for DNA response elements within target gene promoters. Accordingly, the authors obsd. that RU486, RTI 3021-012, and RTI 3021-022, when assayed for PR antagonist activity, accomplished both of these steps. Thus, all three compds. are "active antagonists" of PR function. When assayed on GR, however, RU486 alone functioned as an active antagonist. RTI 3021-012 and RTI 3021-022, functioned solely as "competitive antagonists" since they were capable of high affinity GR binding, but the resulting ligand receptor complex was unable to bind DNA. These results have important pharmaceutical implications supporting the use of mechanism based approaches to identify nuclear receptor modulators. Of equal importance, RTI 3021-012 and RTI 3021-022 are two new antiprogesterins that may have clin. utility and are likely to be useful as research reagents with which to sep. the effects of antiprogesterins and antilucocorticoids in physiol. systems.

IT 126784-99-4, RTI 3021-012
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); BIOL (Biological study)
(progesterone receptor antagonists RTI 3021-012 and RTI 3021-022 exhibit complex glucocorticoid receptor antagonist activities)
RN 126784-99-4 CAPLUS
CN 19-Norpregna-4,9-diene-3,20-dione, 17-(acetyloxy)-11-[4-(dimethylamino)phenyl]-, (11.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L4 ANSWER 14 OF 34 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)



REFERENCE COUNT: 41 THERE ARE 41 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 15 OF 34 CAPLUS COPYRIGHT 2003 ACS on STN

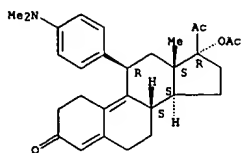
ACCESSION NUMBER: 1998:645881 CAPLUS
DOCUMENT NUMBER: 130:20723
TITLE: Antiovaratory and postcoital antifertility activity of the antiprogesterin CDB-2914 when administered as single, multiple, or continuous doses to rats
AUTHOR(S): Reel, Jerry R.; Hild-Petito, Sheri; Blye, Richard P.
CORPORATE SOURCE: BIOQUAL, Inc., Rockville, MD, 20852-3336, USA
SOURCE: Contraception (1998), 58(2), 129-136
CODEN: CCTPAY; ISSN: 0010-7824
PUBLISHER: Elsevier Science Inc.
DOCUMENT TYPE: Journal
LANGUAGE: English

AB The present studies in rats were undertaken to investigate the potential of a new antiprogesterin, CDB-2914, for use as an emergency postcoital contraceptive for women. When given orally at noon on the day of proestrus, both CDB-2914 and mifepristone displayed dose-dependent antiovaratory activity; however, CDB-2914 was about eight times more potent than mifepristone. Both antiprogesterins were considerably less potent in blocking ovulation when injected s.c. To evaluate antifertility activity during continuous low dose administration, rats were dosed orally with 0.5 mg of either CDB-2914 or mifepristone daily, commencing on the day of estrus and continuing for 24 days. Females were cohoused with proven fertile males on day 8 of treatment and were removed 1-3 days later after confirmed mating. The pregnancy rate was significantly reduced only in the CDB-2914-treated females; however, the mean no. of normal implantation sites per pregnant rat was significantly reduced by mifepristone as compared with the vehicle control group. CDB-2914 was also found to prevent pregnancy when administered orally after mating from days 0-3 during tubal egg transport, or from days 4-6 during the pre- and peri-implantation periods. To det. the day of maximal sensitivity to CDB-2914, a single 2-mg dose per rat was given orally on days 0, 1, 2, 3, 4, or 5 postmating. This dose of CDB-2914 was without effect on pregnancy at days 0, 1, 2, or 3 postmating. In contrast, 2 mg CDB-2914 per rat was highly effective in blocking pregnancy when given on either day 4 or 5 postmating. Collectively, these data demonstrate that CDB-2914 is an orally active postcoital antifertility agent that is more potent than mifepristone in the rat. Hence, CDB-2914 may prove to be an effective emergency postcoital contraceptive in women.

IT 126784-99-4, CDB-2914
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(antiovaratory and postcoital antifertility activity of antiprogesterin CDB-2914 compared to mifepristone as single, multiple, or continuous doses to rats)
RN 126784-99-4 CAPLUS
CN 19-Norpregna-4,9-diene-3,20-dione, 17-(acetyloxy)-11-[4-(dimethylamino)phenyl]-, (11.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L4 ANSWER 15 OF 34 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)



REFERENCE COUNT: 36 THERE ARE 36 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

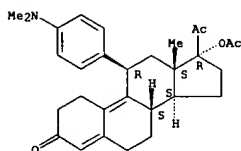
L4 ANSWER 16 OF 34 CAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: 1998:424125 CAPLUS
DOCUMENT NUMBER: 129:50105
TITLE: Uses of anti-glucocorticoid compounds for the treatment of psychoses or addictive behaviors
INVENTOR(S): Oberlander, Claude; Piazza, Pier Vincenzo
PATENT ASSIGNEE(S): Hoechst Marion Roussel, Fr.; Oberlander, Claude; Piazza, Pier Vincenzo
SOURCE: PCT Int. Appl., 41 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: French
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9826783	A1	19980625	WO 1997-FR2320	19971217
W: AL, AU, BA, BB, BG, BR, CA, CN, CU, CZ, EE, GE, GW, HU, ID, IL, IS, JP, KP, KR, LC, LK, LR, LT, LV, MG, MK, MN, MX, NO, NZ, PL, RO, SG, SI, SK, SL, TR, TT, UA, US, UZ, VN, YU, AM, AZ, BY, KG, KZ, MD, RU, TJ, TW				
RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
FR 2757400	A1	19980626	FR 1996-15649	19961219
FR 2757400	B1	19991217		
AU 9855632	A1	19980715	AU 1998-55632	19971217
EP 892641	A1	19990127	EP 1997-952078	19971217
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
PRIORITY APPLN. INFO.: FR 1996-15649 19961219 WO 1997-FR2320 19971217				

OTHER SOURCE(S): MARPAT 129:50105
AB Glucocorticoid antagonists, except mifepristone, are used as dopamine type II receptor antagonists to treat psychotic or addictive behavior. Thus, 17.β.-(4-methylthiophenyl)methyl]-17.α.-(1-propynyl)-19-norpregna-4,9-diene-3-one considerably reduced the response to morphine in vivo.
IT 126784-99-4
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses) (Use of anti-glucocorticoid compds. as dopamine type II receptor blocking agents for the treatment of psychoses or addictive behaviors)
RN 126784-99-4 CAPLUS
CN 19-Norpregna-4,9-diene-3,20-dione, 17-(acetyloxy)-11-[4-(dimethylamino)phenyl]-, (11.β.)- (9CI) (CA INDEX NAME)
Absolute stereochemistry.

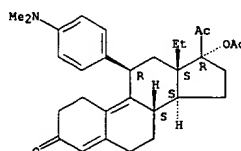
L4 ANSWER 16 OF 34 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)



REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

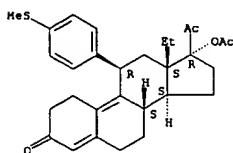
L4 ANSWER 17 OF 34 CAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: 1998:13308 CAPLUS
DOCUMENT NUMBER: 128:128177
TITLE: 11.β.-substituted 13.β.-ethyl gonane derivatives exhibit reversal of antiprogesterone activity
AUTHOR(S): Rao, Pemmaraju N.; Cessac, James W.; Blye, Richard P.; Kim, Hyun K.
CORPORATE SOURCE: Department of Organic Chemistry, Southwest Foundation for Biomedical Research, San Antonio, TX, 78245-0549, USA
SOURCE: Steroids (1998), 63(1), 50-57
CODEN: STEDAM; ISSN: 0039-128X
PUBLISHER: Elsevier Science Inc.
DOCUMENT TYPE: Journal
LANGUAGE: English
AB The syntheses of three 17.α.-acetoxy-13.β.-ethyl-11.β.-aryl-18,19-dinorpregna-4,9-diene-3,20-diones from levonorgestrel are described. Despite their close structural similarity to the antiprogesterone COS-2914, one of the compds. exhibits agonistic progestational activity, and the other two compds. are totally inactive.
IT 202062-92-8P 202062-93-9P 202062-94-0P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation) (prepn. of acetoxyethylaryldinorpregnadienediones with reversal of antiprogesterone activity)
RN 202062-92-8 CAPLUS
CN 18,19-Dinorpregna-4,9-diene-3,20-dione, 17-(acetyloxy)-11-[4-(dimethylamino)phenyl]-13-ethyl-, (11.β.)- (9CI) (CA INDEX NAME)
Absolute stereochemistry. Rotation (+).



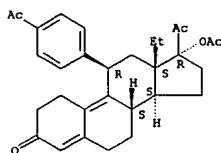
RN 202062-93-9 CAPLUS
CN 18,19-Dinorpregna-4,9-diene-3,20-dione, 17-(acetyloxy)-13-ethyl-11-[4-(methylthio)phenyl]-, (11.β.)- (9CI) (CA INDEX NAME)
Absolute stereochemistry. Rotation (+).

L4 ANSWER 17 OF 34 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)



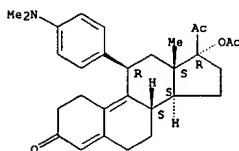
RN 202062-94-0 CAPLUS
CN 18,19-Dinorpregna-4,9-diene-3,20-dione, 17-(acetyloxy)-11-(4-acetylphenyl)-13-ethyl-, (11.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



L4 ANSWER 18 OF 34 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

Absolute stereochemistry.



L4 ANSWER 18 OF 34 CAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: 1997:745947 CAPLUS
DOCUMENT NUMBER: 128:19047
TITLE: Improvement of implantation rates after in vitro fertilization by administering a nitric oxide substrate and/or donor
INVENTOR(S): Chwalsz, Krzysztof; Garfield, Robert E.
PATENT ASSIGNEE(S): Schering Aktiengesellschaft, Germany
SOURCE: PCT Int. Appl., 38 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9741866	A1	19971113	WO 1997-EP2371	19970507
W: AL, AM, AT, AU, AZ, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IL, IS, JP, KE, KG, KP, KR, KZ, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, TJ, TM, TR, TT, UA, UG, UZ, VN, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
US 6040340	A	20000321	US 1996-646518	19960507
AU 9728947	A1	19971126	AU 1997-28947	19970507
EP 906105	A1	19990407	EP 1997-923032	19970507
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
CN 1218402	A	19990602	CN 1997-194452	19970507
BR 9708980	A	19990803	BR 1997-8980	19970507
JP 2000510462	T2	20000815	JP 1997-539553	19970507
BG 62953	B1	20001229	BG 1998-102881	19981029
NO 9805204	A	19990106	NO 1998-5204	19981106
KR 2000010833	A	20000225	KR 1998-708974	19981106

PRIORITY APPL. INFO.:
US 1996-646518 A 19960507
WO 1997-EP2371 W 19970507
AB A method is provided for the improvement of implantation rates and/or pregnancy rates in a female mammal, comprising administering to a female mammal in whom pregnancy is desired an effective amt. of: (a) a nitric oxide synthase substrate, a nitric oxide donor, or both, optionally in combination with, (b) a progestin, and, (c) optionally, in further combination with an estrogen. A method is also provided for fertility control for a female mammal, comprising administering to a female mammal in whom pregnancy is not desired and at risk of becoming pregnant an effective amt. of nitric oxide synthase inhibitor in combination with an antiprogesterone. Pharmaceutical compns. are also provided.
IT 126784-99-4, CDB2914
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(fertility control using a nitric oxide synthase inhibitor in combination with an antiprogesterone)
RN 126784-99-4 CAPLUS
CN 19-Norpregna-4,9-diene-3,20-dione, 17-(acetyloxy)-11-[4-

L4 ANSWER 19 OF 34 CAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: 1997:740250 CAPLUS
DOCUMENT NUMBER: 127:358992
TITLE: Preparation of 21-substituted progesterone derivatives as new antiprogesterone agents
INVENTOR(S): Kim, Hyun K.; Blye, Richard P.; Rao, Pemmaraju N.; Cessac, James W.; Acosta, Carmie K.
PATENT ASSIGNEE(S): United States Dept. of Health and Human Services, USA; Kim, Hyun K.; Blye, Richard P.; Rao, Pemmaraju N.; Cessac, James W.; Acosta, Carmie K.
SOURCE: PCT Int. Appl., 65 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9741145	A1	19971106	WO 1997-057373	19970430
W: AL, AM, AT, AU, AZ, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, HU, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
CA 2253673	AA	19971106	CA 1997-2253673	19970430
AU 9729304	A1	19971115	AU 1997-29304	19970430
AU 710139	B2	19990926		
EP 900234	A1	19990510	EP 1997-923523	19970430
EP 900234	B1	20000705		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
AT 194358	E	20000715	AT 1997-923523	19970430
JP 2000509396	T2	20000725	JP 1997-539232	19970430
ES 2152671	T2	20010201	ES 1997-923523	19970430
US 2002025951	A1	20020228	US 1999-180132	19990524
PRIORITY APPL. INFO.: US 1996-16628P P 19960501 WO 1997-057373 W 19970430				

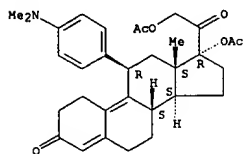
OTHER SOURCE(S): MARPAT 127:358992
AB Progesterone derivs. of formula I (R1 = OMe, SMe, NMe2, NtMe, CHO, Ac, CHOHCH3; R2 = halo, alkyl, acyl, OH, alkoxy, etc.; R3 = OH, alkyl, alkoxy, acyloxy; R4 = H, alkyl, X = O, [substituted] NOH] are prepd. as antiprogesterone agents. The present invention provides methods wherein the compds. of formula I are advantageously used, inter alia, to antagonize endogenous progesterone; to induce menses; to treat endometriosis; to treat dysmenorrhea; to treat endocrine hormone-dependent tumors; to treat uterine fibroids; to inhibit uterine endometrial proliferation; to induce labor; and for contraception. Thus, II was prepd. from 3,3-ethylenedioxy-17.beta.-cyano-17.alpha.-hydroxyestra- (10),9(11)-diene and 4-bromo-N,N-dimethylaniline in 9 steps. II showed 2.79 times the antiprogesterone potency in the antiClauberg test compared to CDB-2914.
IT 198414-07-2P 198414-31-2P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(prepn. of progesterone derivs. as antiprogesterone agents)

L4 ANSWER 19 OF 34 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

RN 198414-07-2 CAPLUS

CN 19-Norpregna-4,9-diene-3,20-dione, 17-bis(acetyloxy)-11-[4-(dimethylamino)phenyl]-, (11.beta.)- (9CI) (CA INDEX NAME)

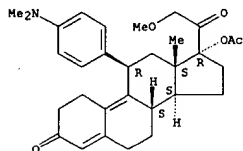
Absolute stereochemistry.



RN 198414-31-2 CAPLUS

CN 19-Norpregna-4,9-diene-3,20-dione, 17-(acetyloxy)-11-[4-(dimethylamino)phenyl]-21-methoxy-, (11.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

IT 198414-03-8P 198414-05-0P 198414-11-8P
198414-22-1P 198414-33-4P 198414-34-5P
198414-39-0P 198414-43-6P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (prepn. of progesterone derivs. as antiprogesterone agents)

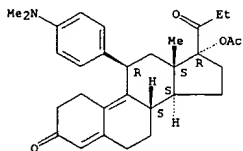
RN 198414-03-8 CAPLUS

CN 19-Norpregna-4,9-diene-3,20-dione, 17-(acetyloxy)-11-[4-(dimethylamino)phenyl]-21-fluoro-, (11.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L4 ANSWER 19 OF 34 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

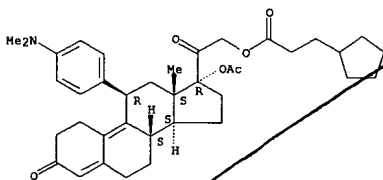
Absolute stereochemistry. Rotation (+).



RN 198414-33-4 CAPLUS

CN 19-Norpregna-4,9-diene-3,20-dione, 17-(acetyloxy)-21-(3-cyclopentyl-1-oxopropoxy)-11-[4-(dimethylamino)phenyl]-, (11.beta.)- (9CI) (CA INDEX NAME)

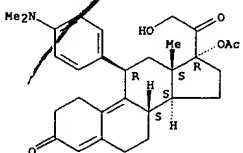
Absolute stereochemistry.



RN 198414-34-5 CAPLUS

CN 19-Norpregna-4,9-diene-3,20-dione, 17-(acetyloxy)-11-[4-(dimethylamino)phenyl]-21-hydroxy-, (11.beta.)- (9CI) (CA INDEX NAME)

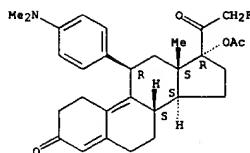
Absolute stereochemistry.



RN 198414-39-0 CAPLUS

CN 19-Norpregna-4,9-diene-3,20-dione, 17-(acetyloxy)-11-[4-(dimethylamino)phenyl]-21-ethoxy-, (11.beta.)- (9CI) (CA INDEX NAME)

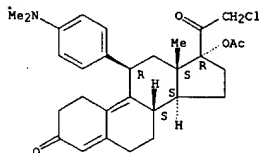
L4 ANSWER 19 OF 34 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)



RN 198414-05-0 CAPLUS

CN 19-Norpregna-4,9-diene-3,20-dione, 17-(acetyloxy)-21-chloro-11-[4-(dimethylamino)phenyl]-, (11.beta.)- (9CI) (CA INDEX NAME)

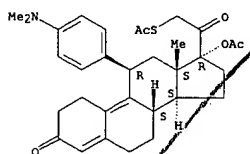
Absolute stereochemistry.



RN 198414-11-8 CAPLUS

CN 19-Norpregna-4,9-diene-3,20-dione, 17-(acetyloxy)-21-(acetylthio)-11-[4-(dimethylamino)phenyl]-, (11.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

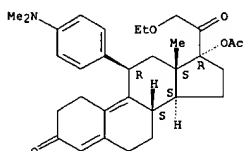


RN 198414-22-1P CAPLUS

CN Extra-4,9-dien-3-one, 17-(acetyloxy)-11-[4-(dimethylamino)phenyl]-17-(1-oxopropyl)-, (11.beta.,17.alpha.)- (9CI) (CA INDEX NAME)

L4 ANSWER 19 OF 34 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

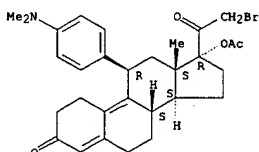
Absolute stereochemistry.



RN 198414-43-6 CAPLUS

CN 19-Norpregna-4,9-diene-3,20-dione, 17-(acetyloxy)-21-bromo-11-[4-(dimethylamino)phenyl]-, (11.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



IT 198414-40-3P 198414-41-4P

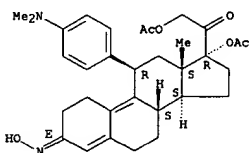
RL: SPN (Synthetic preparation); PREP (Preparation) (prepn. of progesterone derivs. as antiprogesterone agents)

RN 198414-40-3 CAPLUS

CN 19-Norpregna-4,9-diene-3,20-dione, 17,21-bis(acetyloxy)-11-[4-(dimethylamino)phenyl]-, 3-oxime, (3E,11.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry as shown.

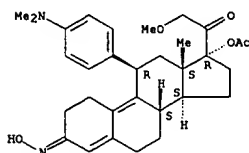


RN 198414-41-4 CAPLUS

CN 19-Norpregna-4,9-diene-3,20-dione, 17-(acetyloxy)-11-[4-(dimethylamino)phenyl]-21-methoxy-, 3-oxime, (11.beta.)- (9CI) (CA INDEX NAME)

L4 ANSWER 19 OF 34 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

Absolute stereochemistry.
Double bond geometry unknown.



L4 ANSWER 20 OF 34 CAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: 1996:705614 CAPLUS

DOCUMENT NUMBER: 125:329114

TITLE: Improved preparation of 17.alpha.-acetoxy-11.beta.-(4-N,N-dimethylaminophenyl)-19-norpregna-4,9-diene-3,20-dione and its intermediates

INVENTOR(S): Kim, Hyun K.; Rao, Pemmaraju Narasimha; Burdett, James E., Jr.; Acosta, Carmie Kirk

PATENT ASSIGNEE(S): United States Dept. of Health and Human Services, USA

SOURCE: PCT Int. Appl., 40 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9630390	A2	19961003	WO 1996-US3660	19960318
WO 9630390	A3	19970109		
W: AL, AM, AT, AU, AZ, BG, BR, BY, CA, CH, CN, CZ, DE, DK, EE, ES, FI, GB, GE, GR, HU, IL, JP, KE, KG, KP, KR, KZ, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI				
RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML				
US 5929262	A	19990727	US 1995-413755	19950330
CA 2216737	AA	19961003	CA 1996-2216737	19960318
AU 9653145	A1	19961016	AU 1996-53145	19960318
AU 716894	B2	20000309		
EP 817793	A2	19980114	EP 1996-909749	19960318
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				

PRIORITY APPLN. INFO.: US 1995-413755 A 19950330
WO 1996-US3660 W 19960318

OTHER SOURCE(S): CASREACT 125:329114; MARPAT 125:329114

AB Improved method for prepn. of 19-norprogesterone (I) and its intermediates, in cryst. and amorphous forms is given. I is prepd. in seven steps by silylation of 3-ethylenedioxy-17.beta.-cyano-17.alpha.-hydroxyestra-5(10),9(11)-diene followed by oxidn., ketalization, epoxidn., arylation, deprotection and acetylation.

IT 126784-99-4P

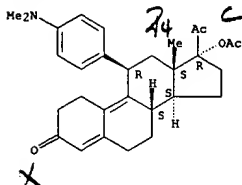
RL: SPN (Synthetic preparation); PREP (Preparation)
(Improved prepn. of 17.alpha.-acetoxy-11.beta.-(4-N,N-dimethylaminophenyl)-19-norpregna-4,9-diene-3,20-dione and its intermediates)

RN 126784-99-4 CAPLUS

CN 19-Norpregna-4,9-diene-3,20-dione, 17-(acetyloxy)-11-[(4-(dimethylamino)phenyl)-, (11.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L4 ANSWER 20 OF 34 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)



L4 ANSWER 21 OF 34 CAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: 1996:540408 CAPLUS

DOCUMENT NUMBER: 125:238850

TITLE: Effects of two antiprogesterins on early pregnancy in the long-tailed macaque (Macaca fascicularis)

AUTHOR(S): Tarantal, Alice F.; Hendrickx, Andrew G.; Matlin, Stephen A.; Lasley, Bill L.; Gu, Quin-Quin; Thomas, Charles A.A.; Vince, Pamela M.; Van Look, Paul F.A.

CORPORATE SOURCE: California Regional Primate Research Center, University of California, Davis, CA, 95616, USA

SOURCE: Contraception (1996), 54(2), 107-115

CODEN: CCPTAY; ISSN: 0010-7824

PUBLISHER: Elsevier

DOCUMENT TYPE: Journal

LANGUAGE: English

AB The abortifacient effects of mifepristone and HRP 2000 were compared in gravid long-tailed macaques. Thirty-six animals were studied with treatment administered either by the oral (0.5 or 5.0 mg/kg; N = 5 per antiprogesterin per dose) or i.m. (IM) routes (0.5 mg/kg; N = 5 per antiprogesterin per dose). Effects of two antiprogesterins on early pregnancy in the long-tailed macaque (Macaca fascicularis) Tarantal, Alice F.; Hendrickx, Andrew G.; Matlin, Stephen A.; Lasley, Bill L.; Gu, Quin-Quin; Thomas, Charles A.A.; Vince, Pamela M.; Van Look, Paul F.A. California Regional Primate Research Center, University of California, Davis, CA, 95616, USA Contraception (1996), 54(2), 107-115 CODEN: CCPTAY; ISSN: 0010-7824 Elsevier

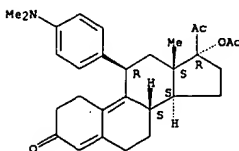
IT 126784-99-4

RL: BPR (Biological process); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); PROC (Process); USES (Uses)
(abortifacient effects of antiprogesterins in early pregnancy in long-tailed macaque in relation to dose and administration route)

RN 126784-99-4 CAPLUS

CN 19-Norpregna-4,9-diene-3,20-dione, 17-(acetyloxy)-11-[(4-(dimethylamino)phenyl)-, (11.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L4 ANSWER 21 OF 34 CAPLUS COPYRIGHT 2003 ACS ON STN (Continued)

L4 ANSWER 22 OF 34 CAPLUS COPYRIGHT 2003 ACS ON STN

ACCESSION NUMBER: 1996:498851 CAPLUS
 DOCUMENT NUMBER: 125:238820
 TITLE: 16.alpha.-substituted analogs of the antiprogesterone RU486 induce a unique conformation in the human progesterone receptor resulting in mixed agonist activity
 AUTHOR(S): Wagner, Brandee L.; Pollio, Giuseppe; Leonhardt, Susan; Wani, Mansukh C.; Lee, David Y.-W.; Imhof, Markus O.; Edwards, Dean P.; Cook, C. Edgar; McDonnell, Donald P.
 CORPORATE SOURCE: Department Pharmacology Molecular Cancer Biology, Duke University Medical Center, Durham, NC, 27710, USA
 SOURCE: Proceedings of the National Academy of Sciences of the United States of America (1996), 93(16), 8739-8744
 CODEN: PNASAF; ISSN: 0027-8424
 PUBLISHER: National Academy of Sciences
 DOCUMENT TYPE: Journal
 LANGUAGE: English

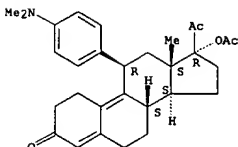
AB Previously, the authors have shown that agonists and antagonists interact with distinct, though overlapping regions within the human progesterone receptor (hPR) resulting in the formation of structurally different complexes. Thus, a link was established between the structure of a ligand-receptor complex and biol. activity. In this study, the authors have utilized a series of in vitro assays with which to study hPR pharmacol. and have identified a third class of hPR ligands that induce a receptor conformation which is distinct from that induced by agonists or antagonists. Importantly, when assayed on PR-responsive target genes these compds. were shown to exhibit partial agonist activity; an activity that was influenced by cell context. Thus, as has been shown previously for estrogen receptor, the overall structure of the ligand-receptor complex is influenced by the nature of the ligand. It appears, therefore, that the obsd. differences in the activity of some PR and estrogen receptor ligands reflect the ability of the cellular transcription machinery to discriminate between the structurally different complexes that result following ligand interaction. These data support the increasingly favored hypothesis that different ligands can interact with different regions within the hormone binding domains of steroid hormone receptors resulting in different biologicals.

IT 126784-99-4, RTI 3021-012
 RL: BAC (Biological activity or effector, except adverse); BPR (Biological process); BSU (Biological study, unclassified); FRP (Properties); BIOL (Biological study); PROC (Process)
 (16.alpha.-substituted analogs of the antiprogesterone RU486 induce a unique conformation in the human progesterone receptor resulting in mixed agonist activity)

RN 126784-99-4 CAPLUS
 CN 19-Norpregna-4,9-diene-3,20-dione, 17-(acetyloxy)-11-{4-(dimethylamino)phenyl}-, (11.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L4 ANSWER 22 OF 34 CAPLUS COPYRIGHT 2003 ACS ON STN (Continued)



L4 ANSWER 23 OF 34 CAPLUS COPYRIGHT 2003 ACS ON STN

ACCESSION NUMBER: 1995:985962 CAPLUS
 DOCUMENT NUMBER: 124:22540
 TITLE: Pharmaceutical compositions of antigluccorticoid compounds for treating or preventing symptoms of spontaneous or narcotic-induced withdrawal.
 INVENTOR(S): Petit, Francis; Philibert, Daniel; Ulmann, Andre
 PATENT ASSIGNEE(S): Roussel-UCLAF, Fr.
 SOURCE: Eur. Pat. Appl., 30 pp.
 CODEN: EPXKDW
 DOCUMENT TYPE: Patent
 LANGUAGE: French
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 676203	A1	19951011	EP 1995-400764	19950406
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, NL, PT, SE				
FR 2718354	A1	19951013	FR 1994-4156	19940408
FR 2718354	B1	19960503		
ZA 9502058	A	19960313	ZA 1995-2058	19950313
CA 2146600	AA	19951009	CA 1995-2146600	19950407
FI 9501683	A	19951009	FI 1995-1683	19950407
AU 9516326	A1	19951019	AU 1995-16326	19950407
JP 07278017	A2	19951024	JP 1995-107071	19950407
HU 71468	A2	19951128	HU 1995-1019	19950407
CN 1116929	A	19960221	CN 1995-104015	19950407
PRIORITY APPLN. INFO.: MARPAT 124:22540			FR 1994-4156	19940408

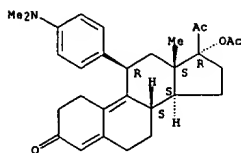
OTHER SOURCE(S):
 AB Antigluccorticoid steroids such as mifepristone, onapristone, lilopristone and related steroids are proposed for the prevention or treatment of withdrawal syndromes, either spontaneous or ptpd. by narcotics or mixts. of narcotics. These antigluccorticoids would be useful in the withdrawal from morphinomimetics such as heroin, morphine or methadone as well as cocaine. Pharmacol. activity was demonstrated by the effect of the antigluccorticoids on the stereotypic behavior of mice in response to narcotics. Spontaneous withdrawal syndrome was induced by administration of the opioid antagonist, naloxone. An antiprogesterone activity of the steroids in their action mechanism was eliminated. Results confirmed the involvement of endogenous gluccorticoids in morphine withdrawal since this is inhibited by antigluccorticoids or adrenalectomy.

IT 126784-99-4
 RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
 (RU 486 related; antigluccorticoid steroids for treatment or prevention of spontaneous opioid or narcotic-induced drug withdrawal syndrome.)

RN 126784-99-4 CAPLUS
 CN 19-Norpregna-4,9-diene-3,20-dione, 17-(acetyloxy)-11-{4-(dimethylamino)phenyl}-, (11.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L4 ANSWER 23 OF 34 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)



L4 ANSWER 24 OF 34 CAPLUS COPYRIGHT 2003 ACS on STN

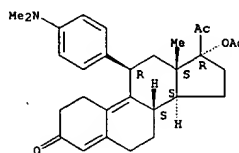
ACCESSION NUMBER: 1995:499191 CAPLUS
 DOCUMENT NUMBER: 122:256542
 TITLE: The anti-progestin CDB 2914 has no antifertility effect in male rats
 AUTHOR(S): Wang, Christina; Sinha-Hikim, Amiya; Leung, Andrew
 CORPORATE SOURCE: Department of Medicine, Cedars-Sinai Medical Center, Los Angeles, CA, USA
 SOURCE: Contraception (1995), 51(3), 215-18
 CODEN: CCPTAY; ISSN: 0010-7824
 DOCUMENT TYPE: Journal
 LANGUAGE: English

AB This study examines the effect of an anti-progestin (CDB 2914) with anti-progestational potencies similar to RU 486 on spermatogenesis, sperm maturation, and fertility in male rats. Adult male rats of proven fertility were administered the anti-progestin (10 mg/kg/day) or vehicle (control group) for 14, 35, and 70 days to study the possible effect of this compd. on epididymal sperm maturation, post-meiotic sperm development, spermatogenesis, and fertility, resp. Fertility rates of the rats were detd. by mating studies. The anti-progestin, CDB 2914, had no effect on testis or accessory organ wts., epididymal sperm content or motility, testicular sperm count, spermatogenesis, and fertility of male rats. This study suggests that anti-progestins, when administered even at higher doses than those used in humans, have no contraceptive effect in adult male rats.

IT 126784-99-4, CDB 2914
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); BIOL (Biological study)
 (anti-progestin CDB 2914 has no antifertility effect in male rats)

RN 126784-99-4 CAPLUS
 CN 19-Norpregna-4,9-diene-3,20-dione, 17-(acetyloxy)-11-[4-(dimethylamino)phenyl]-, (11.alpha.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L4 ANSWER 25 OF 34 CAPLUS COPYRIGHT 2003 ACS on STN

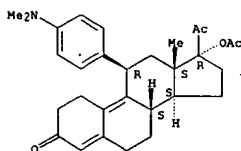
ACCESSION NUMBER: 1995:86211 CAPLUS
 DOCUMENT NUMBER: 122:31745
 TITLE: Oxidative demethylation of 4-substituted N,N-dimethylanilines with iodine and calcium oxide in the presence of methanol
 AUTHOR(S): Acosta, Kirk; Cessac, James W.; Rao, P. Narasimha; Kim, Kyun K.
 CORPORATE SOURCE: Dep. Org. Chem., Southwest Foundation Biomed. Res., San Antonio, TX, 78228-0147, USA
 SOURCE: Journal of the Chemical Society, Chemical Communications (1994), (17), 1985-6
 CODEN: JCCCAT; ISSN: 0022-4936
 DOCUMENT TYPE: Journal
 LANGUAGE: English
 OTHER SOURCE(S): CASREACT 122:31745

AB Reaction of p-substituted N,N-dimethylarylamines with iodine-calcium oxide in tetrahydrofuran-methanol affords N-methylarylamines in good yield.

IT 126784-99-4
 RL: RCT (Reactant); RACT (Reactant or reagent)
 (oxidative demethylation of 4-substituted N,N-dimethylanilines with iodine and calcium oxide in methanol)

RN 126784-99-4 CAPLUS
 CN 19-Norpregna-4,9-diene-3,20-dione, 17-(acetyloxy)-11-[4-(dimethylamino)phenyl]-, (11.alpha.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



IT 159681-66-0P
 RL: SPN (Synthetic preparation); PREP (Preparation)
 (oxidative demethylation of 4-substituted N,N-dimethylanilines with iodine and calcium oxide in methanol)

RN 159681-66-0 CAPLUS
 CN 19-Norpregna-4,9-diene-3,20-dione, 17-(acetyloxy)-11-[4-(methylamino)phenyl]-, (11.alpha.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

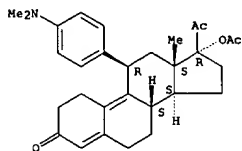
L4 ANSWER 26 OF 34 CAPLUS COPYRIGHT 2003 ACS on STN
 ACCESSION NUMBER: 1994:290311 CAPLUS
 DOCUMENT NUMBER: 120:290311
 TITLE: A comparison of the pregnancy-terminating potencies of three anti-progestins in guinea pigs, and the effects of sulprostone

AUTHOR(S): Poyser, N. L.; Forcelledo, M. L.
 CORPORATE SOURCE: Med. Sch., Univ. Edinburgh, Edinburgh, EH8 9JZ, UK
 SOURCE: Prostaglandins, Leukotrienes and Essential Fatty Acids (1994), 50(5), 245-7
 CODEN: PLEAEU; ISSN: 0952-3278

DOCUMENT TYPE: Journal
 LANGUAGE: English
 AB The anti-progestins mifepristone, lilopristone (2K 98734) and HRP 2000 were equipotent at terminating the pregnancy of guinea-pigs during mid-gestation, although mifepristone was more effective at low doses. Sulprostone administration on the day following anti-progestin treatment tended to increase the effectiveness of mifepristone and HRP 2000, without affecting the time interval between the start of the antiprogesterin treatment and the day of abortion. It is concluded that, of the three afferent anti-progestins used, none is more potent than the other two at terminating pregnancy in the animal model used. The co-administration of a PGE2 analog tends to increase the effectiveness of the anti-progestin.

IT 126784-99-4
 RL: BIOL (Biological study)
 (abortion from, sulprostone enhancement of)
 RN 126784-99-4 CAPLUS
 CN 19-Norpregna-4,9-diene-3,20-dione, 17-(acetyloxy)-11-[4-(dimethylamino)phenyl]-, (11.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



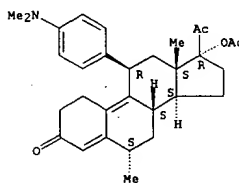
L4 ANSWER 27 OF 34 CAPLUS COPYRIGHT 2003 ACS on STN
 ACCESSION NUMBER: 1993:73787 CAPLUS
 DOCUMENT NUMBER: 118:73787
 TITLE: Reversal of activity profile in analogs of the antiprogesterin RU 486: effect of a 16.alpha.-substituent on progestational (agonist) activity

AUTHOR(S): Cook, C. Edgar; Wani, Mansukh C.; Lee, Yue Wei; Fail, Patricia A.; Petrow, Vladimir
 CORPORATE SOURCE: Research Triangle Inst., Research Triangle Park, NC, 27709-2194, USA
 SOURCE: Life Sciences (1993), 52(2), 155-62
 CODEN: LIFSAR; ISSN: 0024-3205

DOCUMENT TYPE: Journal
 LANGUAGE: English
 AB RU 486 analogs (I, R = H, OAc; R1 = H, Et; R2 = H, Me) were tested for binding to progesterone receptors and for progestational and antiprogesterational activity. The 17.beta.-acetoxy analogs showed antiprogesterational activity, whereas the 16.alpha.-Et analogs were progestogenic. The analog I (R = R1 = R2 = H) exhibited mixed activity. Examn. of structure-activity relationships in combination with computer aided mol. modeling suggests that a binding interaction of the 16.alpha.-Et group with the progesterone receptor (PR) or the PR-progesterin response element complex may play the major role in this reversal of activity profile.

IT 126690-26-4 126784-99-4
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); BIOL (Biological study)
 (antiprogesterogenic activity of, mol. structure in relation to)
 RN 126690-26-4 CAPLUS
 CN 19-Norpregna-4,9-diene-3,20-dione, 17-(acetyloxy)-11-[4-(dimethylamino)phenyl]-6-methyl-, (6.alpha.,11.beta.)- (9CI) (CA INDEX NAME)

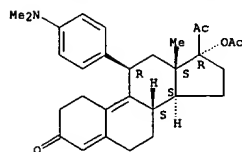
Absolute stereochemistry.



RN 126784-99-4 CAPLUS
 CN 19-Norpregna-4,9-diene-3,20-dione, 17-(acetyloxy)-11-[4-(dimethylamino)phenyl]-, (11.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L4 ANSWER 27 OF 34 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)



L4 ANSWER 28 OF 34 CAPLUS COPYRIGHT 2003 ACS on STN
 ACCESSION NUMBER: 1990:198892 CAPLUS
 DOCUMENT NUMBER: 112:198892

TITLE: Preparation of 11.beta.-aryl-19-norsteroids as antigluco-corticoids, progestogens, and antiprogesterogens
 INVENTOR(S): Cook, C. Edgar; Wani, Mansukh C.; Lee, Yue Wei; Reel, Jerry R.; Rector, Douglas
 PATENT ASSIGNEE(S): Research Triangle Institute, USA
 SOURCE: PCT Int. Appl., 50 pp.
 CODEN: PIXK02

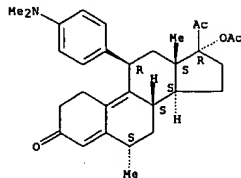
DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 8912448	A1	19891228	WO 1989-US2706	19890623
W: AU, DK, JP, KR, NO				
RW: AT, BE, CH, DE, FR, GB, IT, LU, NL, SE				
US 4954490	A	19900904	US 1988-210503	19880623
CA 1338906	A1	19970211	CA 1989-603686	19890622
AU 8938506	A1	19900112	AU 1989-38506	19890623
AU 635211	B2	19930318		
EP 422100	A1	19910417	EP 1989-907924	19890623
EP 422100	B1	19970312		
R: AT, BE, CH, DE, FR, GB, IT, LI, LU, NL, SE				
JP 03505582	T2	19911205	JP 1989-507392	19890623
JP 2953725	B2	19990927		
AT 149839	E	19970315	AT 1989-907924	19890623
US 5073548	A	19911217	US 1990-504129	19900403
NO 9005546	A	19901221	NO 1990-5546	19901221
NO 178264	B	19951113		
NO 178264	C	19960221		
DK 9003053	A	19901221	DK 1990-3053	19901221
PRIORITY APPLN. INFO.:				
			US 1988-210503	19880623
			WO 1989-US2706	19890623

OTHER SOURCE(S): MARPAT 112:198892
 AB The title compds. [I: R1 = H, alkyl, alkenyl, etc.; R2 = H, R3 = H, alkyl, alkenyl, alkynyl; R4 = H, Me, F, Cl; R5 = H, Me2N, MeO, MeCO, MeS, etc.; X = O, MeON; or R1R2 = bond; or R1R3 = CH2, N:CH2; or R2R3 = CH2] were prepd. Grignard reaction of 5.alpha.,6.alpha.-epoxy-6.alpha.-methyl-3,3:20,20-bis(ethylenedioxy)-19-norpregn-9(11)-en-17.alpha.-ol (prepn. given) with p-Me2NCH4MgBr followed by 17-O-acetylation and deketalization gave I [R1 = ACO, R2 = R3 = H, R4 = Me, R5 = Me2N, X = O]. The binding affinity of I for progesterone receptor in cytosol obtained from estrogen-primed immature rabbit uterus was 8-80% that of progesterone. Several I had glucocorticoid receptor binding affinities up to 2.5-fold that of dexamethasone, and one compd. had in vivo antiprogesterational activity comparable to that of RU-486.
 IT 126690-26-4P 126690-28-7P 126784-99-4P
 RL: SPN (Synthetic preparation); PREP (Preparation)
 (prepn. of, as antigluco-corticoid and/or (anti)progestogen)
 RN 126690-26-4 CAPLUS
 CN 19-Norpregna-4,9-diene-3,20-dione, 17-(acetyloxy)-11-[4-(dimethylamino)phenyl]-6-methyl-, (6.alpha.,11.beta.)- (9CI) (CA INDEX NAME)

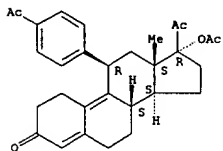
Absolute stereochemistry.

L4 ANSWER 28 OF 34 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)



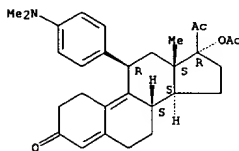
RN 126690-29-7 CAPLUS
CN 19-Norpregna-4,9-diene-3,20-dione, 17-(acetyloxy)-11-(4-acetylphenyl)-,
(11.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

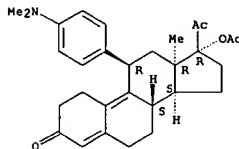


RN 126784-99-4 CAPLUS
CN 19-Norpregna-4,9-diene-3,20-dione, 17-(acetyloxy)-11-[4-(
dimethylamino)phenyl]-, (11.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

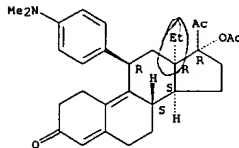


L4 ANSWER 29 OF 34 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)



RN 96285-50-6 CAPLUS
CN 18,19-Dinorpregna-4,9-diene-3,20-dione, 17-(acetyloxy)-11-[4-(
dimethylamino)phenyl]-13-ethyl-, (11.beta.,13.alpha.)- (9CI) (CA INDEX
NAME)

Absolute stereochemistry.



L4 ANSWER 29 OF 34 CAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: 1989:213172 CAPLUS
DOCUMENT NUMBER: 110:213172
TITLE: 13(Alpha)-alkylgonanes, their production, and
pharmaceutical preparations containing same
INVENTOR(S): Neef, Guenter; Wiechert, Rudolf; Beier, Sybille;
Elger, Walter; Henderson, David
PATENT ASSIGNEE(S): Schering A.-G., Fed. Rep. Ger.
SOURCE: U.S., 5 pp. Cont. of U.S. Ser. No. 621,308.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 4
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 4780461	A	19891025	US 1985-810148	19851218
DE 3321826	A1	19841220	DE 1983-3321826	19830615
DE 3413036	A1	19851017	DE 1984-3413036	19840404
DE 3446661	A1	19860619	DE 1984-3446661	19841218
PRIORITY APPLN. INFO.:			DE 1983-3321826	19830615
			DE 1984-3413036	19840404
			US 1984-621308	19840615
			DE 1984-3446661	19841218

OTHER SOURCE(S): CASREACT 110:213172; MARPAT 110:213172
AB 13.alpha.-Alkylgonanes [I; R = C1-4 acyl; X = O, NOH; II; R1 = amino; R2 = H, Me, Et; R3 = (substituted) alkyl; R4 = OH, alkoxy, alkanoyloxy; or R3R4 = O; R5 = H, alkyl; III; Z = CH2CH2, CH2OCH2CH2], having antigestagenic activity and useful as postcoital contraceptives, or for triggering abortion and menstruation (no data), are prepd. via photochem. epimerization of the 13.beta.-gonanes IV. 11.beta.-(4-Dimethylaminomethyl)-17.alpha.-hydroxy-13.alpha.-methyl-17.beta.-(3-hydroxypropyl)-4,9-gonadien-3-one (V) was acetylated with Ac2O in pyridine to give 11.beta.-(4-dimethylaminomethyl)-17.alpha.-hydroxy-13.alpha.-methyl-17.beta.-(3-acetoxypentyl)-4,9-gonadien-3-one. A tablet was formulated contg. V 10.0, lactose 140.0, corn starch 69.5, polyvinylpyrrolidone 2.5, Aerosil 2.0, and Mg stearate 0.5 mg.
IT 96285-40-49 96285-50-6P
RL: SPN (Synthetic preparation); PREP (Preparation) (prepn. of, as postcoital contraceptive)
RN 96285-40-4 CAPLUS
CN 19-Norpregna-4,9-diene-3,20-dione, 17-(acetyloxy)-11-[4-(dimethylamino)phenyl]-, (11.beta.,13.alpha.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L4 ANSWER 30 OF 34 CAPLUS COPYRIGHT 2003 ACS on STN

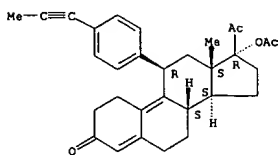
ACCESSION NUMBER: 1988:529463 CAPLUS
DOCUMENT NUMBER: 109:129463
TITLE: New 11-(alkynylphenyl)-substituted 19-nor and 19-nor-D-homo steroids, their formation and pharmacological activity, and processes for their preparation
INVENTOR(S): Teutsch, Jean Georges; Klich, Michel; Philibert, Daniel
PATENT ASSIGNEE(S): Roussel-UCLAF, Fr.
SOURCE: Eur. Pat. Appl., 88 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: French
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 245170	A1	19871111	EP 1987-401018	19870504
EP 245170	B1	19891129		
R: CH, DE, GB, IT, LI, NL, SE				
FR 2598421	A1	19871113	FR 1986-6517	19860506
FR 2598421	B1	19880819		
US 4912097	A	19900327	US 1987-44958	19870430
HU 44793	A2	19880428	HU 1987-2007	19870505
HU 196224	B	19880528		
JP 62294694	A2	19871222	JP 1987-109059	19870506
PRIORITY APPLN. INFO.:			FR 1986-6517	19860506

OTHER SOURCE(S): CASREACT 109:129463
AB Title steroids I [R1 = C2-8 alkynyl (un)substituted by OH, halo, trialkylsilyl, alkoxy, alkylthio, dialkylamino, or oxo; R2 = C1-3 alkyl; A/B-rings = Q1-Q5; D-ring = Q6; Q7; R3, R4 = H, C1-4 alkyl; R5 = H, OH, acyloxy, (un)substituted C1-5 alkoxy; R6 = H, C1-8 alkyl, C7-15 aralkyl; R7, R8 = H, OH, etc.; R7R8 = lactones and related groups; YZ = CH2CH2, CH=CH, 1,2-cyclopropanediyl, CH2CH2, CH2CH2R10; R9, R10 = C1-4 alkyl] are prepd. for use as progestogens, antiprogestogens, and/or antiglucoocorticoids. 3,3-Ethylenedioxy-5,10-epoxy-estr-9(11)-en-17-one was treated with 4-(Me3SiC(C)C6H4MgBr and CuCl in THF, and the product treated with CH2=CHCH2MgBr and deprotected and dehydrated (NH4OH in aq. MeOH, then aq. HCl) to give (ethynylphenyl)allylhydroxyestradiene II. At 10-6M in vitro, II gave 99% reversal of the dexamethasone-induced redn. of uridine uptake by rat thymocytes (5 times 10-8M dexamethasone). Tablets were prepd. from 50 mg of the 17.alpha.-(chloroethynyl) analog of II, and 120 mg of a mixt. of talc, starch, and Mg stearate.
IT 116421-73-9P 116421-74-OP
RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (prepn. of, as drug)
RN 116421-73-9 CAPLUS
CN 19-Norpregna-4,9-diene-3,20-dione, 17-(acetyloxy)-11-[4-(1-propynyl)phenyl]-, (11.beta.)- (9CI) (CA INDEX NAME)

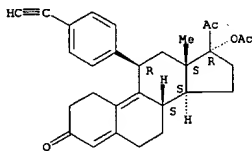
Absolute stereochemistry.

L4 ANSWER 30 OF 34 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)



RN 116421-74-0 CAPLUS
 CN 19-Norpregna-4,9-diene-3,20-dione, 17-(acetyloxy)-11-(4-ethynylphenyl)-,
 (11.β.α.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L4 ANSWER 31 OF 34 CAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: 1987:5324 CAPLUS
 DOCUMENT NUMBER: 106:5324
 TITLE: 11.β-Phenylgonanes and pharmaceutical compositions containing them
 INVENTOR(S): Neef, Guenter; Wiechert, Rudolf; Ottow, Eckard; Rohde, Ralph; Beier, Sybille; Elger, Walter; Henderson, David
 PATENT ASSIGNEE(S): Schering A.-G., Fed. Rep. Ger.
 SOURCE: Eur. Pat. Appl., 55 pp.
 CODEN: EPXXDW
 DOCUMENT TYPE: Patent
 LANGUAGE: German
 FAMILY ACC. NUM. COUNT: 2
 PATENT INFORMATION:

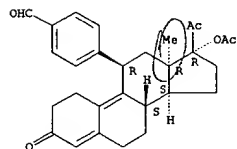
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 190759	A2	19860813	EP 1986-101548	19860206
EP 190759	A3	19861120		
EP 190759	B1	19890830		
R: AT, BE, CH, DE, FR, GB, IT, LI, LU, NL, SE				
DE 3504421	A1	19860807	DE 1985-3504421	19850207
DE 3527517	A1	19870129	DE 1985-3527517	19850729
AT 45956	E	19890915	AT 1986-101548	19860206
PRIORITY APPLN. INFO.:			DE 1985-3504421	19850207
			DE 1985-3527517	19850729
			EP 1986-101548	19860206

OTHER SOURCE(S): CASREACT 106:5324
 AB 11.β-Phenylgonane derivs. I [Z = O, CH₂, bonds: X = O, NOH; R₁ = 3- or 4-hydrocarbyl contg. C(X); R₂ = .α. or .β. -Me or -Et; R₃ and R₄ = various group combinations (e.g. R₃ or R₄ = OH, acyloxy, other = (un)substituted C.tpbond.CH, R₃R₄ = CH₂CH₂CO₂); R₅ = H, OH, alkyl, alkoxy, acyloxy, halo] were prepd. as antigestagens and antiglucoctocorticoids, with a notable dissocn. of the two activities. Thus, 4-BrC₆H₄Ac was ketalized with Me₂C(CH₂OH)₂, and the ketal was coupled with epoxystrenol deriv. II by a Cu-catalyzed Grignard reaction. The resulting arylgonane deriv. III (R₃ = OH, R₄ = H) was oxidized to give III (R₃R₄ = O), which underwent alkylation by LiC.tpbond.CMe or LiC.tpbond.CCH₂OTHP (THP = 2-tetrahydropyranyl) to give III (R₃ = OH, R₄ = C.tpbond.CR₉, R₉ = Me or CH₂OTHP). The former was hydrolyzed by aq. HOAc, and the latter was hydrogenated and then hydrolyzed, to give IV (R₄ = C.tpbond.CMe) (V) and (Z)-IV (R₄ = CH:CHCH₂OH) (VI). V and VI showed, resp., 10- and 30-fold the abortifacient activity of the known compd. RU-38486 in gravid rats, while showing 30% and <1% of its antiglucoctocorticoid activity.

IT 105114-79-2P
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
 (prepn. of, as antigestagen and antiglucoctocorticoid)
 RN 105114-79-2 CAPLUS
 CN Benzaldehyde, 4-[(11.β.,13.α.)-17-(acetyloxy)-3,20-dioxo-19-norpregna-4,9-dien-11-yl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L4 ANSWER 31 OF 34 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)



L4 ANSWER 32 OF 34 CAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: 1987:5323 CAPLUS
 DOCUMENT NUMBER: 106:5323
 TITLE: 11.β-Phenylgonanes
 INVENTOR(S): Neef, Guenter; Beier, Sybille; Elger, Walter; Henderson, David; Ottow, Eckard; Rhode, Ralph
 PATENT ASSIGNEE(S): Schering A.-G., Fed. Rep. Ger.
 SOURCE: Ger. Offen., 40 pp.
 CODEN: GWXXBX
 DOCUMENT TYPE: Patent
 LANGUAGE: German
 FAMILY ACC. NUM. COUNT: 2
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 3504421	A1	19860807	DE 1985-3504421	19850207
AU 8652913	A1	19860814	AU 1986-52913	19860131
AU 580843	B2	19890202		
IL 77762	A1	19920818	IL 1986-77762	19860202
CN 86100994	A	19861008	CN 1986-100994	19860203
CN 1033753	B	19970108		
ES 551625	A1	19861216	ES 1986-551625	19860204
DK 8600560	A	19860808	DK 1986-560	19860205
DK 161709	B	19910805		
DK 161709	C	19920113		
NO 8600425	A	19860808	NO 1986-425	19860206
NO 171994	B	19930215		
NO 171994	C	19930526		
EP 190759	A2	19860813	EP 1986-101548	19860206
EP 190759	A3	19861120		
EP 190759	B1	19890830		
R: AT, BE, CH, DE, FR, GB, IT, LI, LU, NL, SE				
HU 40453	A2	19861228	HU 1986-499	19860206
HU 194904	B	19890328		
DD 261166	A5	19881019	DD 1986-286860	19860206
AT 45956	E	19890915	AT 1986-101548	19860206
CA 1310630	A1	19921124	CA 1986-501252	19860206
FI 8600559	A	19860808	FI 1986-559	19860207
FI 85377	B	19911231		
FI 85377	C	19920410		
JP 61183296	A2	19860815	JP 1986-24260	19860207
JP 04037080	B4	19920618		
ZA 8600936	A	19860924	ZA 1986-936	19860207
US 5089635	A	19920218	US 1986-827050	19860207
NO 8604209	A	19860808	NO 1986-4209	19861021
NO 170285	B	19920622		
NO 170285	C	19920930		

PRIORITY APPLN. INFO.:

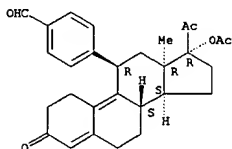
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 1985-3504421			DE 1985-3504421	19850207
DE 1985-3527517			DE 1985-3527517	19850729
EP 1986-101548			EP 1986-101548	19860206
NO 1986-425			NO 1986-425	19860206

AB Gonanes I [AB = O, CH₂, bonds: X = O, NOH; n = 0, 1; R₁ = H, C1-4 alkyl; R₂ = Me, Et; R₃, R₄ = OH, acyloxy, alkynyl, acyl, Me, H, (substituted) alkyl, alkenyl, tetrahydrofuran-5-on-2-yl], useful as contraceptives, antiprogestins, and antiglucoctocorticoids (data given), were prepd. 17.α.β-Ethynyl-11.β.α.-(4-formylphenyl)-17.β.α.-hydroxy-4,9-estradien-3-one was prepd. in 5 steps from 4-BrC₆H₄CHO, (HOCH₂)₂CHMe₂, HC(OAc)₃, and 4-MeC₆H₄SO₂H.

IT 105114-79-2P
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological

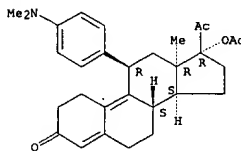
L4 ANSWER 32 OF 34 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)
 study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
 DOCUMENT NUMBER: 104:34230
 TITLE: New steroids with antiprogesterone and antigluco-corticoid (prepn. of, as antigestagen and antigluco-corticoid)
 RN 105114-79-2 CAPLUS
 CN Benzaldehyde, 4-[(11.beta.,13.alpha.)-17-(acetyloxy)-3,20-dioxo-19-norpregna-4,9-dien-11-yl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L4 ANSWER 33 OF 34 CAPLUS COPYRIGHT 2003 ACS on STN
 ACCESSION NUMBER: 1986:34230 CAPLUS
 DOCUMENT NUMBER: 104:34230
 TITLE: New steroids with antiprogesterone and antigluco-corticoid activities
 AUTHOR(S): Neef, Guenter; Beier, Sybille; Elger, Walter; Henderson, David; Wiechert, Rudolf
 CORPORATE SOURCE: Res. Lab., Schering A.-G./Bergkamen, Berlin, D-1000/65, Fed. Rep. Ger.
 SOURCE: Steroids (1984), 44(4), 349-72
 CODEN: STEDAM; ISSN: 0039-128X
 JOURNAL
 DOCUMENT TYPE: English
 AB C-11 substituted 19-norsteroids I and II (R = MeO, F, Me2N; R1 = HO, AcO, HC.tplbond.C, MeC.tplbond.C, HOCH2CH2CH2; R2 = HO, Ac, HC.tplbond.C, HOCH2CH2CH2, HOCH2CH:CH) with inverse configuration at C-13 were synthesized. 11.beta.-Aryl compds. possess antiprogesterone and antigluco-corticoid activities.
 IT 96285-40-4P
 RL: SPN (Synthetic preparation); PREP (Preparation)
 (prepn. and antigluco-corticoid activity of)
 RN 96285-40-4 CAPLUS
 CN 19-Norpregna-4,9-diene-3,20-dione, 17-(acetyloxy)-11-[4-(dimethylamino)phenyl]-, (11.beta.,13.alpha.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L4 ANSWER 34 OF 34 CAPLUS COPYRIGHT 2003 ACS on STN
 ACCESSION NUMBER: 1985:406617 CAPLUS
 DOCUMENT NUMBER: 103:6617
 TITLE: 13.alpha.-Alkylgonanes and pharmaceutical compositions containing them
 INVENTOR(S): Neef, Guenter; Sauer, Gerhard; Wiechert, Rudolf; Beier, Sybille; Elger, Walter; Henderson, David; Rohde, Ralph
 PATENT ASSIGNEE(S): Schering A.-G., Fed. Rep. Ger.
 SOURCE: Eur. Pat. Appl., 34 pp.
 CODEN: EPXKDW
 DOCUMENT TYPE: Patent
 LANGUAGE: German
 FAMILY ACC. NUM. COUNT: 4
 PATENT INFORMATION:

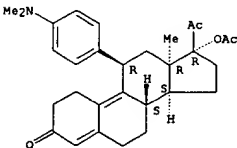
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 129499	A2	19841227	EP 1984-730062	19840613
EP 129499	A3	19851009		
EP 129499	B1	19871209		
R: AT, BE, CH, DE, FR, GB, IT, LI, LU, NL, SE				
DE 3321826	A1	19841220	DE 1983-3321826	19830615
DE 3413036	A1	19851017	DE 1984-3413036	19840404
AT 31313	E	19871215	AT 1984-730062	19840613
PRIORITY APPLN. INFO.:				
DE 1983-3321826 19830615				
DE 1984-3413036 19840404				
EP 1984-730062 19840613				

AB Phenylalkylgonenes I [R = H, alkyl; R1 = amino, alkylamino, 5- or 6-membered heterocycle ring radical, alkoxy; R2 = H, Me, Et; R3 = alkyl, alkylsulfonalkyl, alkoxyalkenyl, alkynyl, cyanoalkyl, Ac, HOCH2CO; R4 = HO, alkoxy, acyloxy; R3R4 = 5-oxodihydrofuran-2(3H)-ylidene] were prep. via epimerization of estrene derivs. and possessed antigestagenic and post-coital contraceptive activities. Thus, the (aminophenyl)estrene ketal II was photolyzed in THF using a Hg high-pressure lamp to give the C-13 epimer of II, which underwent successive addn. reaction with LiC.tplbond.CCH2O-THP (THP = tetrahydropyranyl), hydrogenation, and hydrolysis to give the (hydroxypropyl)gonadiene III. At 10 mg/animal/day III had a 100% abortion rate in rats.

IT 96285-40-4P 96285-50-6P
 RL: SPN (Synthetic preparation); PREP (Preparation)
 (prepn. of)

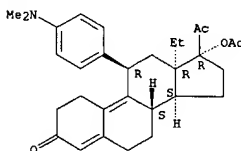
RN 96285-40-4 CAPLUS
 CN 19-Norpregna-4,9-diene-3,20-dione, 17-(acetyloxy)-11-[4-(dimethylamino)phenyl]-, (11.beta.,13.alpha.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L4 ANSWER 34 OF 34 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)
 RN 96285-50-6 CAPLUS
 CN 18,19-Dinorpregna-4,9-diene-3,20-dione, 17-(acetyloxy)-11-[4-(dimethylamino)phenyl]-13-ethyl-, (11.beta.,13.alpha.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



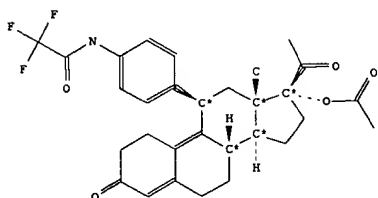
09/526,855

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L5 ANSWER 1 OF 10 BEILSTEIN COPYRIGHT 2003 BEILSTEIN CDS MDL on STN

Beilstein Records (BRN): 8375415
 Chemical Name (CN): 11.beta.-(4-N-trifluoroacetamidophenyl)-17.alpha.-acetoxy-19-norpregna-4,9-diene-3,20-dione
 Autonom Name (AUN): acetic acid 17-acetyl-13-methyl-3-oxo-11-<4-(2,2,2-trifluoroacetyl)amino>-phenyl>-2,3,6,7,8,11,12,13,14,15,16,17-dodecahydro-1H-cyclopenta<a>phenanthren-17-yl ester
 C30 H32 F3 N O5
 Molec. Formula (MF): 543.58
 Molecular Weight (MW): 15934, 1157, 1155
 Lawson Number (LN): Stereo compound
 File Segment (FS): isocyclic
 Compound Type (CTYPE): 7110622
 Constitution ID (CONSID): 7903420
 Tautomer ID (TAUTID): 2000/03/08
 Entry Date (DED): 2000/03/08
 Update Date (DUPD):



Field Availability:

Code	Name	Occurrence
BRN	Beilstein Records	1
CN	Chemical Name	1
AUN	Autonomname	1
MF	Molecular Formula	1
FW	Formular Weight	1
LN	Lawson Number	3
FS	File Segment	1
CTYPE	Compound Type	1
CONSID	Constitution ID	1
TAUTID	Tautomer ID	1
ED	Entry Date	1
UPD	Update Date	1
IR	Infrared Spectrum	1
MP	Melting Point	1

L5 ANSWER 1 OF 10 BEILSTEIN COPYRIGHT 2003 BEILSTEIN CDS MDL on STN

(Continued)

RX
 Reaction ID (.RID): 5194350
 Reactant BRN (.RBRN): 8368348, 1768703
 Reactant (.RCT): 11.beta.-(4-aminophenyl)-17.alpha.-hydroxy-19-norpregna-4,9-diene-3,20-dione, acetic acid trifluoroacetic acid-anhydride
 Product BRN (.PBRN): 8375415
 Product (.PRO): 11.beta.-(4-N-trifluoroacetamidophenyl)-17.alpha.-acetoxy-19-norpregna-4,9-diene-3,20-dione
 No. of React. Details (.NVAR): 1

Reaction Details:

RX
 Reaction RID (.RID): 5194350.1
 Reaction Classification (.CL): Preparation
 Reagent (.RG): p-TsOH
 Solvent (.SOL): CH2Cl2
 Time (.TIM): 2 hour(s)
 Temperature (.T): 0 C°
 Note(s) (.COM): Yield given
 Reference(s):
 1. Rao, Pemmaraju N.; Acosta, C. Kirk; Cessac, James W.; Bahr, Martin L.; Kim, Hyun K., Steroids, CODEN: STEDAM, 64(3), <1999>, 205 - 212; BABS-6188426

Reaction:

RX
 Reaction ID (.RID): 5242220
 Reactant BRN (.RBRN): 8375415
 Reactant (.RCT): 11.beta.-(4-N-trifluoroacetamidophenyl)-17.alpha.-acetoxy-19-norpregna-4,9-diene-3,20-dione
 Product BRN (.PBRN): 8370235
 Product (.PRO): 17.alpha.-acetoxy-11.beta.-(4-aminophenyl)-19-norpregna-4,9-diene-3,20-dione
 No. of React. Details (.NVAR): 1

Reaction Details:

RX
 Reaction RID (.RID): 5242220.1
 Reaction Classification (.CL): Preparation
 Yield (.YOT): 440 mg (BRN=8370235)
 Reagent (.RG): aq. K2CO3
 Solvent (.SOL): methanol
 Time (.TIM): 18 hour(s)
 Other Conditions (.COND): Ambient temperature
 Reference(s):
 1. Rao, Pemmaraju N.; Acosta, C. Kirk; Cessac, James W.; Bahr, Martin L.; Kim, Hyun K., Steroids, CODEN: STEDAM, 64(3), <1999>, 205 - 212; BABS-6188426

L5 ANSWER 1 OF 10 BEILSTEIN COPYRIGHT 2003 BEILSTEIN CDS MDL on STN

(Continued)

NMR Nuclear Magnetic Resonance 1
 This substance also occurs in Reaction Documents:

Code	Name	Occurrence
RX	Reaction Documents	2
RXREA	Substance is Reaction Reactant	1
RXPRO	Substance is Reaction Product	1

Melting Point:

Value	Solvent	[Ref.]	Note
(MP)	(.SOL)		
(Cel)			

Reference(s):

1. Rao, Pemmaraju N.; Acosta, C. Kirk; Cessac, James W.; Bahr, Martin L.; Kim, Hyun K., Steroids, CODEN: STEDAM, 64(3), <1999>, 205 - 212; BABS-6188426

Notes(s):

1. Method: sealed tube

Nuclear Magnetic Resonance:

Description (.KW)	Chemical shifts
Coupling Nuclei (.NUI)	1H-1H
Solvents (.SOL)	CDCl3

Reference(s):

1. Rao, Pemmaraju N.; Acosta, C. Kirk; Cessac, James W.; Bahr, Martin L.; Kim, Hyun K., Steroids, CODEN: STEDAM, 64(3), <1999>, 205 - 212; BABS-6188426

Infrared Spectrum:

Description	Solvent	[Ref.]	Note
(.KW)	(.SOL)		

Reference(s):

1. Rao, Pemmaraju N.; Acosta, C. Kirk; Cessac, James W.; Bahr, Martin L.; Kim, Hyun K., Steroids, CODEN: STEDAM, 64(3), <1999>, 205 - 212; BABS-6188426

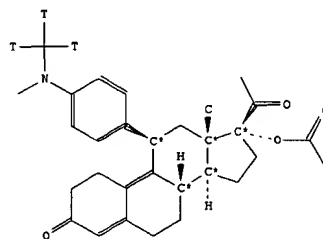
Notes(s):

1. 3291 - 1158 1/cm

Reaction:

L5 ANSWER 2 OF 10 BEILSTEIN COPYRIGHT 2003 BEILSTEIN CDS MDL on STN

Beilstein Records (BRN): 8373562
 Chemical Name (CN): 17.alpha.-acetoxy-11.beta.-(4-N-methyl-N-tritiotomethylaminophenyl)-19-norpregna-4,9-dien-3,20-dione
 Lin. Struct. Formula (LSF): C30H34T3NO4
 Molec. Formula (MF): C30H34 N O4 T3
 Molecular Weight (MW): 481.65
 Lawson Number (LN): 15934, 2817, 1155
 File Segment (FS): Stereo compound
 Compound Type (CTYPE): isocyclic
 Constitution ID (CONSID): 7108155
 Tautomer ID (TAUTID): 7898950
 Entry Date (DED): 2000/03/08
 Update Date (DUPD): 2000/03/08



Field Availability:

Code	Name	Occurrence
BRN	Beilstein Records	1
CN	Chemical Name	1
LSF	Linearized Structure Formula	1
MF	Molecular Formula	1
FW	Formular Weight	1
LN	Lawson Number	3
FS	File Segment	1
CTYPE	Compound Type	1
CONSID	Constitution ID	1
TAUTID	Tautomer ID	1
ED	Entry Date	1
UPD	Update Date	1

This substance also occurs in Reaction Documents:

Code	Name	Occurrence
RX	Reaction Documents	1

LS ANSWER 2 OF 10 BEILSTEIN COPYRIGHT 2003 BEILSTEIN CDS MDL on STN

(Continued)

RXPRO Substance is Reaction Product 1

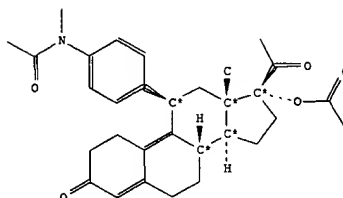
Reaction:
RX
Reaction ID (.ID): 5202608
Reactant BRN (.RBRN): 6945949, 3600292
Reactant (.RCT): 17-acetoxy-11.beta.-(4-N-methylaminophenyl)-19-norpregna-4,9-diene-3,20-dione, tritiated methyl iodide
Product BRN (.PBRN): 8373562
Product (.PRO): 17.alpha.-acetoxy-11.beta.-(4-N-methyl-N-tritiomethylaminophenyl)-19-norpregna-4,9-dien-3,20-dione
No. of React. Details (.NVAR): 1

Reaction Details:

RX
Reaction RID (.RID): 5202608.1
Reaction Classification (.CL): Preparation
Reagent (.RGT): DMF
Solvent (.SOL): tetrahydrofuran
Time (.TIM): 90 hour(s)
Temperature (.T): 70 Cel
Reference(s):
1. Rao, Pemmaraju N.; Acosta, C. Kirk; Cessac, James W.; Bahr, Martin L.; Kim, Hyun K., Steroids, CODEN: STEDAM, 64(3), <1999>, 205 - 212; BABS-6188426

LS ANSWER 3 OF 10 BEILSTEIN COPYRIGHT 2003 BEILSTEIN CDS MDL on STN

Beilstein Records (BRN): 8372930
Chemical Name (CN): 17.alpha.-acetoxy-11.beta.-(4-N-acetyl-N-methylaminophenyl)-19-norpregna-4,9-diene-3,20-dione
Autonom Name (AUN): acetic acid 17-acetyl-11-((4-(acetyl-methyl-amino)-phenyl)-13-methyl-3-oxo-2,3,6,7,8,11,12,13,14,15,16,17-dodecahydro-1H-cyclopenta[a]phenanthren-17-yl ester C31 H37 N O5
Molec. Formula (MF): C31 H37 N O5
Molecular Weight (MW): 503.64
Lawson Number (LN): 15934, 2817, 1155
File Segment (FS): Stereo compound
Compound Type (CTYPE): isocyclic
Constitution ID (CONSID): 7107501
Tautomer ID (TAUTID): 7901214
Entry Date (DED): 2000/03/08
Update Date (DUPD): 2000/03/08



Field Availability:

Code	Name	Occurrence
BRN	Beilstein Records	1
CN	Chemical Name	1
AUN	Autonom Name	1
MF	Molecular Formula	1
FW	Formular Weight	1
LN	Lawson Number	3
FS	File Segment	1
CTYPE	Compound Type	1
CONSID	Constitution ID	1
TAUTID	Tautomer ID	1
ED	Entry Date	1
UPD	Update Date	1
IR	Infrared Spectrum	1
NMR	Nuclear Magnetic Resonance	1

LS ANSWER 3 OF 10 BEILSTEIN COPYRIGHT 2003 BEILSTEIN CDS MDL on STN

(Continued)

This substance also occurs in Reaction Documents:

Code	Name	Occurrence
RX	Reaction Documents	1
RXPRO	Substance is Reaction Product	1

Nuclear Magnetic Resonance:

NMR
Description (.KW): Chemical shifts
Coupling Nuclei (.NUI): 1H-1H
Solvents (.SOL): CDCl3
Reference(s):
1. Rao, Pemmaraju N.; Acosta, C. Kirk; Cessac, James W.; Bahr, Martin L.; Kim, Hyun K., Steroids, CODEN: STEDAM, 64(3), <1999>, 205 - 212; BABS-6188426

Infrared Spectrum:

Descript	Solvent	Ref.	Note
ion			
(.KW)	(.SOL)		
Bands	KBr	1	1

Reference(s):

1. Rao, Pemmaraju N.; Acosta, C. Kirk; Cessac, James W.; Bahr, Martin L.; Kim, Hyun K., Steroids, CODEN: STEDAM, 64(3), <1999>, 205 - 212; BABS-6188426

Notes(s):

1. 2946 - 1604 1/cm

Reaction:

RX
Reaction ID (.ID): 5194349
Reactant BRN (.RBRN): 6943511, 1768703
Reactant (.RCT): 11.beta.-(4-N-methylaminophenyl)-17.alpha.-hydroxy-19-norpregna-4,9-diene-3,20-dione, acetic acid trifluoroacetic acid-anhydride
Product BRN (.PBRN): 8372930
Product (.PRO): 17.alpha.-acetoxy-11.beta.-(4-N-acetyl-N-methylaminophenyl)-19-norpregna-4,9-diene-3,20-dione
No. of React. Details (.NVAR): 1

Reaction Details:

RX
Reaction RID (.RID): 5194349.1
Reaction Classification (.CL): Preparation
Reagent (.RGT): p-TsOH
Solvent (.SOL): CH2Cl2
Time (.TIM): 20 min
Temperature (.T): 0 Cel
Note(s) (.COM): Yield given
Reference(s):
1. Rao, Pemmaraju N.; Acosta, C. Kirk; Cessac, James W.; Bahr, Martin L.;

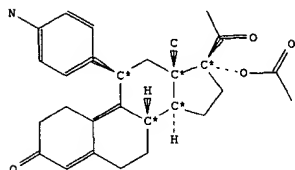
LS ANSWER 3 OF 10 BEILSTEIN COPYRIGHT 2003 BEILSTEIN CDS MDL on STN

(Continued)

Kim, Hyun K., Steroids, CODEN: STEDAM, 64(3), <1999>, 205 - 212; BABS-6188426

L5 ANSWER 4 OF 10 BEILSTEIN COPYRIGHT 2003 BEILSTEIN CDS MDL on STN

Beilstein Records (BRN): 8370235
 Chemical Name (CN): 17.alpha.-acetoxy-11.beta.-(4-aminophenyl)-19-norpregna-4,9-diene-3,20-dione
 Autonom Name (AUN): acetic acid 17-acetyl-11-(4-amino-phenyl)-13-methyl-3-oxo-2,3,6,7,8,11,12,13,14,15,16,17-dodecahydro-1H-cyclopenta<a>phenanthren-17-yl ester
 Molec. Formula (MF): C28 H33 N O4
 Molecular Weight (MW): 447.57
 Lawson Number (LN): 15934, 1155
 File Segment (FS): Stereo compound
 Compound Type (CTYPE): isocyclic
 Constitution ID (CONSID): 7105766
 Tautomer ID (TAUTID): 7901857
 Entry Date (DED): 2000/03/08
 Update Date (DUPD): 2000/03/08



Field Availability:

Code	Name	Occurrence
BRN	Beilstein Records	1
CN	Chemical Name	1
AUN	Autonomname	1
MF	Molecular Formula	1
MW	Molecular Weight	1
LN	Lawson Number	2
FS	File Segment	1
CTYPE	Compound Type	1
CONSID	Constitution ID	1
TAUTID	Tautomer ID	1
ED	Entry Date	1
UPD	Update Date	1
IR	Infrared Spectrum	1
NMR	Nuclear Magnetic Resonance	1

This substance also occurs in Reaction Documents:

L5 ANSWER 4 OF 10 BEILSTEIN COPYRIGHT 2003 BEILSTEIN CDS MDL on STN (Continued)

Code	Name	Occurrence
RX	Reaction Documents	1
RXPRO	Substance is Reaction Product	1

Nuclear Magnetic Resonance:

NMR
 Description (.KW): Chemical shifts
 Coupling Nuclei (.NUI): 1H-1H
 Solvents (.SOL): CDCl3
 Reference(s):
 1. Rao, Pemmaraju N.; Acosta, C. Kirk; Cessac, James W.; Bahr, Martin L.; Kim, Hyun K., Steroids, CODEN: STEDAM, 64(3), <1999>, 205 - 212; BABS-6188426

Infrared Spectrum:

Descript	Solvent	Ref.	Note
ion			
(.KW)	(.SOL)		
Bands	KBr	1	1

Reference(s):

1. Rao, Pemmaraju N.; Acosta, C. Kirk; Cessac, James W.; Bahr, Martin L.; Kim, Hyun K., Steroids, CODEN: STEDAM, 64(3), <1999>, 205 - 212; BABS-6188426

Notes(s):

1. 3466 - 1261 1/cm

Reaction:

RX
 Reaction ID (.RID): 5242220
 Reactant BRN (.RBRN): 8375415
 Reactant (.RCT): 11.beta.-(4-N-trifluoroacetamidophenyl)-17.alpha.-acetoxy-19-norpregna-4,9-diene-3,20-dione
 Product BRN (.PBRN): 8370235
 Product (.PRO): 17.alpha.-acetoxy-11.beta.-(4-aminophenyl)-19-norpregna-4,9-diene-3,20-dione
 No. of React. Details (.NVAR): 1

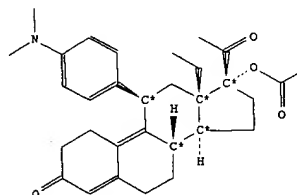
Reaction Details:

RX
 Reaction RID (.RID): 5242220.1
 Reaction Classification (.CL): Preparation
 Yield (.YDT): 440 mg (BRN=8370235)
 Reagent (.RGT): aq. KHC03
 Solvent (.SOL): methanol
 Time (.TIM): 18 hour(s)
 Other Conditions (.COND): Ambient temperature
 Reference(s):
 1. Rao, Pemmaraju N.; Acosta, C. Kirk; Cessac, James W.; Bahr, Martin L.

L5 ANSWER 4 OF 10 BEILSTEIN COPYRIGHT 2003 BEILSTEIN CDS MDL on STN (Continued)
 Kim, Hyun K., Steroids, CODEN: STEDAM, 64(3), <1999>, 205 - 212; BABS-6188426

L5 ANSWER 5 OF 10 BEILSTEIN COPYRIGHT 2003 BEILSTEIN CDS MDL on STN

Beilstein Records (BRN): 7958451
 Chemical Name (CN): acetic acid 17-acetyl-11-(4-dimethylamino-phenyl)-13-ethyl-3-oxo-2,3,6,7,8,11,12,13,14,15,16,17-dodecahydro-1H-cyclopenta<a>phenanthren-17-yl ester
 Autonom Name (AUN): acetic acid 17-acetyl-11-(4-dimethylamino-phenyl)-13-ethyl-3-oxo-2,3,6,7,8,11,12,13,14,15,16,17-dodecahydro-1H-cyclopenta<a>phenanthren-17-yl ester
 Molec. Formula (MF): C31 H39 N O4
 Molecular Weight (MW): 489.65
 Lawson Number (LN): 15935, 2817, 1155
 File Segment (FS): Stereo compound
 Compound Type (CTYPE): isocyclic
 Constitution ID (CONSID): 6837629
 Tautomer ID (TAUTID): 7596769
 Beilstein Citation (BSO): 6-14
 Entry Date (DED): 1998/11/09
 Update Date (DUPD): 1998/11/09



Field Availability:

Code	Name	Occurrence
BRN	Beilstein Records	1
CN	Chemical Name	1
AUN	Autonomname	1
MF	Molecular Formula	1
MW	Molecular Weight	1
LN	Lawson Number	3
FS	File Segment	1
CTYPE	Compound Type	1
CONSID	Constitution ID	1
TAUTID	Tautomer ID	1
BSO	Beilstein Citation	1
ED	Entry Date	1
UPD	Update Date	1
IR	Infrared Spectrum	1

L5 ANSWER 5 OF 10 BEILSTEIN COPYRIGHT 2003 BEILSTEIN CDS MDL on STN
(Continued)

MP	Melting Point	1
NMR	Nuclear Magnetic Resonance	2
ORP	Optical Rotatory Power	1
PHARM	Pharmacological Data	1

This substance also occurs in Reaction Documents:

Code	Name	Occurrence
RX	Reaction Documents	1
RXPRO	Substance is Reaction Product	1

Melting Point:

Value	Solvent	Ref.	Note
(MP)	(.SOL)		
(Cel)			
-----	-----	-----	-----
233 - 236	CH2Cl2	1	1

Reference(s):

1. Rao, Pemmaraju N.; Cessac, James W.; Blye, Richard P.; Kim, Hyun K.,
Steroids, CODEN: STEDAM, 63(1), <1998>, 50-57; BABS-6092463

Notes(s):

1. Crystallization with 0.25 Mol(s) H2O

Optical Rotatory Power:

Part 1	Value	Type	Concentr.	Length of Path	Solvent	Wavelen.	Ref.
of 2							
	(ORP)	(.TYP)	(.C)	(.LEN)	(.SOL)	(.W)	
	(deg)			(ORP)		(nm)	
				(cm)			
-----	-----	-----	-----	-----	-----	-----	-----
1	210.73	[alpha]	11.03 g/100ml	10	CHCl3	589	1

Optical Rotatory Power:

Part 2	Temp.	Ref.
of 2		
	(.T)	
	(Cel)	
-----	-----	-----
1	26	1

Reference(s):

1. Rao, Pemmaraju N.; Cessac, James W.; Blye, Richard P.; Kim, Hyun K.,
Steroids, CODEN: STEDAM, 63(1), <1998>, 50-57; BABS-6092463L5 ANSWER 5 OF 10 BEILSTEIN COPYRIGHT 2003 BEILSTEIN CDS MDL on STN
(Continued)Product (.PRO): acetic acid 17-acetyl-11-(4-dimethylamino-phenyl)-13-ethyl-3-oxo-2,3,6,7,8,11,12,13,14,15,16,17-dodecahydro-1H-cyclopenta[*a*]phenanthren-17-yl ester

No. of React. Details (.NVAR): 1

Reaction Details:

RX
Reaction RID (.RID): 4884247.1
Reaction Classification (.CL): Preparation
Reagent (.RGT): 1.) trifluoroacetic anhydride, 2.)
p-TsOH*H2O
Other Conditions (.COND): 1.) CH2Cl2, RT, 30 min, 2.) CH2Cl2, 0 deg
C, 1 h
Note(s) (.COM): Yield given. Multistep reaction
Reference(s):
1. Rao, Pemmaraju N.; Cessac, James W.; Blye, Richard P.; Kim, Hyun K.,
Steroids, CODEN: STEDAM, 63(1), <1998>, 50-57; BABS-6092463

L5 ANSWER 5 OF 10 BEILSTEIN COPYRIGHT 2003 BEILSTEIN CDS MDL on STN
(Continued)

Nuclear Magnetic Resonance:

NMR
Description (.KW): Chemical shifts
Nucleus (.NUC): 1H
Solvents (.SOL): CDCl3
Reference(s):
1. Rao, Pemmaraju N.; Cessac, James W.; Blye, Richard P.; Kim, Hyun K.,
Steroids, CODEN: STEDAM, 63(1), <1998>, 50-57; BABS-6092463

NMR
Description (.KW): Spin-spin coupling constants
Solvents (.SOL): CDCl3
Note(s) (.COM): 1H-1H
Reference(s):
1. Rao, Pemmaraju N.; Cessac, James W.; Blye, Richard P.; Kim, Hyun K.,
Steroids, CODEN: STEDAM, 63(1), <1998>, 50-57; BABS-6092463

Infrared Spectrum:

Descript	Solvent	Ref.	Note
ion			
(.KW)	(.SOL)		
-----	-----	-----	-----
Bands	KBr	1	1

Reference(s):

1. Rao, Pemmaraju N.; Cessac, James W.; Blye, Richard P.; Kim, Hyun K.,
Steroids, CODEN: STEDAM, 63(1), <1998>, 50-57; BABS-6092463

Notes(s):

1. 2943 - 1610 cm⁻¹ (-1)

Pharmacological Data:

PHARM
Note(s) (.COM): in vitro relative binding affinities for
progesterone and glucocorticoid receptors;
in vivo progestational (Clausberg), and
antiprogesterone (anti-Clausberg) no
activity in immature New Zealand white
rabbits (p.o)

Reference(s):

1. Rao, Pemmaraju N.; Cessac, James W.; Blye, Richard P.; Kim, Hyun K.,
Steroids, CODEN: STEDAM, 63(1), <1998>, 50-57; BABS-6092463

Reaction:

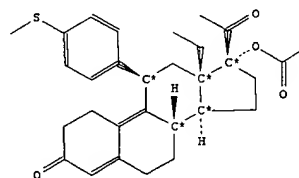
RX
Reaction ID (.ID): 4884247
Reactant BRN (.RBRN): 506007, 7954622
Reactant (.RCT): acetic acid, 17-acetyl-11-(4-dimethylamino-phenyl)-13-ethyl-17-hydroxy-1,2,6,7,8,11,12,13,14,15,16,17-dodecahydro-cyclopenta[*a*]phenanthren-3-one
Product BRN (.PBRN): 7958451

L5 ANSWER 6 OF 10 BEILSTEIN COPYRIGHT 2003 BEILSTEIN CDS MDL on STN

Beilstein Records (BRN): 7958075

Chemical Name (CN): acetic acid 17-acetyl-13-ethyl-11-(4-methylsulfonyl-phenyl)-3-oxo-2,3,6,7,8,11,12,13,14,15,16,17-dodecahydro-1H-cyclopenta[*a*]phenanthren-17-yl ester
Autonom Name (AUN): acetic acid 17-acetyl-13-ethyl-11-(4-methylsulfonyl-phenyl)-3-oxo-2,3,6,7,8,11,12,13,14,15,16,17-dodecahydro-1H-cyclopenta[*a*]phenanthren-17-yl ester
C30 H36 O4 S

Molec. Formula (MF): 492.67
Molecular Weight (MW): 9938, 1155, 292
Lawson Number (LN): Stereo compound
File Segment (FS): isocyclic
Compound Type (CTYPE): 6837756
Constitution ID (CONSID): 7596539
Tautomer ID (TAUTID): 6-08
Beilstein Citation (BSO): 1998/11/09
Entry Date (DED): 1998/11/09
Update Date (DUPD): 1998/11/09



Field Availability:

Code	Name	Occurrence
BRN	Beilstein Records	1
CN	Chemical Name	1
AUN	Autonomname	1
MF	Molecular Formula	1
FW	Formular Weight	1
LN	Lawson Number	3
FS	File Segment	1
CTYPE	Compound Type	1
CONSID	Constitution ID	1
TAUTID	Tautomer ID	1
BSO	Beilstein Citation	1
ED	Entry Date	1
UPD	Update Date	1
IR	Infrared Spectrum	1
MP	Melting Point	1
NMR	Nuclear Magnetic Resonance	2

LS ANSWER 6 OF 10 BEILSTEIN COPYRIGHT 2003 BEILSTEIN CDS MDL on STN

(Continued)

ORP	Optical Rotatory Power	1
PHARM	Pharmacological Data	1

This substance also occurs in Reaction Documents:

Code	Name	Occurrence
RX	Reaction Documents	1
RXPRO	Substance is Reaction Product	1

Melting Point:

Value	Solvent	Ref.	Note
(MP)	(.SOL)		
(Cel)			

270 - 275 (ethyl acetate) 1 1

Reference(s):

1. Rao, Pemmaraju N.; Cessac, James W.; Blye, Richard P.; Kim, Hyun K., Steroids, CODEN: STEDAM, 63(1), <1998>, 50-57; BABS-6092463

Notes(s):

1. Crystallization with 0.125 Mol(s) H₂O

Optical Rotatory Power:

Part 1	Value	Type	Concentr.	Length of Path	Solvent	Wavelength	Ref.
of 2		(.TYP)	(.C)	(.LEN)	(.SOL)	(.W)	
	(deg)			(cm)		(nm)	

1 213.9 [alpha] 1.01 g/100ml 10 (CHCl₃) 589 11

Optical Rotatory Power:

Part 2	Temp.	Ref.
of 2		(.T)
	(Cel)	

1 26 11

Reference(s):

1. Rao, Pemmaraju N.; Cessac, James W.; Blye, Richard P.; Kim, Hyun K., Steroids, CODEN: STEDAM, 63(1), <1998>, 50-57; BABS-6092463

Nuclear Magnetic Resonance:

LS ANSWER 6 OF 10 BEILSTEIN COPYRIGHT 2003 BEILSTEIN CDS MDL on STN

(Continued)

Description (.KW):	Chemical shifts
Nucleus (.NUC):	1H
Solvents (.SOL):	CDCl ₃

Reference(s):
1. Rao, Pemmaraju N.; Cessac, James W.; Blye, Richard P.; Kim, Hyun K., Steroids, CODEN: STEDAM, 63(1), <1998>, 50-57; BABS-6092463

NMR

Description (.KW):	Spin-spin coupling constants
Solvents (.SOL):	CDCl ₃
Note(s) (.COM):	1H-1H

Reference(s):
1. Rao, Pemmaraju N.; Cessac, James W.; Blye, Richard P.; Kim, Hyun K., Steroids, CODEN: STEDAM, 63(1), <1998>, 50-57; BABS-6092463

Infrared Spectrum:

Descript	Solvent	Ref.	Note
ion			
(.KW)	(.SOL)		

Bands | KBr | 11 | 1

Reference(s):

1. Rao, Pemmaraju N.; Cessac, James W.; Blye, Richard P.; Kim, Hyun K., Steroids, CODEN: STEDAM, 63(1), <1998>, 50-57; BABS-6092463

Notes(s):

1. 2948 - 1595 cm⁻¹ (-1)

Pharmacological Data:

PHARM

Note(s) (.COM):
in vitro relative binding affinities for progesterone and glucocorticoid receptors; in vivo progestational activity (Clausberg), and in vivo antiprogesterone (anti-Clausberg) no activity in immature New Zealand white rabbits (p.o)

Reference(s):

1. Rao, Pemmaraju N.; Cessac, James W.; Blye, Richard P.; Kim, Hyun K., Steroids, CODEN: STEDAM, 63(1), <1998>, 50-57; BABS-6092463

Reaction:

RX

Reaction ID (.RID): 4884246
Reactant BRN (.RBRN): 506007, 7953710
Reactant (.RCT): acetic acid, 17-acetyl-13-ethyl-17-hydroxy-11-(4-methylsulfonyl-phenyl)-1,2,6,7,8,11,12,13,14,15,16,17-dodecahydro-cyclopenta<a>phenanthren-3-one
Product BRN (.PBRN): 7958075
Product (.PRO): acetic acid 17-acetyl-13-ethyl-11-(4-methylsulfonyl-phenyl)-3-oxo-

LS ANSWER 6 OF 10 BEILSTEIN COPYRIGHT 2003 BEILSTEIN CDS MDL on STN

(Continued)

No. of React. Details (.NVAR): 1
2,3,6,7,8,11,12,13,14,15,16,17-dodecahydro-1H-cyclopenta<a>phenanthren-17-yl ester

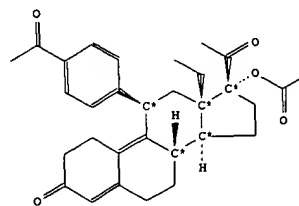
Reaction Details:

RX

Reaction RID (.RID): 4884246.1
Reaction Classification (.CL): Preparation
Reagent (.RGT): 1.) trifluoroacetic anhydride, 2.) p-TsOH.H₂O
Other Conditions (.COND): 1.) CH₂Cl₂, RT, 30 min, 2.) CH₂Cl₂, 0 deg C, 1 h
Note(s) (.COM): Yield given. Multistep reaction
Reference(s):
1. Rao, Pemmaraju N.; Cessac, James W.; Blye, Richard P.; Kim, Hyun K., Steroids, CODEN: STEDAM, 63(1), <1998>, 50-57; BABS-6092463

LS ANSWER 7 OF 10 BEILSTEIN COPYRIGHT 2003 BEILSTEIN CDS MDL on STN

Beilstein Records (BRN): 7957866
Chemical Name (CN): 17.alpha.-acetoxy-13.beta.-ethyl-11.beta.-(4-acetylphenyl)-18,19-dinorpregna-4,9-diene-3,20-dione
Autonom Name (AUN): acetic acid 17-acetyl-11-(4-acetyl-phenyl)-13-ethyl-3-oxo-2,3,6,7,8,11,12,13,14,15,16,17-dodecahydro-1H-cyclopenta<a>phenanthren-17-yl ester
Molec. Formula (MF): C₃₁H₃₆O₅
Molecular Weight (MW): 488.62
Lawson Number (LN): 9954, 1155
File Segment (FS): Stereo compound
Compound Type (CTYPE): isocyclic
Constitution ID (CONSID): 6839541
Tautomer ID (TAUTID): 7598398
Beilstein Citation (BSO): 6-08
Entry Date (DED): 1998/11/09
Update Date (DUPD): 1998/11/09



Field Availability:

Code	Name	Occurrence
BRN	Beilstein Records	1
CN	Chemical Name	1
AUN	Autonomname	1
MF	Molecular Formula	1
FW	Formular Weight	1
LN	Lawson Number	2
FS	File Segment	1
CTYPE	Compound Type	1
CONSID	Constitution ID	1
TAUTID	Tautomer ID	1
BSO	Beilstein Citation	1
ED	Entry Date	1
UPD	Update Date	1
IR	Infrared Spectrum	1
MP	Melting Point	1

L5 ANSWER 7 OF 10 BEILSTEIN COPYRIGHT 2003 BEILSTEIN CDS MDL on STN
(Continued)

NMR	Nuclear Magnetic Resonance	2
ORP	Optical Rotatory Power	1
PHARM	Pharmacological Data	1

This substance also occurs in Reaction Documents:

Code	Name	Occurrence
RX	Reaction Documents	1
RXPRO	Substance is Reaction Product	1

Melting Point:

Value	Solvent	[Ref.]	Note
(MP)	(.SOL)		
(Cel)			

268--270 (CH₂Cl₂, diethyl ether) 1 1

Reference(s):

1. Rao, Pemmaraju N.; Cessac, James W.; Blye, Richard P.; Kim, Hyun K., Steroids, CODEN: STEDAM, 63(1), <1998>, 50-57; BABS-6092463

Notes(s):

1. 50

Optical Rotatory Power:

Part 1	Value	Type	Concentr.	Length of Path	Solvent	Wavelength	[Ref.]
of 2	(ORP)	(.TYP)	(.C)	(.LEN)	(.SOL)	(.W)	
	(deg)			(cm)		(nm)	

1 184.4 (alpha) 1.03 g/100ml 10 (CHCl₃) 589 1

Optical Rotatory Power:

Part 2	Temp.	[Ref.]
of 2	(.T)	
	(Cel)	

1 26 1

Reference(s):

1. Rao, Pemmaraju N.; Cessac, James W.; Blye, Richard P.; Kim, Hyun K., Steroids, CODEN: STEDAM, 63(1), <1998>, 50-57; BABS-6092463

L5 ANSWER 7 OF 10 BEILSTEIN COPYRIGHT 2003 BEILSTEIN CDS MDL on STN
(Continued)

No. of React. Details (.NVAR): 1 diene-3,20-dione

Reaction Details:

RX
Reaction RID (.RID): 4884245.1
Reaction Classification (.CL): Preparation
Reagent (.RGT): 1.) trifluoroacetic anhydride, 2.) p-TsOH·H₂O
Other Conditions (.COND): 1.) CH₂Cl₂, RT, 30 min, 2.) CH₂Cl₂, 0 deg C, 45 min
Note(s) (.COM): Yield given. Multistep reaction
Reference(s):
1. Rao, Pemmaraju N.; Cessac, James W.; Blye, Richard P.; Kim, Hyun K., Steroids, CODEN: STEDAM, 63(1), <1998>, 50-57; BABS-6092463

L5 ANSWER 7 OF 10 BEILSTEIN COPYRIGHT 2003 BEILSTEIN CDS MDL on STN
(Continued)

Nuclear Magnetic Resonance:

NMR
Description (.KW): Chemical shifts
Nucleus (.NUC): ¹H
Solvents (.SOL): CDCl₃
Reference(s):

1. Rao, Pemmaraju N.; Cessac, James W.; Blye, Richard P.; Kim, Hyun K., Steroids, CODEN: STEDAM, 63(1), <1998>, 50-57; BABS-6092463

NMR

Description (.KW): Spin-spin coupling constants
Solvents (.SOL): CDCl₃
Note(s) (.COM): 1H-1H
Reference(s):

1. Rao, Pemmaraju N.; Cessac, James W.; Blye, Richard P.; Kim, Hyun K., Steroids, CODEN: STEDAM, 63(1), <1998>, 50-57; BABS-6092463

Infrared Spectrum:

Descript	Solvent	[Ref.]	Note
ion			
(.KW)	(.SOL)		

Bands | KBr | 1 | 1

Reference(s):

1. Rao, Pemmaraju N.; Cessac, James W.; Blye, Richard P.; Kim, Hyun K., Steroids, CODEN: STEDAM, 63(1), <1998>, 50-57; BABS-6092463

Notes(s):

1. 2951 - 1596 cm⁻¹ (-1)

Pharmacological Data:

PHARM

Note(s) (.COM):

in vitro relative binding affinities for progesterone and glucocorticoid receptors; in vivo progestational (Clausberg), and antiprogesterone (anti-Clausberg) no activity in immature New Zealand white rabbits (p.o)

Reference(s):

1. Rao, Pemmaraju N.; Cessac, James W.; Blye, Richard P.; Kim, Hyun K., Steroids, CODEN: STEDAM, 63(1), <1998>, 50-57; BABS-6092463

Reaction:

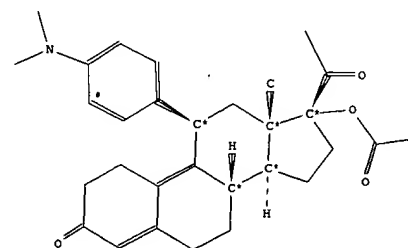
RX

Reaction ID (.ID): 4884245
Reactant BRN (.RBRN): 506007, 7953599
Reactant (.RCT): acetic acid, 13.beta.-ethyl-11.beta.-(4-acetylphenyl)-17.alpha.-hydroxy-18,19-dinorpregna-4,9-diene-3,20-dione
Product BRN (.PBRN): 7957866
Product (.PRO): 17.alpha.-acetoxy-13.beta.-ethyl-11.beta.-(4-acetylphenyl)-18,19-dinorpregna-4,9-

L5 ANSWER 8 OF 10 BEILSTEIN COPYRIGHT 2003 BEILSTEIN CDS MDL on STN

Beilstein Records (BRN):

6946364
Chemical Name (CN): 17.alpha.-acetoxy-11.beta.-(4-N,N-dimethylaminophenyl)-19-norpregna-4,9-diene-3,20-dione, CDB-2914
Autonom Name (AUN): acetic acid 17-acetyl-11-(4-dimethylamino-phenyl)-13-methyl-3-oxo-2,3,6,7,8,11,12,13,14,15,16,17-dodecahydro-1H-cyclopenta[*a*]phenanthren-17-yl ester C30 H37 N O4
Molec. Formula (MF): 475.63
Molecular Weight (MW): 15934, 2817, 1155
Lawson Number (LN): Stereo compound
File Segment (FS): isocyclic
Compound Type (CTYPE): 5000625
Constitution ID (CONSID): 6620923
Tautomer ID (TAUTID): 6-14
Beilstein Citation (BSO): 1995/01/25
Entry Date (DED): 2002/01/24
Update Date (DUPD):



Field Availability:

Code	Name	Occurrence
BRN	Beilstein Records	1
CN	Chemical Name	2
AUN	Autonomname	1
MF	Molecular Formula	1
FW	Formular Weight	1
LN	Lawson Number	3
FS	File Segment	1
CTYPE	Compound Type	1
CONSID	Constitution ID	1
TAUTID	Tautomer ID	1
BSO	Beilstein Citation	1
ED	Entry Date	1

LS ANSWER 8 OF 10 BEILSTEIN COPYRIGHT 2003 BEILSTEIN CDS MDL on STN
(Continued)

UPD	Update Date	1
IR	Infrared Spectrum	1
MP	Melting Point	1
MS	Mass Spectrum	1
NMR	Nuclear Magnetic Resonance	1
PHARM	Pharmacological Data	2

This substance also occurs in Reaction Documents:

Code	Name	Occurrence
RX	Reaction Documents	2
RXREA	Substance is Reaction Reactant	1
RXPRO	Substance is Reaction Product	1

Melting Point:

Value	Solvent	Ref.
(MP)	(.SOL)	
(Cel)		

183 - 185 [aq. ethanol]

Reference(s):

1. Rao, Pemmaraju N.; Acosta, C. Kirk; Bahr, Martin L.; Burdett, James E.; Cessac, James W.; Morrison, Paul A.; Kim, Hyun K., Steroids, CODEN: STEDAM, 65(7), <2000>, 395 - 400; BABS-6309883

Nuclear Magnetic Resonance:

NMR

Description (.KW):	Chemical shifts
Nucleus (.NUC):	1H
Solvents (.SOL):	CDCl3
Frequency (.F):	90 MHz

Reference(s):
1. Rao, Pemmaraju N.; Acosta, C. Kirk; Bahr, Martin L.; Burdett, James E.; Cessac, James W.; Morrison, Paul A.; Kim, Hyun K., Steroids, CODEN: STEDAM, 65(7), <2000>, 395 - 400; BABS-6309883

Infrared Spectrum:

Descript	Solvent	Ref.
ion		
(.KW)	(.SOL)	

Bands | KBr | 1

Reference(s):

1. Rao, Pemmaraju N.; Acosta, C. Kirk; Bahr, Martin L.; Burdett, James E.; Cessac, James W.; Morrison, Paul A.; Kim, Hyun K., Steroids, CODEN: STEDAM, 65(7), <2000>, 395 - 400; BABS-6309883

LS ANSWER 8 OF 10 BEILSTEIN COPYRIGHT 2003 BEILSTEIN CDS MDL on STN
(Continued)

Reference(s):
1. Rao, Pemmaraju N.; Acosta, C. Kirk; Bahr, Martin L.; Burdett, James E.; Cessac, James W.; Morrison, Paul A.; Kim, Hyun K., Steroids, CODEN: STEDAM, 65(7), <2000>, 395 - 400; BABS-6309883

Reaction:

RX

Reaction ID (.RID):	3714702
Reactant BRN (.RBRN):	6946364
Reactant (.ACT):	acetic acid 17-acetyl-11-(4-dimethylamino-phenyl)-13-methyl-3-oxo-2,3,6,7,8,11,12,13,14,15,16,17-dodecahydro-1H-cyclopenta[a]phenanthren-17-yl ester
Product BRN (.PBRN):	6945949
Product (.PRO):	17-acetoxy-11.beta.-(4-N-methylaminophenyl)-19-norpregna-4,9-diene-3,20-dione
No. of React. Details (.NVAR):	2

Reaction Details:

RX

Reaction RID (.RID):	3714702.1
Reaction Classification (.CL):	Preparation
Reagent (.RGT):	I2, CaO
Solvent (.SOL):	tetrahydrofuran, methanol

Reference(s):
1. Acosta, Kirk; Cessac, James W.; Rao, P. Narasimha; Kim, Hyun K., J.Chem.Soc.Chem.Comm., CODEN: JCCAT(17), <1994>, 1985-1986; BABS-5903929

RX

Reaction RID (.RID):	3714702.2
Reaction Classification (.CL):	Preparation
Yield (.YDT):	50 percent (BRN=6945949)
Reagent (.RGT):	CaO, iodine
Solvent (.SOL):	tetrahydrofuran, methanol
Time (.TIM):	1 hour(s)
Temperature (.T):	0 Cel

Reference(s):
1. Rao, Pemmaraju N.; Acosta, C. Kirk; Cessac, James W.; Bahr, Martin L.; Kim, Hyun K., Steroids, CODEN: STEDAM, 64(3), <1999>, 205 - 212; BABS-6188426

LS ANSWER 8 OF 10 BEILSTEIN COPYRIGHT 2003 BEILSTEIN CDS MDL on STN
(Continued)

Mass Spectrum:

MS

Description (.KW): electron impact (EI), spectrum
Reference(s):
1. Rao, Pemmaraju N.; Acosta, C. Kirk; Bahr, Martin L.; Burdett, James E.; Cessac, James W.; Morrison, Paul A.; Kim, Hyun K., Steroids, CODEN: STEDAM, 65(7), <2000>, 395 - 400; BABS-6309883

Pharmacological Data:

PHARM

Note(s) (.COM): agonistic activity in female breast cancer cells BT-474 and T47-D (by measuring amount of prostate-specific antigen (PSA) gene); antagonistic activity in T47-D cells (blocking of norgestrel, norgestimate and dihydrotestosterone activities)

Reference(s):

1. Rao, Pemmaraju N.; Wang, Zhiqiang; Cessac, James W.; Rosenberg, Rachel S.; Jenkins, David J. A.; Diamandis, Eleftherios P., Steroids, CODEN: STEDAM, 63(10), <1998>, 523-530; BABS-6126813

PHARM

Note(s) (.COM): in vitro relative binding affinities for progesterone and glucocorticoid receptors; in vivo antiprogesterone activity (anti-Claiberg) in immature New Zealand white rabbits (p.o)

Reference(s):

1. Rao, Pemmaraju N.; Cessac, James W.; Blye, Richard P.; Kim, Hyun K., Steroids, CODEN: STEDAM, 63(1), <1998>, 50-57; BABS-6092463

Reaction:

RX

Reaction ID (.RID):	8873097
Reactant BRN (.RBRN):	1768703, 6943706
Reactant (.ACT):	acetic acid trifluoroacetic acid-anhydride, 11.beta.-(4-N,N-dimethylaminophenyl)-17.alpha.-hydroxy-19-norpregna-4,9-diene-3,20-dione
Product BRN (.PBRN):	6946364
Product (.PRO):	17.alpha.-acetoxy-11.beta.-(4-N,N-dimethylaminophenyl)-19-norpregna-4,9-diene-3,20-dione
No. of React. Details (.NVAR):	1

Reaction Details:

RX

Reaction RID (.RID):	8873097.1
Reaction Classification (.CL):	Preparation
Yield (.YDT):	68 percent (BRN=6946364)
Reagent (.RGT):	p-TsOH
Solvent (.SOL):	CH2Cl2
Time (.TIM):	20 min
Temperature (.T):	0 Cel

LS ANSWER 9 OF 10 BEILSTEIN COPYRIGHT 2003 BEILSTEIN CDS MDL on STN

Beilstein Records (BRN):

6945949
Chemical Name (CN): 17-acetoxy-11.beta.-(4-N-methylaminophenyl)-19-norpregna-4,9-diene-3,20-dione

Autonom Name (AUN):

acetic acid 17-acetyl-13-methyl-11-(4-methylamino-phenyl)-3-oxo-2,3,6,7,8,11,12,13,14,15,16,17-dodecahydro-1H-cyclopenta[a]phenanthren-17-yl ester
C29 H35 N O4

Molec. Formula (MF):

Molecular Weight (MW):

Lawson Number (LN):

File Segment (FS):

Compound Type (CTYPE):

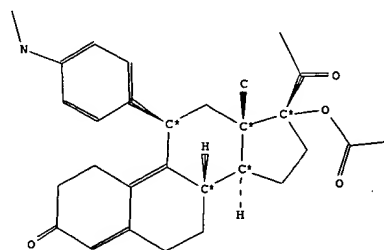
Constitution ID (CONSID):

Tautomer ID (TAUTID):

Beilstein Citation (BSO):

Entry Date (DED):

Update Date (DUPD):



Field Availability:

Code	Name	Occurrence
BRN	Beilstein Records	1
CN	Chemical Name	1
AUN	Autonomname	1
MF	Molecular Formula	1
FW	Formular Weight	1
LN	Lawson Number	3
FS	File Segment	1
CTYPE	Compound Type	1
CONSID	Constitution ID	1
TAUTID	Tautomer ID	1
BSO	Beilstein Citation	1
ED	Entry Date	1
UPD	Update Date	1
IR	Infrared Spectrum	1

L5 ANSWER 9 OF 10 BEILSTEIN COPYRIGHT 2003 BEILSTEIN CDS MDL on STN
(Continued)

MP Melting Point 1
NMR Nuclear Magnetic Resonance 1

This substance also occurs in Reaction Documents:

Code	Name	Occurrence
RX	Reaction Documents	2
RXREA	Substance is Reaction Reactant	1
RXPRO	Substance is Reaction Product	1

Melting Point:

Value (MP)	Solvent (.SOL)	Ref.	Note
239 - 240	methanol, hexane	1, 2	

Reference(s):

1. Rao, Pemmaraju N.; Acosta, C. Kirk; Cessac, James W.; Bahr, Martin L.; Kim, Hyun K., Steroids, CODEN: STEDAM, 64(3), <1999>, 205 - 212; BABS-6188426

Notes(s):

1. Decomposition
2. Crystallization with 0.2 Mol(s) CH₂Cl₂

Nuclear Magnetic Resonance:

Description (.KW)	Chemical shifts
Coupling Nuclei (.NUI)	1H-1H
Solvents (.SOL)	CDC13

Reference(s):

1. Rao, Pemmaraju N.; Acosta, C. Kirk; Cessac, James W.; Bahr, Martin L.; Kim, Hyun K., Steroids, CODEN: STEDAM, 64(3), <1999>, 205 - 212; BABS-6188426

Infrared Spectrum:

Descript	Solvent	Ref.	Note
ion			
(.KW)	(.SOL)		

Bands | KBr | 1 | 1

Reference(s):

1. Rao, Pemmaraju N.; Acosta, C. Kirk; Cessac, James W.; Bahr, Martin L.; Kim, Hyun K., Steroids, CODEN: STEDAM, 64(3), <1999>, 205 - 212; BABS-6188426

Notes(s):

1. 3417 - 1581 1/cm

L5 ANSWER 9 OF 10 BEILSTEIN COPYRIGHT 2003 BEILSTEIN CDS MDL on STN
(Continued)

Reagent (.RGT): DMF
Solvent (.SOL): tetrahydrofuran
Time (.TIM): 90 hour(s)
Temperature (.T): 70 Cel

Reference(s):

1. Rao, Pemmaraju N.; Acosta, C. Kirk; Cessac, James W.; Bahr, Martin L.; Kim, Hyun K., Steroids, CODEN: STEDAM, 64(3), <1999>, 205 - 212; BABS-6188426

L5 ANSWER 9 OF 10 BEILSTEIN COPYRIGHT 2003 BEILSTEIN CDS MDL on STN
(Continued)

Reaction:

RX

Reaction ID (.RID): 3714702
Reactant BRN (.RBRN): 6946364
Reactant (.RCT): acetic acid 17-acetyl-11-(4-dimethylamino-phenyl)-13-methyl-3-oxo-2,3,6,7,8,11,12,13,14,15,16,17-dodecahydro-1H-cyclopenta[a]phenanthren-17-yl ester 6945949
Product BRN (.PBRN): 17-acetoxy-11.beta.-(4-N-methylaminophenyl)-19-norpregna-4,9-diene-3,20-dione
Product (.PRO):

No. of React. Details (.NVAR): 2

Reaction Details:

RX

Reaction RID (.RID): 3714702.1
Reaction Classification (.CL): Preparation
Reagent (.RGT): 12, CaO
Solvent (.SOL): tetrahydrofuran, methanol

Reference(s):

1. Rao, Pemmaraju N.; Acosta, C. Kirk; Cessac, James W.; Bahr, Martin L.; Kim, Hyun K., Steroids, CODEN: STEDAM, 64(3), <1999>, 205 - 212; BABS-5903929

RX

Reaction RID (.RID): 3714702.2
Reaction Classification (.CL): Preparation
Yield (.YDT): 50 percent (BRN=6945949)
Reagent (.RGT): CaO, iodine
Solvent (.SOL): tetrahydrofuran, methanol
Time (.TIM): 1 hour(s)
Temperature (.T): 0 Cel

Reference(s):

1. Rao, Pemmaraju N.; Acosta, C. Kirk; Cessac, James W.; Bahr, Martin L.; Kim, Hyun K., Steroids, CODEN: STEDAM, 64(3), <1999>, 205 - 212; BABS-6188426

Reaction:

RX

Reaction ID (.RID): 5202608
Reactant BRN (.RBRN): 6945949, 3600292
Reactant (.RCT): 17-acetoxy-11.beta.-(4-N-methylaminophenyl)-19-norpregna-4,9-diene-3,20-dione, tritiated methyl iodide 8373562
Product BRN (.PBRN): 17.alpha.-acetoxy-11.beta.-(4-N-methyl-N-tritioethylaminophenyl)-19-norpregna-4,9-dien-3,20-dione
Product (.PRO):

No. of React. Details (.NVAR): 1

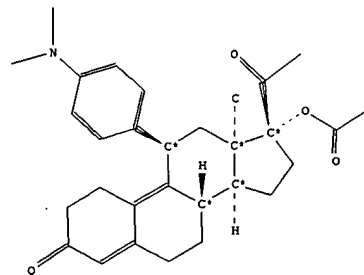
Reaction Details:

RX

Reaction RID (.RID): 5202608.1
Reaction Classification (.CL): Preparation

L5 ANSWER 10 OF 10 BEILSTEIN COPYRIGHT 2003 BEILSTEIN CDS MDL on STN

Beilstein Records (BRN): 5673666
CAS Reg. No. (RN): 96285-40-4, 126784-99-4
Chemical Name (CN): 17.alpha.-acetoxy-11.beta.-(4-dimethylaminophenyl)-13.alpha.-methyl-18,19-dinor-pregna-4,9-diene-3,20-dione
Autonom Name (AUN): acetic acid 17-acetyl-11-(4-dimethylamino-phenyl)-13-methyl-3-oxo-2,3,6,7,8,11,12,13,14,15,16,17-dodecahydro-1H-cyclopenta[a]phenanthren-17-yl ester
Molec. Formula (MF): C30 H37 N O4
Molecular Weight (MW): 475.63
Lawson Number (LN): 15934, 2817, 1155
File Segment (FS): Stereo compound
Compound Type (CTYPE): isocyclic
Constitution ID (CONSID): 5000625
Tautomer ID (TAUTID): 5427628
Beilstein Citation (BSO): 6-14
Entry Date (DED): 1993/02/12
Update Date (DUPD): 1994/02/18



Field Availability:

Code	Name	Occurrence
BRN	Beilstein Records	1
RN	CAS Registry Number	2
CN	Chemical Name	1
AUN	Autonomname	1
MF	Molecular Formula	1
FW	Formular Weight	1
LN	Lawson Number	3
FS	File Segment	1
CTYPE	Compound Type	1
CONSID	Constitution ID	1
TAUTID	Tautomer ID	1

L5 ANSWER 10 OF 10 BEILSTEIN COPYRIGHT 2003 BEILSTEIN CDS MDL on STN
(Continued)

BSO	Beilstein Citation	1
ED	Entry Date	1
UPD	Update Date	1
IR	Infrared Spectrum	1
MP	Melting Point	1
NMR	Nuclear Magnetic Resonance	1
ORP	Optical Rotatory Power	1
PHARM	Pharmacological Data	1

This substance also occurs in Reaction Documents:

Code	Name	Occurrence
RX	Reaction Documents	1
RXPRO	Substance is Reaction Product*	1

Melting Point:

Value	Solvent	Ref.
(MP)	(.SOL)	
(Cal)		

194 - 195 [ethyl acetate, hexane]

Reference(s):

1. Neef, Guenter; Beier, Sybille; Elger, Walter; Henderson, David; Wiechert, Rudolf, Steroids, CODEN: STEDAM, 44(4), <1984>, 349-372; BABS-5685283

Optical Rotatory Power:

Value	Type	Concentr.	Solvent	Wavelen.	Temp.	Ref.
(ORP)	(.TYP)	(.C)	(.SOL)	(.W)	(.T)	
(deg)				(nm)		(Cel)

372.3 [alpha] 0.39 g/100ml CHCl3 589 25 11

Reference(s):

1. Neef, Guenter; Beier, Sybille; Elger, Walter; Henderson, David; Wiechert, Rudolf, Steroids, CODEN: STEDAM, 44(4), <1984>, 349-372; BABS-5685283

Nuclear Magnetic Resonance:

NMR

Description (.KW):	Chemical shifts
Nucleus (.NUC):	1H
Solvents (.SOL):	CDCl3

Reference(s):

1. Neef, Guenter; Beier, Sybille; Elger, Walter; Henderson, David; Wiechert, Rudolf, Steroids, CODEN: STEDAM, 44(4), <1984>, 349-372; BABS-5685283

L5 ANSWER 10 OF 10 BEILSTEIN COPYRIGHT 2003 BEILSTEIN CDS MDL on STN
(Continued)

Infrared Spectrum:

Descript	Solvent	Ref.	Note
Ion			
(.KW)	(.SOL)		

Bands | KBr | 1 | 1

Reference(s):

1. Neef, Guenter; Beier, Sybille; Elger, Walter; Henderson, David; Wiechert, Rudolf, Steroids, CODEN: STEDAM, 44(4), <1984>, 349-372; BABS-5685283

Notes(s):

1. 1736 - 1612 cm⁻¹ (-1)

Pharmacological Data:

PHARM

Note(s) (.COM):

reversal of dexamethasone induced tyrosine aminotransferase activity in rat hepatoma cells (antiglucocorticoid activity)

Reference(s):

1. Neef, Guenter; Beier, Sybille; Elger, Walter; Henderson, David; Wiechert, Rudolf, Steroids, CODEN: STEDAM, 44(4), <1984>, 349-372; BABS-5685283

Reaction:

RX

Reaction ID (.ID):	2373868
Reactant BRN (.RBRN):	5657948, 385737
Reactant (.RCT):	11.beta.-(4-dimethylaminophenyl)-17.alpha.-hydroxy-13.alpha.-methyl-18,19-dinor-pregna-4,9-diene-3,20-dione, acetic acid anhydride
Product BRN (.PBRN):	5673666
Product (.PRO):	17.alpha.-acetoxy-11.beta.-(4-dimethylaminophenyl)-13.alpha.-methyl-18,19-dinor-pregna-4,9-diene-3,20-dione
No. of React. Details (.NVAR):	1

Reaction Details:

RX

Reaction RID (.RID):	2373868.1
Reaction Classification (.CL):	Preparation
Yield (.YDT):	93 percent (BRN=5673666)
Reagent (.RCT):	4-dimethylaminopyridine
Solvent (.SOL):	toluene
Time (.TIM):	14 hour(s)
Other Conditions (.COND):	Ambient temperature
Reference(s):	1. Neef, Guenter; Beier, Sybille; Elger, Walter; Henderson, David; Wiechert, Rudolf, Steroids, CODEN: STEDAM, 44(4), <1984>, 349-372; BABS-5685283

L5 ANSWER 10 OF 10 BEILSTEIN COPYRIGHT 2003 BEILSTEIN CDS MDL on STN
(Continued)

=> d ibib ab hitstr fqhit 1-16
 'HITSTR' IS NOT A VALID FORMAT FOR FILE 'MARPAT'

The following are valid formats:

MSTR ----- All Markush structure(s) and related text information
 MSTR(n) -- Markush structure(n) and related text information
 IDE ----- AN and MSTR

ABS ----- AB
 ALL ----- BIB, AB, IND, RE, and MSTR
 APPS ----- AI, PRAI
 BIB ----- AN, plus Bibliographic Data and PI table (default)
 CAN ----- List of CA abstract numbers without answer numbers
 CBIB ----- AN, plus Compressed Bibliographic Data
 DALL ----- ALL, delimited (end of each field identified)
 DMAX ----- MAX, delimited for post-processing
 FAM ----- AN, PI and PRAI in table, plus Patent Family data
 FBIB ----- AN, BIB, plus Patent FAM
 IND ----- Indexing Data
 IPC ----- International Patent Classifications
 MAX ----- ALL, plus Patent FAM, RE
 PATS ----- PI, SO
 SAM ----- CC, SX, TI, ST, IT, and FQHIT
 SCAN ----- CC, SX, TI, ST, IT, and FQHIT (random display,
 no answer numbers)
 STD ----- BIB, IPC, and NCL (standard patent information)

IABS ----- ABS, indented with text labels
 IALL ----- ALL, indented with text labels
 IBIB ----- BIB, indented with text labels
 IMAX ----- MAX, indented with text labels
 ISTD ----- STD, indented with text labels
 OBIB ----- AN, plus Bibliographic Data (original)
 OIBIB ----- OBIB, indented with text labels

SBIB ----- BIB, no citations
 SIBIB ----- IBIB, no citations

HIT ----- Fields containing hit text terms and the Markush
 structures containing the query structure
 FHIT ----- Fields containing the first hit text terms and the first
 Markush structures containing the query structure
 QHIT ----- Fields containing query focus hit text terms and the
 Markush structures containing the query structure
 FQHIT ----- Fields containing the first query focus hit text terms and
 the first Markush structures containing the query structure

To display a particular field or fields, enter the display field
 codes. For a list of the display field codes, enter "HELP DFIELDS"
 at an arrow prompt (=>). Examples of formats include: "TI";
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 in the same order as the format specification.

All of the formats (except for SAM, SCAN, FHIT, HIT, FQHIT, or QHIT) may

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L9 ANSWER 1 OF 16 MARPAT COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER:

130:282222 MARPAT

TITLE:

Method for the preparation and pharmaceutical
formulation of 11.beta.-benzaldehyde-
9.alpha.,10.alpha.-epoxy-estr-4-ene derivatives
Schubert, Gerd; Ring, Sven; Kaufmann, Guenter;
Schneider, Birgitt; Elger, Walter
Jenapharm G.m.b.H. und Co. K.-G., Germany
Ger. Offen., 16 pp.
CODEN: GWXXBX

INVENTOR(S):

PATENT ASSIGNEE(S):

SOURCE:

DOCUMENT TYPE:

LANGUAGE:

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

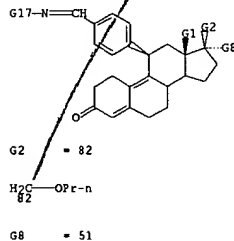
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 19745085	A1	19990415	DE 1997-19745085	19971011
EP 909764	A1	19990421	EP 1998-118613	19981001
EP 909764	B1	19990929		

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
IE, SI, LT, LV, FI, RO
E 19991015

AT 185145 AT 1998-118613 19981001
DE 1997-19745085 19971011

PRIORITY APPL. INFO.:
AB 11.beta.-Benzaldehyde-9.alpha.,10.alpha.-epoxy-estr-4-ene derivs., e.g. I
(R1 = H, C1-6-alkyl; R2 = H, C1-10-alkyl, aryl, aralkyl, alkylaryl,
C1-10-acyl, CONHR4, CO2R4; R3 = H, C1-10-alkyl, aryl, aralkyl, alkylaryl,
(CH2)nCH2Y; R4 = H, C1-10-alkyl, aryl, aralkyl, alkylaryl; Y = F, Cl, Br,
I, CN, N3, SCN, OR5, SR5; n = 0 - 2; R5 = H, C1-10-alkyl, aryl, aralkyl,
alkylaryl, C1-10-acyl) are described. Thus, (E)-I (R1 = R2 = Me, R3 =
CH2OMe, Z = H) was prepd. via regioselective epoxidn. of estradienone II
(R1 = R2 = Me, R3 = CH2OMe, Z = H) with m-chloroperbenzoic acid in CH2Cl2.
(E)-I (R1 = R2 = Me, R3 = CH2OMe, Z = H) showed 88% affinity for the
progesterone receptor but only 12% affinity for the glucocorticoid
receptor.

MSTR 2



L9 ANSWER 2 OF 16 MARPAT COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER:

128:188869 MARPAT

TITLE:

Mixed agonists of the progesterone receptor and assays
for them
McDonnell, Donald P.; Wagner, Brandee L.
Duke University, USA
PCT Int. Appl., 62 pp.
CODEN: PIXXD2

INVENTOR(S):

PATENT ASSIGNEE(S):

SOURCE:

DOCUMENT TYPE:

LANGUAGE:

FAMILY ACC. NUM. COUNT: 1

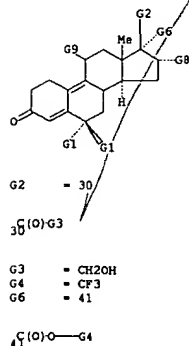
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9805679	A2	19980212	WO 1997-US13754	19970805

W: CA
RW: AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE

PRIORITY APPL. INFO.:
AB A third class of PR-ligand (i.e. mixed agonist) is identified which
induces a progesterone receptor conformation distinct from that induced by
a PR agonist or antagonist; the agonists are estra-4,9-dien-3-one derivs.
PR mixed agonists exhibit partial agonist activity which is influenced by
cell context. These compds. provide useful pharmacol. profiles for
treating progesterone related diseases and/or conditions, such as uterine
proliferation from estrogen administration, endometriosis, breast cancer,
fibroids, endometrial cancer, and brain meningiomas. The agonists can
also be used as contraceptives. Assays are provided to screen for PR
mixed agonists. Mol. designs are provided to convert a PR antagonist to a
PR mixed agonist.

MSTR 1



L9 ANSWER 1 OF 16 MARPAT COPYRIGHT 2003 ACS on STN

(Continued)



G12 = alkyl<(1-10)>

DER: or pharmaceutically acceptable salts

MPL: claim 1

L9 ANSWER 2 OF 16 MARPAT COPYRIGHT 2003 ACS on STN

(Continued)

G9 = 52



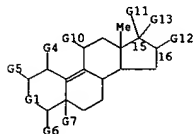
MPL: claim 4

L9 ANSWER 3 OF 16 MARPAT COPYRIGHT 2003 ACS on STN
 ACCESSION NUMBER: 123:218391 MARPAT
 TITLE: Steroids for reducing multidrug resistance to cancer
 INVENTOR(S): Cohn, Suzanne Bourgeois; Gruol, Donald J.
 PATENT ASSIGNEE(S): Salk Institute for Biological Studies, USA
 SOURCE: PCT Int. Appl., 54 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9517192	A1	19950629	WO 1994-US14624	19941219
W: AM, AT, AU, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, EE, ES, FI, GB, GE, HU, JP, KE, KG, KP, KR, KZ, LK, LR, LT, LU, LV, MD, MG, MN, MW, NL, NO, NZ, PL, PT, RO, RU, SD, SE, SI, SK, TJ, TT, UA, US, UZ				
RW: KE, MW, SD, SZ, AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
AU 9514395	A1	19950710	AU 1995-14395	19941219
US 1993-173243 19931222				
WO 1994-US14624 19941219				

PRIORITY APPLN. INFO.:
 AB Certain steroid-like compds. [I: R1 = H; R2 = OR₁ or R1R2 = O; R = H, lower alkyl, Me3Si; R3 = H, Me, or absent if double bond or epoxide bridge joins C9 and C10; R4 = OR₂, C4-18 cyclic org. group contg. O, N, P, or Si; R' = lower alkyl, Me3Si; R5 = H, OR₃ or R5C16C17 form a 3-, 5-, 6-, or 7-membered ring; R6 = C(O)CH3, CH(OH)CH3, C(O)CH2OH, (substituted) hydrocarbyl; R9 = H, halo, or absent if double bond or epoxide bridge joins C9 and C10] are capable of inhibiting the P-glycoprotein-associated efflux pump which is considered responsible for multidrug resistance. Chemotherapy can be enhanced by facilitating the accumulation of drug at the target site, with reduced or eliminated competition by the drug efflux system. Thus RU 38486, an antiprogesterin, at 5 .mu.M facilitated killing of multidrug-resistant S7CD-5 murine thymoma cells by 20 .mu.M puromycin.

MSTR 1B



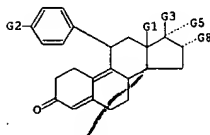
G1 = C(O)
 G3 = loweralkyl
 G10 = Ph (SO (1-2) G16)

L9 ANSWER 4 OF 16 MARPAT COPYRIGHT 2003 ACS on STN
 ACCESSION NUMBER: 123:112512 MARPAT
 TITLE: 11.beta.-aryl-gona-4,9-dien-3-ones
 INVENTOR(S): Kasch, Helmut; Bertram, Gudrun; Ponsold, Kurt; Schubert, Gerd; Roehrig, Heidemarie; Kurischko, Anatoli; Menzenbach, Bernd
 PATENT ASSIGNEE(S): Schering A.-G., Germany
 SOURCE: U.S., 12 pp. Cont. of U.S. Ser. No. 769,271, abandoned.
 CODEN: USXXAM
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5407928	A	19950418	US 1993-153558	19931117
US 5739125	A	19980414	US 1995-391570	19950221
PRIORITY APPLN. INFO.: US 1990-567369 19900815 US 1991-769271 19911001 US 1993-153558 19931117				

AB This invention relates to 11.beta.-arylgona-4,9-dienes I [R = propynyl, CH2OMe; R1 = Me, Et; R2 = alkoxy, alkylthio, NMe2, CN, CHO, Ac, CHMeOH]. The compds. are progesterone antagonists and are suitable for inducing labor or an abortion. Thus, I [R = CH2OMe, R1 = Me, R2 = Ac, II] was prepd. from 3,3-dimethoxy-17.alpha.-methoxymethylestra-5(10),9(11)-dien-17.beta.-ol by methoxylation, epoxidation, reaction with 4-AcOGH4Br ethylene ketal, and deblocking. At a total dose of 2 mg over 4 days, II was 100% effective in causing abortions in rats.

MSTR 2



G3 = COMe
 G5 = 43
 G6 = alkylcarbonyloxy<(1-5)>
 MPE: disclosure
 NTE: substitution is restricted

L9 ANSWER 3 OF 16 MARPAT COPYRIGHT 2003 ACS on STN (Continued)
 G11 = 32

G13 = COMe
 MPL: claim 1

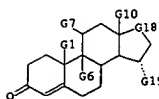


L9 ANSWER 5 OF 16 MARPAT COPYRIGHT 2003 ACS on STN
 ACCESSION NUMBER: 122:256423 MARPAT
 TITLE: Antiglucocorticoid steroids for the treatment of anxiety disorders
 INVENTOR(S): Peeters, Bernardus Wynand Machijs Maria
 PATENT ASSIGNEE(S): Akzo Nobel N.V., Neth.
 SOURCE: PCT Int. Appl., 25 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

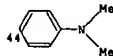
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9504536	A1	19950216	WO 1994-EP2513	19940728
W: AM, AU, BB, BG, BR, BY, CA, CN, CZ, FI, GE, HU, JP, KG, KP, KR, KZ, LK, LT, LV, MD, MG, MN, NO, NZ, PL, RO, RU, SI, SK, TJ, TT, UA, US, UZ, VN				
RW: KE, MW, SD, AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
AU 9474968	A1	19950228	AU 1994-74968	19940728
AU 687088	B2	19980219		
EP 712311	A1	19960522	EP 1994-924819	19940728
EP 712311	B1	19981007		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE				
JP 09501172	T2	19970204	JP 1995-506200	19940728
AT 171873	E	19981015	AU 1994-924819	19940728
ES 2124905	T3	19990216	ES 1994-924819	19940728
US 5741787	A	19980421	US 1996-581631	19960118
PRIORITY APPLN. INFO.: EP 1993-202304 19930804 EP 1994-924819 19940728 WO 1994-EP2513 19940728				

AB Antiglucocorticoid steroids are used for the manuf. of a pharmaceutical compn. for the treatment of anxiety disorders. The anxiolytic effect of 11.beta.-((4-dimethylaminophenyl)-17.beta.-hydroxy-17.alpha.-(prop-1-ynyl)-estra-4,9-dien-3-one (RU38486) was demonstrated in animal testing (antagonism of fear-potentiated startle). Prepn. and activity (antagonism of stress-induced hyperthermia) of selected steroids of the invention is also described.

MSTR 1



G7 = 44



L9 ANSWER 5 OF 16 MARPAT COPYRIGHT 2003 ACS on STN (Continued)
 G11 = alkoxy<(1-6)>
 G16 = alkylcarbonyl<(1-5)> (SO (1-) G17)
 G18 = 39



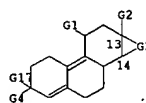
MPL: claim 2

L9 ANSWER 6 OF 16 MARPAT COPYRIGHT 2003 ACS on STN
 ACCESSION NUMBER: 116:35156 MARPAT
 TITLE: Preparation and use of antiprogesteronimetics for
 synchronization of parturition in livestock
 INVENTOR(S): Grandadam, Jean Andre
 PATENT ASSIGNEE(S): Roussel-UCLAF, Fr.
 SOURCE: Eur. Pat. Appl., 13 pp.
 CODEN: EPXXDW
 DOCUMENT TYPE: Patent
 LANGUAGE: French
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 446124	A2	19910911	EP 1991-400594	19910305
EP 446124	A3	19920527		
R: AT, BE, CH, DE, DK, FR, GB, GR, IT, LI, LU, NL, SE				
FR 2659233	A1	19910913	FR 1990-2783	19900306
FR 2659233	B1	19940121		
CA 2037549	AA	19910907	CA 1991-2037549	19910305
AU 9172608	A1	19910912	AU 1991-72608	19910305
AU 642975	B2	19931104		
ZA 9101603	A	19920527	ZA 1991-1603	19910305
JP 04211610	A2	19920803	JP 1991-62496	19910305
RU 2037295	C1	19950619	RU 1991-4895041	19910305
CN 1055665	A	19911030	CN 1991-102108	19910306
HU 59006	A2	19920428	HU 1991-729	19910306

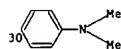
PRIORITY APPLN. INFO.:
 AB The title antiprogesteronimetics are I (R1 = C1-18 hydrocarbyl optionally substituted with σ -fereq.1 heteroatoms and bonded to the steroid by a C; R2 = C1-8 hydrocarbyl; X = remainder of 5- and 6-membered ring optionally substituted and optionally unsatd.; C = A = CNOH, oxo (free or blocked as ketal), etc.; B and C together form a double bond or epoxide bridge) and acid addn. salts thereof. Prepn. of 2 I are described.
 17.beta.-Hydroxy-11.beta.-(4-dimethylaminophenyl)-17.alpha.-(prop-1-ynyl)estra-4,9-dien-3-one (II) was more effective at synchronizing parturition than cloprostenol when tested in sows. Injectable pharmaceuticals contg. II are disclosed.

MSR 1C

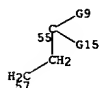


G1 = 30

L9 ANSWER 6 OF 16 MARPAT COPYRIGHT 2003 ACS on STN (Continued)



G3 = 55-13 57-14



G9 = 43

G3(0)CH2O-C(0)-G10

G15 = 61

G1(0)CH2OH

G4 +G17= O

DER: and protected derivatives
 DER: and acid addition salts
 MPL: claim 1

L9 ANSWER 7 OF 16 MARPAT COPYRIGHT 2003 ACS on STN
 ACCESSION NUMBER: 115:214857 MARPAT
 TITLE: Injectable microspheres containing antiestrogenic and
 antiprogesteronimetic steroids
 INVENTOR(S): Cohen, Gerard; Dubois, Jean Luc
 PATENT ASSIGNEE(S): Roussel-UCLAF, Fr.
 SOURCE: Ger. Offen., 15 pp.
 CODEN: GWXXBX
 DOCUMENT TYPE: Patent
 LANGUAGE: German
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

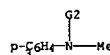
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 4036425	A1	19910516	DE 1990-4036425	19901115
FR 2654337	A1	19910517	FR 1989-14976	19891115
FR 2654337	B1	19940805		
SE 9003570	A	19910516	SE 1990-3570	19901109
BE 1005511	A4	19930831	BE 1990-1062	19901109
DK 9002709	A	19910516	DK 1990-2709	19901113
CA 2029940	AA	19910516	CA 1990-2029940	19901114
JP 03294229	A2	19911225	JP 1990-306374	19901114
CH 681691	A	19930514	CH 1990-3611	19901114
NL 9002492	A	19910603	NL 1990-2492	19901115
GB 2239798	A1	19910717	GB 1990-24862	19901115
GB 2239798	B2	19931027		
AT 9002313	A	19950415	AT 1990-2313	19901115
AT 400298	B	19951127		

PRIORITY APPLN. INFO.:
 AB Biodegradable microspheres comprise the title steroids (Markush given) and copolymers of lactic acid with glycolic acid. A mixt. of 250 mL aq. 0.3% hydrolyzed PVA soln., 1 g poly(DL-lactic acid-glycolic acid), 17 g CH2Cl2, and 0.5 g 17.beta.-hydroxy-11.beta.-(4-(dimethylamino)phenyl)-17.alpha.-(1-propynyl)estra-4,9-dien-3-one was emulsified, followed by stirring at 22.degree. and decreasing pressure (σ -fereq.400 mm Hg) to give microspheres, which were used for the prepn. of injections.

MSR 1A

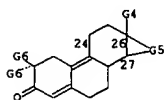
G1—G3

G1 = 3



G3 = 24

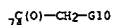
L9 ANSWER 7 OF 16 MARPAT COPYRIGHT 2003 ACS on STN (Continued)



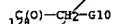
G5 - 68-26 70-27



G9 = 74



G10 = alkylcarbonyloxy<(1-8)> (50)
G13 = 128



MPL: / claim 6

L9 ANSWER 8 OF 16 MABPAT COPYRIGHT 2003 ACS on STN (Continued)

G1 - 85



G12 - 96



G14 - 98



G15 = alkylcarbonyloxy<(1-8)> (SO (1-) aryl)

$$G5 + G6 = 0$$

DER: or acid or base addition salts
MPL: -

MPL: claim 2
NTE: oxo form

L9 ANSWER 8 OF 16 MARPAT COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: 115:151901 MARPAT
TITLE: Use of antiprogestomimetics for stimulating ovulation,
and new preparation for use in pharmaceutical

INVENTOR(S): Grandadam, Jean Andre
PATENT ASSIGNEE(S): Roussel-UCLAF, Fr.
SOURCE: Eur. Pat. Appl., 24 pp.
CODEN: EPXXXX

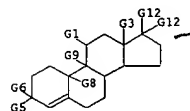
DOCUMENT TYPE: Patent
LANGUAGE: French
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 417003	A2	19910313	EP 1990-402449	19900906
EP 417003	A3	19911204		
EP 417003	B1	19940629		
FR 2651435	BE, CH, DE, DK, ES, GB, IT, LI, LU, NL, SE	19910308	FR 1989-11693	19890907
FR 2651435	B1	19940422		
US 7513483	A	19921222	US 1990-578894	19900905
CA 2024728	A	19910308	CA 1990-2024728	19900906
UA 9062259	A1	19910314	UA 1990-62259	19900907
JP 632805	B2	19920521		
JP 1990015	B2	19910424	JP 1990-236004	19900907
JP 9032258	B2	20900410		

PRIORITY APPLM. INFO.: B2 200004910 FR 1989-11699 198909007

AB Anti-progestomimetic compounds, e.g. 1 [R1 = C1-18 hydrocarbyl with optionally, gtoreq.1 heteroatoms, bonded to the steroid by a C2 R2 = C1-8 hydrocarbyl; X = rest of 5- or 6-membered (substituted) (unsatd.) ring; A/C = oxo (free or in ketal), CH(OH), CH(OR3), CH(OR2CR3), etc.; R3 = C1-8 alkyl, C7-15 aralkyl; B and C together form a double bond and epoxide and/or a double bond and an addn. salt, are used for making pharmaceuticals for stimulating ovulation. The compds. of the invention are preferably used following treatment with progesterone or a progestinimetic, e.g. 3-oxo-17.alpha.-allyl-17.beta.-hydroxyestra-4,9,11-triene (II). Thus, heifer cows were 1st administered II for 17 days; on the day following the last administration, the animals were injected with 17.beta.-hydroxy-11.beta.- (4-dimethylaminophenyl)-17.alpha.- (prop-1-enyl)-17.alpha.-ene (III). All of the heifers came to heat after a very short delay period, and LH levels rose very rapidly. Prepn. of 12 anti-progestomimetics is presented.

MSTR 1E



L9 ANSWER 9 OF 16 MARPAT COPYRIGHT 2003 ACS on STN

115:9125 MARPAT
TITLE: Preparation of .omega.-[(3-oxoestra-4,9-dien-11.beta.-yl)phenylamino]alkanoates as antigluccorticoids

INVENTOR(S): Moguilewsky, Martine; Nedelec, Lucien; Nique,
Francois; Philibert, Daniel
PATENT ASSIGNEE(S): Roussel-UCLAF, Fr.
SOURCE: Eur. Pat. Appl., 33 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: French

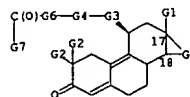
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE	
EP 414606	A2	19910227	EP 1990-402328	19900822	
EP 414606	A3	19910724			
EP 414606	B1	19911102			
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE					
FR 2651233	A1	19910301	FR 1989-11173	19890823	
FR 2651233	B1	19911213			
CA 2022648	AA	19910224	CA 1990-2022648	19900803	
ZA 9006341	A	19911030	ZA 1990-6341	19900810	
US 5166146	A	19921124	US 1990-568597	19900816	
JP 03090097	A2	19910416	JP 1990-217281	19900820	
JP 7026997	B2	20000327			
IL 9551	A1	19950731	IL 1990-95451	19900821	
AU 9061189	B1	19910228	AU 1990-61189	19900822	
AU 634569	A2	19930225			
HU 54706	A2	19910328	HU 1990-5275	19900822	
HU 208154	B	19930830			
ES 2063313	T3	19950501	ES 1990-402328	19900822	
CN 1051362	A	19910515	CN 1990-107161	19900823	
CN 113808	B	19970115			
RU 2041236	A1	19950809	RU 1992-501151	19920518	
PRIORITY APPIN. INFO.:				RU 1989-11173	19890823

OTHER SOURCE(S): CASREACT 115:9125

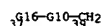
AB The title compounds. [I: R1 = alph. hydrocarbonyl; R2 = H, (un)substituted alkyl; R5, R6 = H, alkyl; X = atoms to complete an (un)substituted 5- or 6- membered ring; Z = (un)saturated CO2H; n = 1-6] were prepd. Thus, aminophenylestradiene II (R = R5 = R6 = H) was condensed with BrCH2CO2Me to give, after sapon., II (R = CH2CO2Na, R5 = R6 = H) which at 10-6M in vitro gave 82% inhibition of uridine incorporation into rat thymocytes.

MSTR 1A



G3 = phenylene
G9 = 39-18 37-17

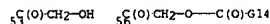
L9 ANSWER 9 OF 16 MARPAT COPYRIGHT 2003 ACS on STN (Continued)



G10 = (1-2) 45



G13 = 53 / 56



G16 = 68



MPL: claim 1

L9 ANSWER 10 OF 16 MARPAT COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: 114:229227 MARPAT
 TITLE: Preparation of 19-nor 3-oxo steroids with an amine substituted 17-chain as antioxidants and antiinflammatories: their use as medicines and pharmaceutical composition containing them
 INVENTOR(S): Claussner, Andre; Leclaire, Jacques; Nedelec, Lucien; Philibert, Daniel
 PATENT ASSIGNEE(S): Roussel-UCLAF, Fr.
 SOURCE: Eur. Pat. Appl., 29 pp.
 CODEN: EPXXDW
 DOCUMENT TYPE: Patent
 LANGUAGE: French
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

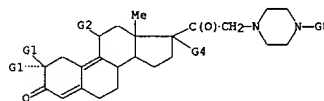
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 389370	A1	19900926	EP 1990-400784	19900322
EP 389370	B1	19940427		
R: CH, DE, FR, GB, IT, LI, NL				
FR 2644789	A1	19900928	FR 1989-3742	19890322
FR 2644789	B1	19950203		
JP 02273693	A2	19901108	JP 1990-68508	19900320
JP 2848907	B2	19990120		
US 5108996	A	19920428	US 1990-497562	19900321
			FR 1989-3742	19890322

PRIORITY APPLN. INFO.:

OTHER SOURCE(S):

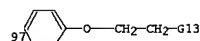
CASREACT 114:229227
 AB The title compds. [I; R1, R2 = H, Me; R11 = (poly)(hetero)hydrocarbyl; one of R17 and R18 is OH or acyloxy and the other is Q; Z = alkylene, alkenylene, alkynylene; P = (substituted) pyrimidinyl, pyridyl] were prepd. via reacting the halo derivs. II or III (X = halo) with the appropriate pyrimidinyl or pyridine deriv. IV. Reaction of estradienone V [R3 = 3-bromo-1-propynyl, R4 = OH] (prepn. given) was reacted with 2,4-bis(1-pyrrolidinyl)-6-(1-piperazinyl)pyrimidine (prepn. given) in acetone contg. K2CO3 at ambient temp. for 2 h to give V [R3 = 3-[4-[2,6-bis(1-pyrrolidinyl)-4-pyrimidinyl]-1-piperazinyl]-1-propynyl; R4 = OH]. At 5 times, 10-4 M this inhibited in vitro the formation of malonyldialdehyde, a measure of lipid peroxidn., in rat brain homogenate by .apprx.47.5%.

MSTR 1C



G2 = 97

L9 ANSWER 10 OF 16 MARPAT COPYRIGHT 2003 ACS on STN (Continued)



G4 = 33



DER: and salts
 MPL: claim 1
 NTE: the alkylamino and dialkylamino groups in G11 may be interrupted by oxygen, sulfur, or nitrogen

L9 ANSWER 11 OF 16 MARPAT COPYRIGHT 2003 ACS on STN

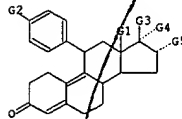
ACCESSION NUMBER: 114:229226 MARPAT
 TITLE: 11.beta.-Acrylgon-4,9-dien-3-one
 INVENTOR(S): Kasch, Helmut; Bertram, Gudrun; Ponsold, Kurt; Schubert, Gerd; Roehrig, Heidemarie; Kurischko, Anatoli; Menzenbach, Bernd
 PATENT ASSIGNEE(S): Schering A.-G., Germany
 SOURCE: Eur. Pat. Appl., 22 pp.
 CODEN: EPXXDW
 DOCUMENT TYPE: Patent
 LANGUAGE: German
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 411733	A2	19910206	EP 1990-250199	19900806
EP 411733	A3	19920122		
EP 411733	B1	19981021		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE				
DD 290893	A5	19910613	DD 1989-331479	19890604
DD 289537	A5	19910502	DD 1989-331818	19890816
DD 299068	A5	19920326	DD 1989-333409	19891009
WO 9101958	A2	19910221	WO 1990-DE614	19900806
WO 9101958	A3	19911212		
W: JP				
JP 05504759	T2	19930722	JP 1990-511174	19900806
JP 3202224	B2	20010827		
AT 172469	E	19981115	AT 1990-250199	19900806
ES 2127181	T3	19990416	ES 1990-250199	19900806
PRIORITY APPLN. INFO.:				
			DD 1989-331479	19890804
			DD 1989-331818	19890816
			DD 1989-333409	19891009
			WO 1990-DE614	19900806

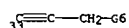
OTHER SOURCE(S):

CASREACT 114:229226
 AB Arylgonadienones I [R = alkoxy, alkylthio, NMe2, NHMe, cyano, CHO, Ac, CHMeOH; R1 = Me, Et; R2 = OH, Me, Et, CHO, Ac, cyano, OSiMe2CHMe3, alkoxyalkyl, acyloxyethoxy, alkoxymethoxy, acyloxy, alkoxy; R3 = C.tplbond.CH, C.tplbond.CHMe, C.tplbond.CCH2OH, 3-acyloxy-1-propynyl, 3-acyloxy-1-propenyl, 3-acyloxypropyl, CH:CHCH2OH, (CH2)3OH; R4 = H, alkyl; R3R4 = CH2, (CH2)4] were prepd. by treating gonanols II with an acid. Thus, II (R = 2-methyl-1,3-dioxolan-2-yl, R1 = Me, R2 = OMe, R3 = C.tplbond.CH, R4 = R5 = H, R5R6 = CH2CH2) was prepd. from 3,3-dimethoxy-17.alpha.-ethynyl-13-methylgon-5(10)-en-3-one in 6 steps via reaction with 2-methyl-1,3-dioxolan-2-ylmagnesium bromide and was treated with 70% aq. AcOH to give I (R = Ac, R1 = Me, R2 = OMe, R3 = C.tplbond.CH, R4 = H, III). At 2 mg/day for 4 days in rats III gave 100% contraception.

MSTR 1B



L9 ANSWER 11 OF 16 MARPAT COPYRIGHT 2003 ACS on STN (Continued)

G3 = COMe
G4 = 33G6 = alkoxy(1-4)
MPL: claim 1

L9 ANSWER 12 OF 16 MARPAT COPYRIGHT 2003 ACS on STN

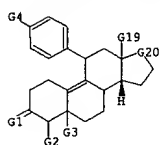
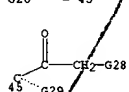
ACCESSION NUMBER: 113:115677 MARPAT
 TITLE: Preparation of androstanone derivatives as drugs
 INVENTOR(S): Scholz, Stefan; Neef, Guenter; Ottow, Eckhard; Elger, Walter; Beier, Sybille; Chwalisz, Krzysztof
 PATENT ASSIGNEE(S): Schering A.-G., Germany
 SOURCE: Eur. Pat. Appl., 38 pp.
 CODEN: EPXXDW
 DOCUMENT TYPE: Patent
 LANGUAGE: German
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 360369	A1	19900328	EP 1989-250040	19890920
EP 360369	B1	19950503		
R: AT, BE, CH, DE, ES, FR, GB, GR, IT, LI, LU, NL, SE				
DE 3832303	A1	19900412	DE 1988-3832303	19880920
IL 91672	A1	19941229	IL 1989-91672	19890918
WO 9003385	A1	19900405	WO 1989-EP1090	19890920
W: AU, DK, FI, HU, JP, NO, US				
AU 8943049	A1	19900418	AU 1989-43049	19890920
AU 640616	B2	19930902		
ZA 8907191	A	19901031	ZA 1989-7191	19890920
DD 284682	A5	19901121	DD 1989-332836	19890920
HU 56851	A2	19911028	HU 1989-5541	19890920
HU 208151	B	19930830		
JP 04501712	T2	19920326	JP 1989-509963	19890920
JP 2760870	B2	19980604		
AT 122052	E	19950515	AT 1989-250040	19890920
ES 2074073	T3	19950901	ES 1989-250040	19890920
NO 9101102	A	19910319	NO 1991-1102	19910319
DK 9100504	A	19910320	DK 1991-504	19910320
US 5244886	A	19930914	US 1991-663819	19910320
NO 9104772	A	19910319	NO 1991-4772	19911204
PRIORITY APPLN. INFO.:			DE 1988-3832303	19880920
			WO 1989-EP1090	19890920
			NO 1991-1102	19910319

OTHER SOURCE(S): CASREACT 113:115677
 AB The title compds. [I: Z = O, hydroxyimino; LM = bond, or L = H and M = .alpha.-OH; AB = bond and D = H and R1 = heteroaryl; or A = H and BD = CH2 and Z = H2; R3, R4 = tetrahydropyranyloxyalkyl, tetrahydropyranyloxyalkynyl, etc.], useful as antiglucocorticoids, neoplasm inhibitors (esp. for breast cancer), progestogen inhibitors, and antiproliferative agents, were prepd. 3-(Tetrahydropyrany-2-yloxy)-1-propyne was lithiated with BuLi in THF-hexane and the product treated with 14.beta.-androstan-17-one II (R3R4 = O) (prepn. given) to give II (R3 = Q, R4 = OH) treated with 4N HCl to give I [R1 = OMe, R2 = Me, R3 = (CH2)3OH, BD = CH2, LM = bond, Z = O, A = H] (III). III had higher affinity for the gestagen receptor than the known EP-A 0277676 [11.beta.-(4-(dimethylamino)phenyl)-17.alpha.-hydroxy-17-(3-hydroxypropyl)-14.beta.-estra-4,9-dien-3-one].

MSTR 1A

L9 ANSWER 12 OF 16 MARPAT COPYRIGHT 2003 ACS on STN (Continued)

G1 = O
G20 = 45G29 = OCHO
MPL: claim 1

L9 ANSWER 13 OF 16 MARPAT COPYRIGHT 2003 ACS on STN

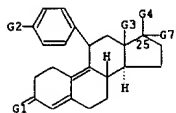
ACCESSION NUMBER: 112:235680 MARPAT
 TITLE: Preparation of 13-alkyl-11.beta.-phenylgonanes as antigestagens and antiglucocorticoids
 INVENTOR(S): Scholz, Stefan; Ottow, Eckhard; Neef, Guenter; Elger, Walter; Beier, Sybille; Chwalisz, Krzysztof
 PATENT ASSIGNEE(S): Schering A.-G., Germany
 SOURCE: Ger. Offen., 22 pp.
 CODEN: GWXXBX
 DOCUMENT TYPE: Patent
 LANGUAGE: German
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 3822770	A1	19900104	DE 1988-3822770	19880701
IL 90826	A1	19940624	IL 1989-90826	19890630
CA 1334668	A1	19950307	CA 1989-60455	19890630
EP 349481	A1	19900103	EP 1989-730155	19890703
EP 349481	B1	19951102		
R: AT, BE, CH, DE, ES, FR, GB, GR, IT, LI, LU, NL, SE				
WO 9000174	A1	19900111	WO 1989-DE443	19890703
W: AU, FI, HU, JP, NO				
AU 8938568	A1	19900123	AU 1989-38568	19890703
AU 644060	B2	19931202		
ZA 8905058	A	19900425	ZA 1989-5058	19890703
DD 287511	A5	19910228	DD 1989-330342	19890703
HU 56114	A2	19910729	HU 1989-4130	19890703
HU 208021	B	19930728		
DD 295638	A5	19911107	DD 1989-341722	19890703
JP 03505727	T2	19911212	JP 1989-507188	19890703
JP 2956776	B2	19991004		
US 5273971	A	19931228	US 1989-374809	19890703
AT 129717	E	19951115	AT 1989-730155	19890703
ES 2080079	T3	19960201	ES 1989-730155	19890703
NO 9005609	A	19910228	NO 1990-5609	19901227
NO 180451	B	19970113		
NO 180451	C	19970423		
US 5446036	A	19950829	US 1993-144474	19931102
FI 9504856	A	19951012	FI 1995-4856	19951012
NO 9600829	A	19910228	NO 1996-829	19960229
PRIORITY APPLN. INFO.:			DE 1988-3822770	19880701
			US 1989-374809	19890703
			WO 1989-DE443	19890703
			NO 1990-5609	19901227
			FI 1990-6441	19901228

AB The title compds. [I: R1 = heterocyclyl, cycloalkyl, cycloalkenyl, alkenyl, etc.; R2 = .alpha.-, .beta.-Me, -Et; R3, R4 = alkoxy, acyl, oxofuryl, alkynyl, etc.; Z = O, NOH], antigestagens and antiglucocorticoids useful for induction of abortion, were prepd. via Grignard reaction of the corresponding 5.alpha.,10.alpha.-epoxy-9(11) unsatd. steroids with p-R1C6H4X (X = halo). Grignard reaction of epoxy steroid II (prepn. given) with p-CH2:CHC6H4X (X = Br, Iodo) gave I [R1 = CH2:CH, R2 = .beta.-Me, R3 = OH, R4 = C.tplbond.OMe, Z = OCH2CMe2CH2O], which was hydrolyzed to give I [Z = O, R1-R4 same as above]. This at 3.0 mg s.c./day induced abortion in 100% of rats tested.

MSTR 1A

L9 ANSWER 13 OF 16 MARPAT COPYRIGHT 2003 ACS on STN (Continued)



G1 = O
G4 = 37

37(O)CH₂-G10

G7 = 32

32-G8

G8 = CHO
MPL: claim 1
NTE: substitution is restricted

L9 ANSWER 14 OF 16 MARPAT COPYRIGHT 2003 ACS on STN

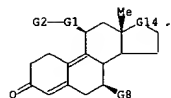
ACCESSION NUMBER: 111:233356 MARPAT
TITLE: New 11-aryl steroids useful as antiprogestins, their preparation, and pharmaceuticals containing them
INVENTOR(S): De Jongh, Hendrik Paul; Van Vliet, Nicolaas Pieter
PATENT ASSIGNEE(S): AKZO N. V., Neth.
SOURCE: Eur. Pat. Appl., 10 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 321010	A1	19890621	EP 1988-202678	19881125
EP 321010	B1	19930203		
R: AT, BE, CH, DE, ES, FR, GB, GR, IT, LI, NL, SE				
AT 85342	E	19930215	AT 1988-202678	19881125
ES 2053714	T3	19940801	ES 1988-202678	19881125
ZA 8808996	A	19890830	ZA 1988-8996	19881130
AU 8826469	A1	19890615	AU 1988-26469	19881201
AU 613433	B2	19910801		
US 4921845	A	19900501	US 1988-281582	19881208
CA 1301162	A1	19920519	CA 1988-585297	19881208
DK 8806880	A	19890613	DK 1988-6880	19881209
DK 168444	B1	19940328		
FI 8805717	A	19890613	FI 1988-5717	19881209
FI 89056	B	19930430		
FI 89056	C	19930810		
KR 9709592	B1	19970614	KR 1988-16480	19881210
CN 1034731	A	19890816	CN 1988-108484	19881212
CN 1019807	B	19921230		
JP 01211597	A2	19890824	JP 1988-313643	19881212
PRIORITY APPLN. INFO.:			NL 1987-3008	19871212
			EP 1988-202678	19881125

AB Aryl steroids I [R1 = aryl substituted by -NXY; X, Y = H, Cl-4 hydrocarbyl; or XY = C2-6 hydrocarbyl forming 3- to 7-membered ring; R2 = H, OH, acyloxy, alkoxy, (unsatd. Cl-8 hydrocarbyl with stereoc. 1 OH, oxo, N3, cyano, and/or halo group; R3 = OH, acyloxy, alkoxy, or acyl optionally substituted by OH, alkoxy, acyloxy, or halo or R2R3 forms ring; R2 .noteq. H or OH when R3 = OH; R4 = Me, Et), which are strong antiprogestins with little or no antigluccorticoid activity (no data), are prepd. Thus, 7.beta.-methylster-5-(10)-ene-3,17-dione 3,3-di-Me acetal underwent NaBH₄ redn., deketalization, bromination/dehydrobromination, reketalization, and epoxidn., to give 5.alpha., 10.alpha.-epoxy-17.beta.-hydroxy-7.beta.-methylster-9(11)-en-3-one 3,3-ethylene acetal. This underwent CuCl-catalyzed coupling with p-(Me₂N)CGH₄MgBr, Oppenauer oxidn. of 17-OH, alkylation with THP-OCH₂C.tpbond.CMgBr (THP = tetrahydropyranyl), and deprotection, to give (dimethylaminophenyl)hydroxy(hydroxypropynyl)methylsteradienone II.

MSTR 1

L9 ANSWER 14 OF 16 MARPAT COPYRIGHT 2003 ACS on STN (Continued)



G1 = phenylene
G5 = 31

31-C(O)-G11

G6 = 31 / 35

31-C(O)-G11 35(O)-G12

G10 = 31

31-C(O)-G11

G12 = Ak (SO (1-) G10)
G14 = 42



MPL: claim 1

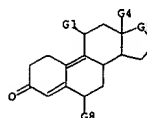
L9 ANSWER 15 OF 16 MARPAT COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: 110:95624 MARPAT
TITLE: Preparation of novel 11-arylestrane and 11-arylpregnane derivatives as antiprogestins with low or no antigluccorticoid activity
INVENTOR(S): Groen, Marinus Bernard; De Jongh, Hendrik Paul
PATENT ASSIGNEE(S): AKZO N. V., Neth.
SOURCE: Eur. Pat. Appl., 11 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 289073	A1	19881102	EP 1988-200689	19880412
EP 289073	B1	19911127		
R: AT, BE, CH, DE, ES, FR, GB, GR, IT, LI, NL, SE				
AT 69820	E	19911215	AT 1988-200689	19880412
ES 2045082	T3	19940116	ES 1988-200689	19880412
ZA 8802643	A	19881130	ZA 1988-2643	19880414
FI 8801826	A	19881025	FI 1988-1826	19880419
FI 88396	B	19930129		
FI 88396	C	19930510		
US 4871724	A	19891003	US 1988-183851	19880420
CA 1297472	A1	19920317	CA 1988-564606	19880420
DK 8802218	A	19881025	DK 1988-2218	19880422
DK 168294	B1	19940307		
AU 8815072	A1	19881027	AU 1988-15072	19880422
AU 608831	B2	19910418		
JP 63280097	A2	19881117	JP 1988-100010	19880422
CN 88102416	A	19881214	CN 1988-102416	19880423
CN 1019978	B	19930303		
KR 9705318	B1	19970415	KR 1988-4653	19880423
PRIORITY APPLN. INFO.:			NL 1987-370	19870424
			EP 1988-200689	19880412

AB The title compds. [I; R1 = aminoaryl; R2 = Cl-4 alkyl; R3 = H, OH, substituted (unsatd.) Cl-8 hydrocarbyl; R4 = OH, acyloxy, substituted acyl; R3R4 = atoms to complete a ring; R5 = Cl-4 hydrocarbyl] useful as antiprogestins (no data) were prepd. 5.alpha.,6.alpha.-Epoxy-11.beta.-hydroxyestrane-3,17-dione-3,17-diethylene acetal (prepn. given) was treated with MeMgCl in PhMe/THF and the product was dehydrated with POCl₃/pyridine to give 6-beta.-methylster-5(10),9(11)-diene-3,17-dione-3,17-diethylene acetal. The latter was converted in several steps to 11.beta.-[4-(dimethylamino)phenyl]-17.beta.-hydroxy-17.alpha.-(3-hydroxy-1-propynyl)-6.beta.-methylster-4,9-diene-3-one.

MSTR 1



L9 ANSWER 15 OF 16 MARPAT COPYRIGHT 2003 ACS on STN (Continued)
G1 = 63 / 64 / 65



G5 = 25



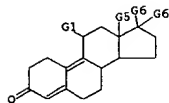
G6 = alkylcarbonyloxy (SR (1-) G12)
G7 = alkylcarbonyl (SO (1-) G10)
GGA = 69 <(1-7)>
MPL: claim 1

L9 ANSWER 16 OF 16 MARPAT COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 109:170799 MARPAT
TITLE: Antiprogesterone 11.beta.-aryl-14.beta.-estra-4,9-dien-3-one derivatives, a process for their preparation, and pharmaceuticals containing them
INVENTOR(S): Loozen, Hubert Jan Jozef
PATENT ASSIGNEE(S): AKZO N. V., Neth.
SOURCE: Eur. Pat. Appl., 15 pp.
CODEN: EPXOXD
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 277676	A1	19880810	EP 1988-200071	19880118
EP 277676	B1	19920304		
R: AT, BE, CH, DE, ES, FR, GB, GR, IT, LI, NL, SE				
CA 1339570	A1	19971209	CA 1988-556625	19880115
ZA 8800317	A	19880928	ZA 1988-317	19880118
AT 73137	E	19920315	AT 1988-200071	19880118
ES 2031991	T3	19930101	ES 1988-200071	19880118
FI 8800257	A	19880724	FI 1988-257	19880121
FI 89054	B	19930430		
FI 89054	C	19930810		
AU 8810669	A1	19880728	AU 1988-10669	19880121
AU 603637	B2	19901122		
DK 8800304	A	19880724	DK 1988-304	19880122
DK 163307	B	19920217		
DK 163307	C	19920706		
CN 88100979	A	19880817	CN 1988-100979	19880122
CN 1030081	B	19951018		
JP 63216895	A2	19880909	JP 1988-12431	19880122
US 5272140	A	19931221	US 1990-488391	19900227
PRIORITY APPLN. INFO.:				
US 1988-146895				
US 1988-146895				

AB Title steroids I [R1 = monosubstituted homo- or heterocyclic aryl; R2 = C1-4 alkyl; R3, R4 = H, OH, C1-18 acyloxy, C2-8 alkoxyalkyl, C1-8 acyl, C1-12 alkoxy, (un)satd. (un)substituted C1-8 hydrocarbyl; R3R4 = C1-6 alkylidene, or atoms needed to form ring; .DELTA.16 optionally present, with R3 or R4 absent], having strong antiprogesterone activity, are prepd. Estrone 3-Me ether was brominated, dehydrobrominated, and hydrogenated to give the isomeric 14.beta.-estrone 3-Me ether. This underwent NaBH4 redn., Birch redn., hydrolysis, and bromination-dehydrobromination to give 17.alpha.-hydroxy-14.beta.-estra-4,9-dien-3-one. The latter was ketalized at the 3-position, oxidized to the 17-one, alkylated at the 17-position by the tetrahydropyran ether of propargyl alc., epoxidized to the 5.alpha.,10.alpha.-epoxide, coupled with 4-(Me2N)C6H4MgBr in the presence of CuCl, hydrogenated in the side chain, hydrolyzed and dehydrated, and cyclized in the sidechain by tosylation in pyridine to give (dimethylaminophenyl)dihydrospiro(estradiene-furan)one II. At 1 mg orally, twice daily in pregnant rats on days 6-10, II caused 100% pregnancy interception, but only slightly reversed dexamethasone-induced thymus wt. redn. in rats.

L9 ANSWER 16 OF 16 MARPAT COPYRIGHT 2003 ACS on STN (Continued)
MSTR 15



G1 = biphenyl (SR)
G6 = 37 / alkyl<(1-4)> (SR (1-) alkoxy<(1-4)>)



GGA = 27 31 <(1-10)>
GGA = 37 <(1-8)>
MPL: claim 1

=> d his

(FILE 'HOME' ENTERED AT 11:15:53 ON 17 SEP 2003)

FILE 'REGISTRY' ENTERED AT 11:15:58 ON 17 SEP 2003

L1 STRUCTURE UPLOADED

L2 7 S L1

L3 78 S L1 FULL

FILE 'CAPLUS' ENTERED AT 11:16:59 ON 17 SEP 2003

L4 34 S L3

FILE 'BEILSTEIN' ENTERED AT 11:20:53 ON 17 SEP 2003

L5 10 S L1 FULL

FILE 'USPATFULL' ENTERED AT 11:22:32 ON 17 SEP 2003

L6 11 S L3

L7 0 S L6 NOT L4

FILE 'MARPAT' ENTERED AT 11:23:01 ON 17 SEP 2003

L8 23 S L3 FULL

L9 16 S L8 NOT L4